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(54) Title: THROMBIN OR FACTOR XA INHIBITORS

(57) Abstract

This invention relates generally to inhibitors of trypsin–like serine protease enzymes, especially factor Xa or thrombin, pharmaceutical compositions containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

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TITLE

Thrombin or Factor Xa Inhibitors

FIELD OF THE INVENTION

This invention relates generally to inhibitors of trypsin-like serine protease enzymes, especially factor Xa or thrombin, pharmaceutical compositions containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

BACKGROUND OF THE INVENTION

Activated factor Xa, whose major practical role is the generation of thrombin by the limited proteolysis of prothrombin, holds a central position that links the intrinsic and extrinsic activation mechanisms in the final common pathway of blood coagulation. The generation of thrombin, the final serine protease in the pathway to generate a fibrin clot, from its precursor is amplified by formation of prothrombinase complex (factor Xa, factor V, Ca^{2+} and phospholipid). Since it is calculated that one molecule of factor Xa can generate 138 molecules of thrombin (Elodi, S., Varadi, K.: *Optimization of conditions for the catalytic effect of the factor IXa-factor VIII Complex: Probable role of the complex in the amplification of blood coagulation. Thromb. Res.* **1979**, *15*, 617-629), inhibition of factor Xa may be more efficient than inactivation of thrombin in interrupting the blood coagulation system.

Therefore, efficacious and specific inhibitors of factor Xa, thrombin, or both are needed as potentially valuable therapeutic agents for the treatment of thromboembolic disorders. It is thus desirable to discover new factor Xa, thrombin, or both inhibitors.

SUMMARY OF THE INVENTION

Accordingly, one object of the present invention is to provide novel nitrogen containing aromatic heterocycles, with ortho-substituted P1 groups, which are useful as factor Xa inhibitors or pharmaceutically acceptable salts or prodrugs thereof.

It is another object of the present invention to provide pharmaceutical compositions comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

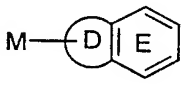
It is another object of the present invention to provide a method for treating thromboembolic disorders comprising administering to a host in need of such treatment a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

It is another object of the present invention to provide novel compounds for use in therapy.

It is another object of the present invention to provide the use of novel compounds for the manufacture of a medicament for the treatment of thrombosis or a disease mediated by factor Xa.

DETAILED DESCRIPTION OF PREFERRED EMBODIMENTS

[1] Thus, in an embodiment, the present invention provides a novel compound selected from the group:



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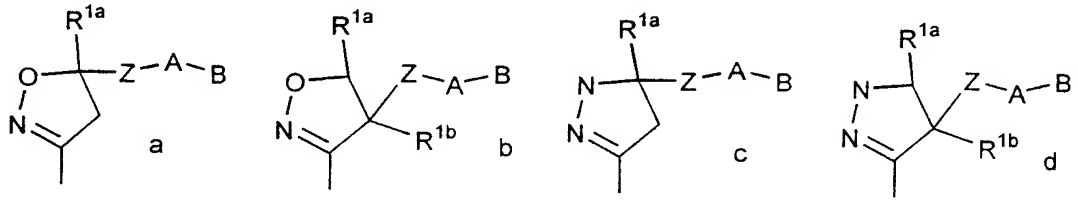
ring D is selected from $-(CH_2)_3-$, $-CH_2CH=CH-$, $-CH_2N=CH-$, and a 5 membered aromatic system containing from 0-2 heteroatoms selected from the group N, O, and S, provided that from 0-1 O and S atoms are present;

ring D is substituted with 0-2 R;

E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, substituted with 0-1 R;

R is selected from Cl, F, Br, I, OH, C_{1-3} alkoxy, NH_2, $NH(C_{1-3}$ alkyl), $N(C_{1-3}$ alkyl$)_2$, CH_2NH_2, $CH_2NH(C_{1-3}$ alkyl), $CH_2N(C_{1-3}$ alkyl$)_2$, $CH_2CH_2NH_2$, $CH_2CH_2NH(C_{1-3}$ alkyl), and $CH_2CH_2N(C_{1-3}$ alkyl$)_2$;

M is selected from the group:



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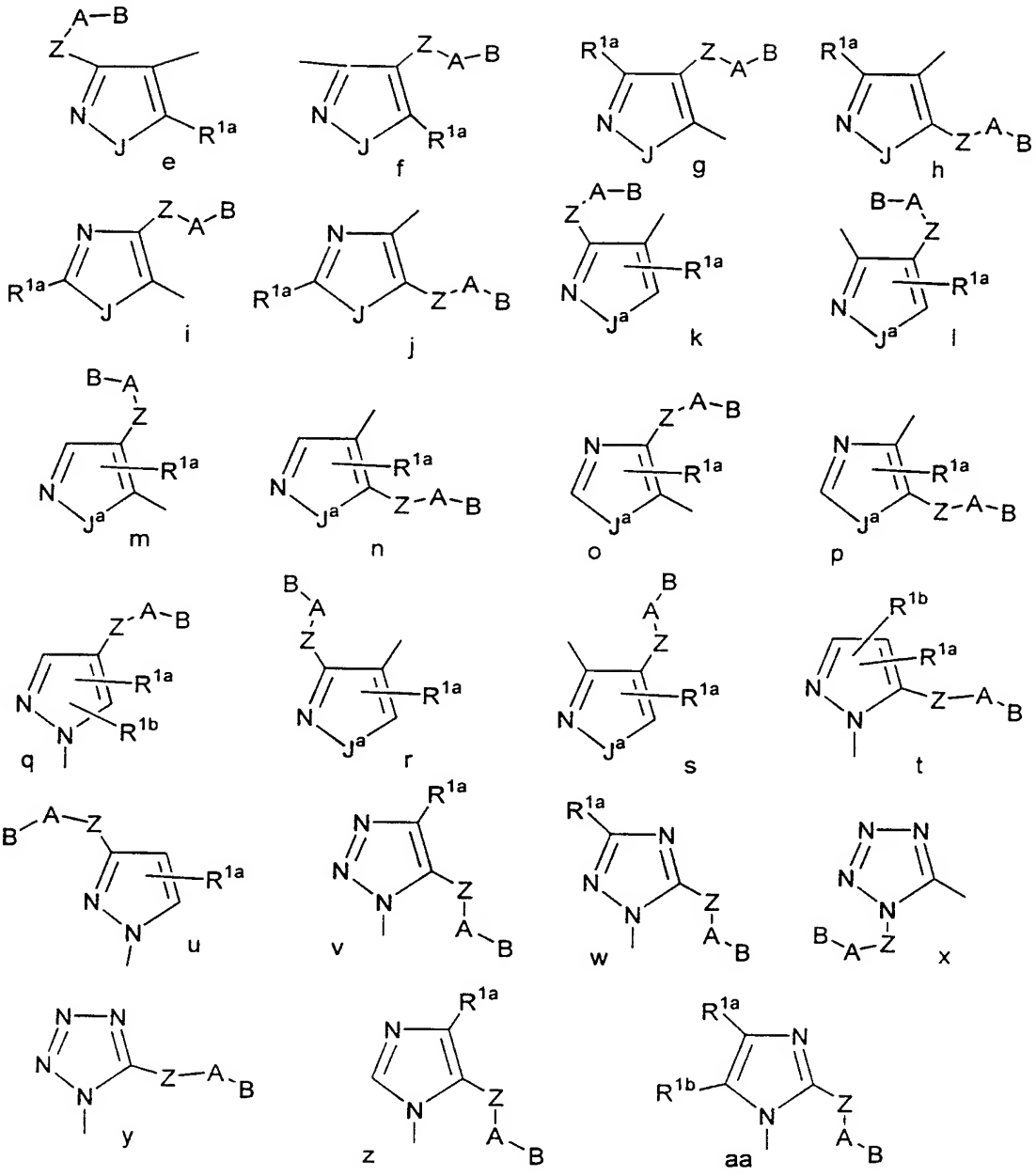
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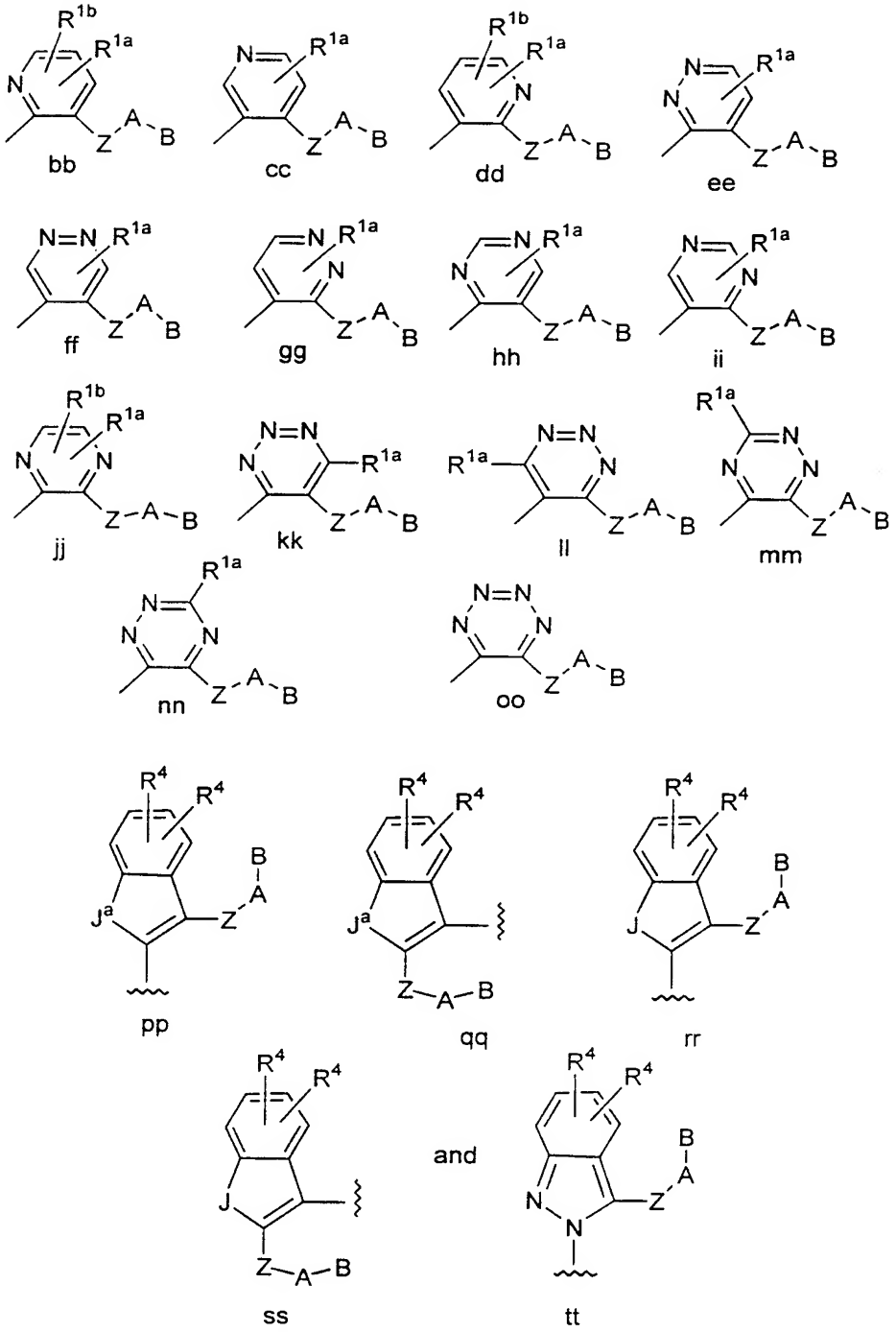


J is O or S;

J^a is NH or NR^{1a};

Z is selected from $(CR^8R^9)_{1-4}$, $(CR^8R^9)_rO(CR^8R^9)_r$, $(CR^8R^9)_rNR^3(CR^8R^9)_r$, $(CR^8R^9)_rC(O)(CR^8R^9)_r$, $(CR^8R^9)_rC(O)O(CR^8R^9)_r$, $(CR^8R^9)_rOC(O)(CR^8R^9)_r$, $(CR^8R^9)_rC(O)NR^3(CR^8R^9)_r$, $(CR^8R^9)_rNR^3C(O)(CR^8R^9)_r$,

$(CR^8R^9)_rOC(O)O(CR^8R^9)_r$, $(CH_2)_rOC(O)NR^3(CR^8R^9)_r$, $(CR^8R^9)_rNR^3C(O)O(CR^8R^9)_r$, $(CH_2)_rNR^3C(O)NR^3(CR^8R^9)_r$, $(CR^8R^9)_rS(O)_p(CR^8R^9)_r$, $(CCR^8R^9)_rSO_2NR^3(CR^8R^9)_r$, $(CR^8R^9)_rNR^3SO_2(CR^8R^9)_r$, and $(CR^8R^9)_rNR^3SO_2NR^3(CR^8R^9)_r$, provided that Z does not form a N-N, N-O, N-S, NCH_2N, NCH_2O, or NCH_2S bond with the groups to which Z is attached;

R^{1a} is selected from H, $-(CH_2)_r-R^{1'}$, $-CH=CH-R^{1'}$, $NHCH_2R^{1"}$, $OCH_2R^{1"}$, $SCH_2R^{1"}$, $NH(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$;

$R^{1'}$ is selected from H, C_{1-3} alkyl, F, Cl, Br, I, -CN, -CHO, $(CF_2)_rCF_3$, $(CH_2)_rOR^2$, NR^2R^{2a}, $C(O)R^{2c}$, $OC(O)R^2$, $(CF_2)_rCO_2R^{2c}$, $S(O)_pR^{2b}$, $NR^2(CH_2)_rOR^2$, $C(=NR^{2c})NR^2R^{2a}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NHR^{2b}$, $NR^2C(O)_2R^{2a}$, $OC(O)NR^{2a}R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NR^2(CH_2)_rOR^2$, $SO_2NR^2R^{2a}$, $NR^2SO_2R^{2b}$, C_{3-6} carbocyclic residue substituted with 0-2 R^4, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

$R^{1"}$ is selected from H, $CH(CH_2OR^2)_2$, $C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $S(O)R^{2b}$, $S(O)_2R^{2b}$, and $SO_2NR^2R^{2a}$;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{3-6} cycloalkylmethyl substituted with 0-2 R^{4b}, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

alternatively, R^2 and R^{2a} combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

alternatively, R^2 and R^{2a}, together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^3, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3a}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3b}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3c}, at each occurrence, is selected from C_{1-4} alkyl, and phenyl;

A is selected from:

C_{3-10} carbocyclic residue substituted with 0-2 R^4, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

B is selected from:

X-Y, NR^2R^{2a}, $C(=NR^2)NR^2R^{2a}$, $NR^2C(=NR^2)NR^2R^{2a}$,

C_{3-10} carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

X is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_t-$, $-C(O)-$, $-C(=NR^{1"})-$, $-CR^2(NR^{1"}R^2)-$, $-CR^2(OR^2)-$, $-CR^2(SR^2)-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-S(O)_p-$, $-S(O)_pCR^2R^{2a}-$, $-CR^2R^{2a}S(O)_p-$, $-S(O)_2NR^2-$, $-NR^2S(O)_2-$, $-NR^2S(O)_2CR^2R^{2a}-$, $-CR^2R^{2a}S(O)_2NR^2-$, $-NR^2S(O)_2NR^2-$, $-C(O)NR^2-$, $-NR^2C(O)-$, $-C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$,

$-NR^2C(O)O-$, $-OC(O)NR^2-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

Y is selected from:

$(CH_2)_rNR^2R^{2a}$, provided that X-Y do not form a N-N, O-N, or S-N bond,

C_{3-10} carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

R^4, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $C(=NR^2)NR^2R^{2a}$, $C(=NS(O)_2R^5)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $C(O)NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, $(CF_2)_rCF_3$, $NHCH_2R^{1"}$, $OCH_2R^{1"}$, $SCH_2R^{1"}$, $N(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$,

alternatively, one R^4 is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R^{4a}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, $(CH_2)_r$-F, $(CH_2)_r$-Br, $(CH_2)_r$-Cl, Cl, Br, F, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NH(CH_2)_2NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $C(=NR^2)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $C(O)NHSO_2$-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, and $(CF_2)_rCF_3$;

alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-1 R^5;

R^{4b}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^3$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^3R^{3a}$, $(CH_2)_rC(O)R^3$, $(CH_2)_rC(O)OR^{3c}$, $NR^3C(O)R^{3a}$, $C(O)NR^3R^{3a}$, $NR^3C(O)NR^3R^{3a}$, $C(=NR^3)NR^3R^{3a}$, $NR^3C(=NR^3)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, $NR^3SO_2NR^3R^{3a}$, NR^3SO_2-C_{1-4} alkyl, $NR^3SO_2CF_3$, NR^3SO_2-phenyl, $S(O)_pCF_3$, $S(O)_p$-C_{1-4} alkyl, $S(O)_p$-phenyl, and $(CF_2)_rCF_3$;

R^5, at each occurrence, is selected from CF_3, C_{1-6} alkyl, phenyl substituted with 0-2 R^6, and benzyl substituted with 0-2 R^6;

R^6, at each occurrence, is selected from H, OH, $(CH_2)_rOR^2$, halo, C_{1-4} alkyl, CN, NO_2, $(CH_2)_rNR^2R^{2a}$,$(CH_2)_rC(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NR^2R^{2a}$, $C(=NH)NH_2$, $NHC(=NH)NH_2$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, and $NR^2SO_2C_{1-4}$ alkyl;

R^7, at each occurrence, is selected from H, OH, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6} alkoxy, C_{1-4} alkoxycarbonyl, $(CH_2)_n$-phenyl, C_{6-10} aryloxy, C_{6-10} aryloxycarbonyl, C_{6-10} arylmethylcarbonyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{6-10} arylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C_{1-4} alkoxycarbonyl;

R^8, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

alternatively, R^7 and R^8 combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^9, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

n, at each occurrence, is selected from 0, 1, 2, and 3;

m, at each occurrence, is selected from 0, 1, and 2;

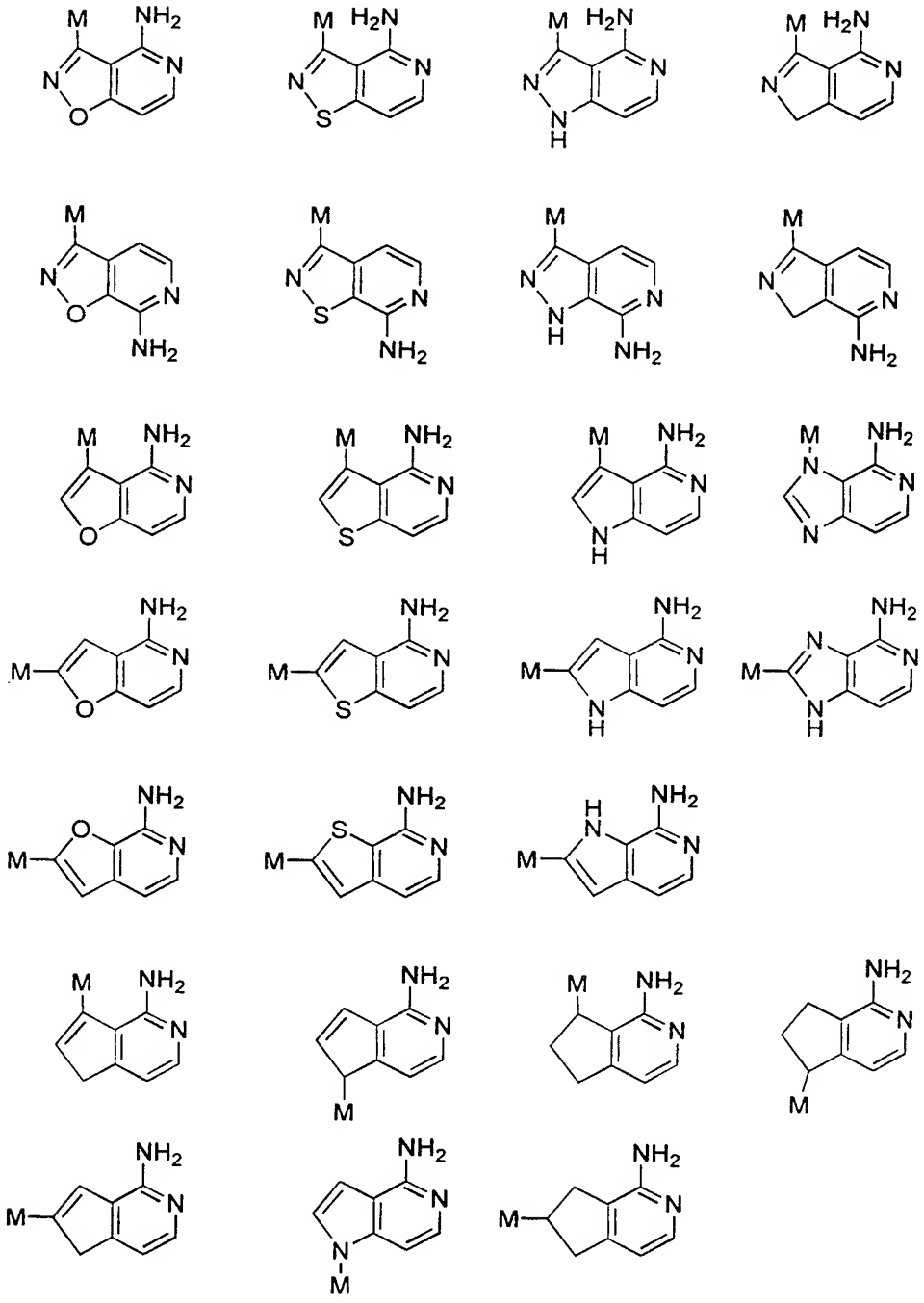
p, at each occurrence, is selected from 0, 1, and 2;

r, at each occurrence, is selected from 0, 1, 2, and 3;

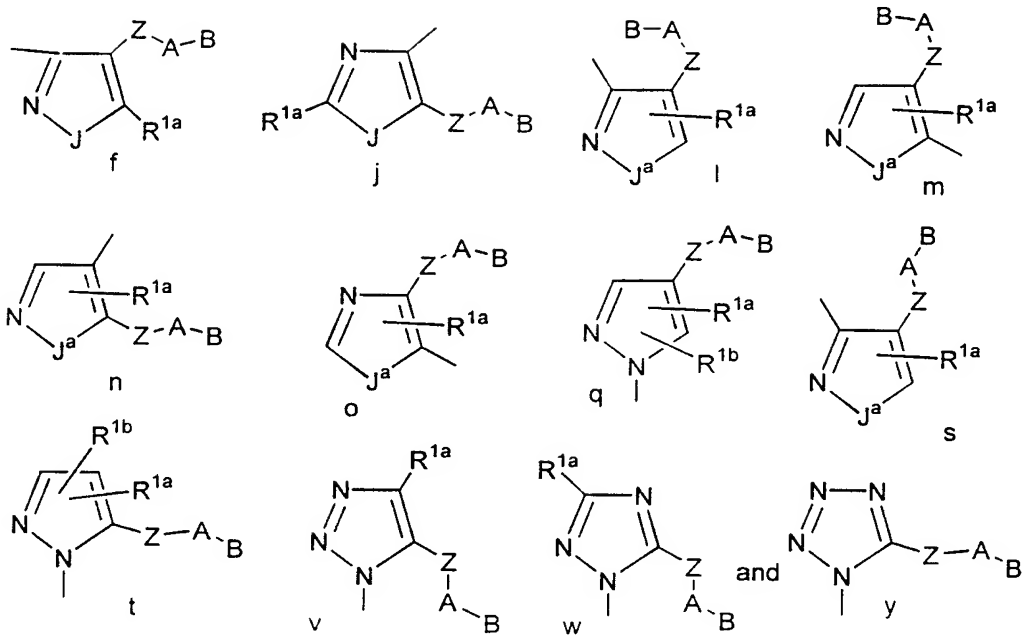
s, at each occurrence, is selected from 0, 1, and 2; and,

t, at each occurrence, is selected from 0, 1, 2, and 3.

[2] In another embodiment, the present invention provides a novel compound selected from the group:



wherein, M is selected from the group:



R is selected from H, Cl, F, Br, I, $(CH_2)_tOR^3$, C_{1-4} alkyl, OCF_3, CF_3, $C(O)NR^7R^8$, and $(CR^8R^9)_tNR^7R^8$;

Z is selected from CH_2O, OCH_2, CH_2NH, $NHCH_2$, C(O), $CH_2C(O)$, $C(O)CH_2$, NHC(O), C(O)NH, $CH_2S(O)_2$, $S(O)_2(CH_2)$, SO_2NH, and $NHSO_2$, provided that Z does not form a N-N, N-O, NCH_2N, or NCH_2O bond with ring M or group A;

A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^4;

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

B is selected from: H, Y, and X-Y;

X is selected from C_{1-4} alkylene, -C(O)-, -C(=NR)-, $-CR^2(NR^2R^{2a})-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-C(O)NR^2-$, $-NR^2C(O)-$, $-C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$,

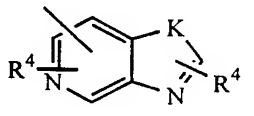
$-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

Y is NR^2R^{2a} or $CH_2NR^2R^{2a}$, provided that X-Y do not form a N-N or O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

cylcopropyl, cyclopentyl, cyclohexyl, phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

alternatively, Y is selected from the following bicyclic heteroaryl ring systems: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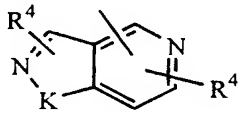
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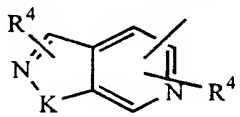
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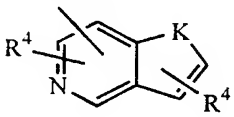
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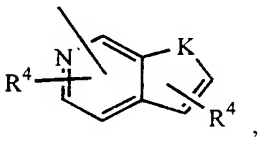
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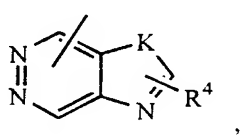
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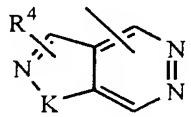
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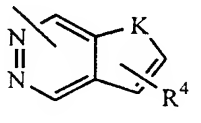
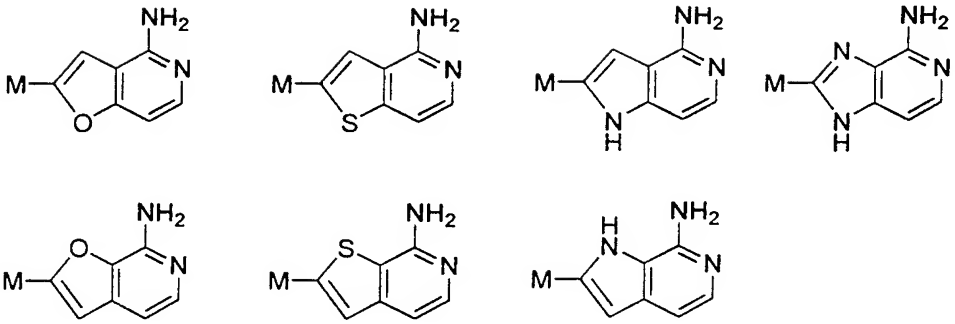
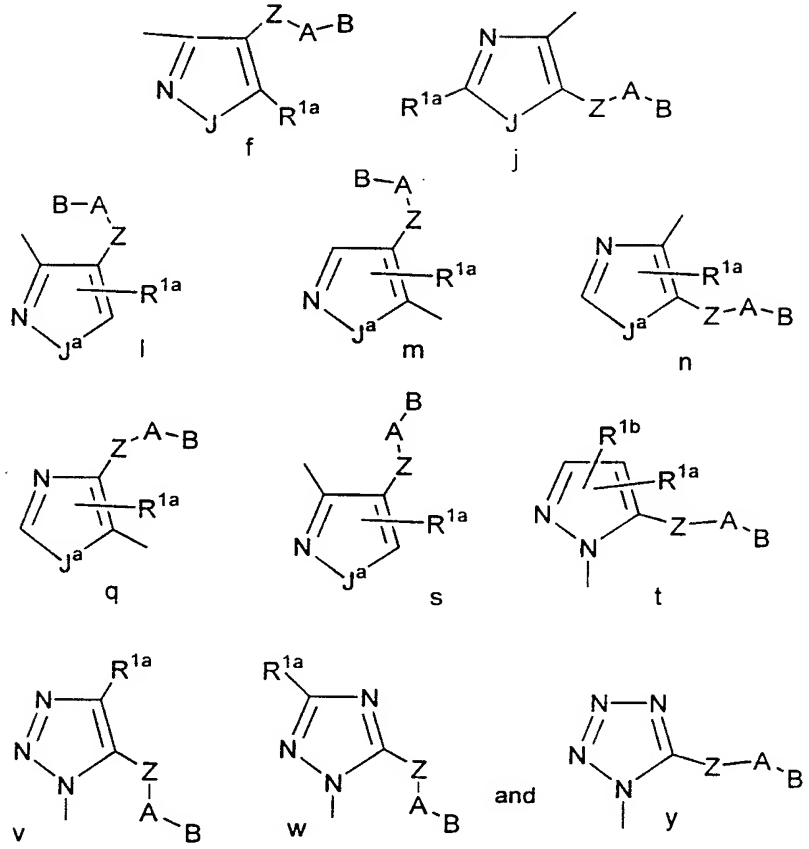

;

K is selected from O, S, NH, and N.

[3] In another embodiment, the present invention provides a novel compound selected from the group:



M is selected from the group:



Z is $C(O)CH_2$ and CONH, provided that Z does not form a N-N bond with group A;

A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with 0-2 R^4; and,

B is selected from Y, X-Y, phenyl, pyrrolidino, morpholino, 1,2,3-triazolyl, and imidazolyl, and is substituted with 0-1 R^{4a};

B is selected from: Y and X-Y;

X is selected from CH_2, -C(O)-, and O;

Y is NR^2R^{2a} or $CH_2NR^2R^{2a}$, provided that X-Y does not form an O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperazinyl, pyridyl, pyrimidyl, morpholinyl, pyrrolidinyl, imidazolyl, and 1,2,3-triazolyl;

R^2, at each occurrence, is selected from H, CF_3, CH_3, benzyl, and phenyl;

R^{2a}, at each occurrence, is selected from H, CF_3, CH_3, $CH(CH_3)_2$, cyclopropylmethyl, benzyl, and phenyl;

alternatively, R^2 and R^{2a} combine to form a ring system substituted with 0-2 R^{4b}, the ring system being selected from pyrrolidinyl, piperazinyl and morpholino;

R^4, at each occurrence, is selected from OH, $(CH_2)_rOR^2$, Cl, F, C_{1-4} alkyl, $(CH_2)_rNR^2R^{2a}$, and $(CF_2)_rCF_3$;

R^{4a} is selected from Cl, F, C_{1-4} alkyl, CF_3, $(CH_2)_rNR^2R^{2a}$, $S(O)_pR^5$, $SO_2NR^2R^{2a}$, and 1-CF_3-tetrazol-2-yl;

R^{4b}, at each occurrence, is selected from OH, Cl, F, CH_3, and CF_3;

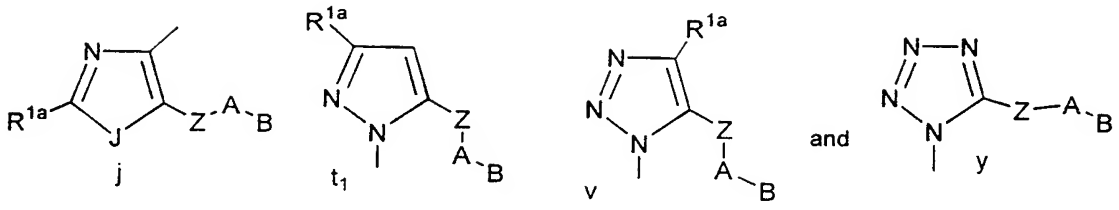
R^5, at each occurrence, is selected from CF_3, C_{1-6} alkyl, phenyl, and benzyl;

R^7, at each occurrence, is selected from H, CH_3, and CH_2CH_3; and,

R^8, at each occurrence, is selected from H and CH_3.

[4] In another embodiment, the present invention provides a novel compound wherein:

M is selected from the group:



J is N;

R^{1a} is absent or is $-(CH_2)_r-R^{1'}$;

$R^{1'}$ is selected from H, C_{1-3} alkyl, F, Cl, -CN, CF_3, $(CH_2)_rOR^2$, NR^2R^{2a}, $C(O)R^{2c}$, $OC(O)R^2$, $S(O)_pR^{2b}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, C_{3-6} carbocyclic residue substituted with 0-2 R^{4a}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,

B is selected from the group: 2-CF_3-phenyl, 2-(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 2-(N,N-dimethylaminomethyl)phenyl, 2-(isopropylaminomethyl)phenyl, 2-(cyclopropylaminomethyl)phenyl, 2-(N-pyrrolidinylmethyl)phenyl, 2-(3-hydroxy-N-pyrrolidinylmethyl)phenyl, 4-morpholino, 2-(1'-CF_3-tetrazol-2-yl)phenyl, 4-morpholinocarbonyl, 1-methyl-2-imidazolyl, 2-methyl-1-imidazolyl, 5-methyl-1-imidazolyl, 2-(N,N-dimethylaminomethyl)imidazolyl, 2-methylsulfonyl-1-imidazolyl and, 5-methyl-1,2,3-triazolyl.

In another embodiment, the present invention provides novel pharmaceutical compositions, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of present invention or a pharmaceutically acceptable salt form thereof.

In another embodiment, the present invention provides a novel method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound of the present invention or a pharmaceutically acceptable salt form thereof.

In another embodiment, the present invention provides novel compounds for use in therapy.

In another embodiment, the present invention provides the use of novel compounds for the manufacture of a medicament for the treatment of thrombosis or a disease mediated by factor Xa.

DEFINITIONS

The compounds herein described may have asymmetric centers. Compounds of the present invention containing an asymmetrically substituted atom may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of racemic forms or by synthesis from optically active starting materials. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds described herein, and all such stable isomers are contemplated in the present invention. Cis and trans geometric isomers of the compounds of the present invention are described and may be isolated as a mixture of isomers or as separated isomeric forms. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure are intended, unless the specific stereochemistry or isomeric form is specifically indicated. All processes used to prepare compounds of the present invention and intermediates made therein are considered to be part of the present invention.

"Substituted" is intended to indicate that one or more hydrogens on the atom indicated in the expression using "substituted" is replaced with a selection from the indicated group(s), provided that the indicated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substituent is keto (i.e., =O) group, then 2 hydrogens on the atom are replaced.

The present invention is intended to include all isotopes of atoms occurring in the present compounds. Isotopes include those atoms having the same atomic number but different mass numbers. By way of general example and without limitation, isotopes of hydrogen include tritium and deuterium. Isotopes of carbon include C-13 and C-14.

When any variable (e.g., R^6) occurs more than one time in any constituent or formula for a compound, its definition at each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to be substituted with 0-2 R^6, then said group may optionally be substituted with up to two R^6 groups and R^6 at each occurrence is selected independently from the definition of R^6. Also, combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

When a bond to a substituent is shown to cross a bond connecting two atoms in a ring, then such substituent may be bonded to any atom on the ring. When a substituent is listed without indicating the atom via which such substituent is bonded to the rest of the compound of a given formula, then such substituent may be bonded via any atom in such substituent. Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

As used herein, "alkyl" or "alkylene" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms. C_{1-10} alkyl (or alkylene), is intended to include C_1, C_2, C_3, C_4, C_5, C_6, C_7, C_8, C_9, and C_{10} alkyl groups. Examples of alkyl include, but are not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, and s-pentyl. "Haloalkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms, substituted with 1 or more halogen (for example $-C_vF_w$ where v = 1 to 3 and w = 1 to (2v+1)). Examples of haloalkyl include, but are not limited to, trifluoromethyl, trichloromethyl, pentafluoroethyl, and pentachloroethyl. "Alkoxy" represents an alkyl group as defined above with the indicated number of carbon atoms attached through an oxygen bridge. C_{1-10} alkoxy, is intended to include C_1, C_2, C_3, C_4, C_5, C_6, C_7, C_8, C_9, and C_{10} alkoxy groups. Examples of alkoxy include, but are not limited to, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, s-butoxy, t-butoxy, n-pentoxy, and s-pentoxy. "Cycloalkyl" is intended to include saturated ring groups, such as cyclopropyl, cyclobutyl, or cyclopentyl. C_{3-7} cycloalkyl, is intended to include C_3, C_4, C_5, C_6, and C_7 cycloalkyl groups. "Alkenyl" or "alkenylene" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more unsaturated carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl and propenyl. C_{2-10} alkenyl (or alkenylene), is intended to include C_2, C_3, C_4, C_5, C_6, C_7, C_8, C_9, and C_{10} alkenyl groups. "Alkynyl" or "alkynylene" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more triple carbon-carbon bonds which may occur in any stable point along the chain, such as ethynyl and propynyl. C_{2-10} alkynyl (or alkynylene), is intended to include C_2, C_3, C_4, C_5, C_6, C_7, C_8, C_9, and C_{10} alkynyl groups.

"Halo" or "halogen" as used herein refers to fluoro, chloro, bromo, and iodo; and "counterion" is used to represent a small, negatively charged species such as chloride, bromide, hydroxide, acetate, and sulfate.

As used herein, "carbocycle" or "carbocyclic group" is intended to mean any stable 3, 4, 5, 6, or 7-membered monocyclic or bicyclic or 7, 8, 9, 10, 11, 12, or 13-membered bicyclic or tricyclic, any of which may be saturated, partially unsaturated, or aromatic. Examples of such carbocycles include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, adamantyl, cyclooctyl, [3.3.0]bicyclooctane, [4.3.0]bicyclononane, [4.4.0]bicyclodecane, [2.2.2]bicyclooctane, fluorenyl, phenyl, naphthyl, indanyl, adamantyl, and tetrahydronaphthyl.

As used herein, the term "heterocycle" or "heterocyclic group" is intended to mean a stable 5, 6, or 7-membered monocyclic or bicyclic or 7, 8, 9, or 10-membered bicyclic heterocyclic ring which is saturated, partially unsaturated or unsaturated (aromatic), and which consists of carbon atoms and 1, 2, 3, or 4 heteroatoms independently selected from the group consisting of N, NH, O and S and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The nitrogen and sulfur heteroatoms may optionally be oxidized. The heterocyclic ring may be attached to its pendant group at any heteroatom or carbon atom which results in a stable structure. The heterocyclic rings described herein may be substituted on carbon or on a nitrogen atom if the resulting compound is stable. A nitrogen in the heterocycle may optionally be quaternized. It is preferred that when the total number of S and O atoms in the heterocycle exceeds 1, then these heteroatoms are not adjacent to one another. It is preferred that the total number of S and O atoms in the heterocycle is not more than 1. As used herein, the term "aromatic heterocyclic group" or "heteroaryl" is intended to mean a stable 5, 6, or 7-membered monocyclic or bicyclic or 7, 8, 9, or 10-membered bicyclic heterocyclic aromatic ring which consists of carbon atoms and 1, 2, 3, or 4 heterotams independently selected from the group consisting of N, NH, O and S. It is to be noted that total number of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heterocycles include, but are not limited to, acridinyl, azocinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazolinyl, carbazolyl, 4a*H*-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2*H*,6*H*-1,5,2-dithiazinyl, dihydrofuro[2,3-*b*]tetrahydrofuran, furanyl, furazanyl, imidazolidinyl, imidazolinyl, imidazolyl, 1*H*-indazolyl, indolenyl, indolinyl, indolizinyl, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, methylenedioxyphenyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-

oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, piperidonyl, 4-piperidonyl, piperonyl, pteridinyl, purinyl, pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridooxazole, pyridoimidazole, pyridothiazole, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4*H*-quinolizinyl, quinoxalinyl, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, tetrazolyl, 6*H*-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, and xanthenyl. Also included are fused ring and spiro compounds containing, for example, the above heterocycles.

The phrase "pharmaceutically acceptable" is employed herein to refer to those compounds, materials, compositions, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

As used herein, "pharmaceutically acceptable salts" refer to derivatives of the disclosed compounds wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic salts of acidic residues such as carboxylic acids; and the like. The pharmaceutically acceptable salts include the conventional non-toxic salts or the quaternary ammonium salts of the parent compound formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethane disulfonic, oxalic, isethionic, and the like.

The pharmaceutically acceptable salts of the present invention can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack

Publishing Company, Easton, PA, 1985, p. 1418, the disclosure of which is hereby incorporated by reference.

"Prodrugs" are intended to include any covalently bonded carriers which release the active parent drug according to formula (I) *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of a compound of formula (I) are prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compound. Prodrugs include compounds of formula (I) wherein a hydroxy, amino, or sulfhydryl group is bonded to any group that, when the prodrug or compound of formula (I) is administered to a mammalian subject, cleaves to form a free hydroxyl, free amino, or free sulfhydryl group, respectively. Examples of prodrugs include, but are not limited to, acetate, formate and benzoate derivatives of alcohol and amine functional groups in the compounds of formula (I), and the like.

"Stable compound" and "stable structure" are meant to indicate a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

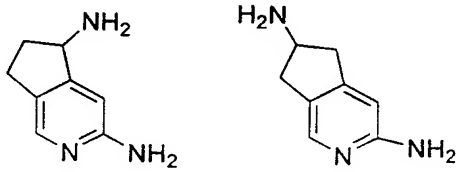
"Therapeutically effective amount" is intended to include an amount of a compound of the present invention or an amount of the combination of compounds claimed effective to inhibit factor Xa or thrombin or treat diseases related to factor Xa or thrombin in a host. The combination of compounds is preferably a synergistic combination. Synergy, as described for example by Chou and Talalay, Adv. Enzyme Regul. 22:27-55 (1984), occurs when the effect (in this case, inhibition of factor Xa or thrombin) of the compounds when administered in combination is greater than the additive effect of the compounds when administered alone as a single agent. In general, a synergistic effect is most clearly demonstrated at suboptimal concentrations of the compounds. Synergy can be in terms of lower cytotoxicity, increased antiviral effect, or some other beneficial effect of the combination compared with the individual components.

SYNTHESIS

The compounds of the present invention can be prepared in a number of ways known to one skilled in the art of organic synthesis. The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic chemistry, or by variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not limited to, those described below. The reactions are performed in a solvent appropriate to the reagents and materials employed and suitable for the transformations being effected. It will be understood by those skilled in the art of organic synthesis that the functionality present on the molecule should be consistent with the transformations proposed. This will

sometimes require a judgment to modify the order of the synthetic steps or to select one particular process scheme over another in order to obtain a desired compound of the invention. It will also be recognized that another major consideration in the planning of any synthetic route in this field is the judicious choice of the protecting group used for protection of the reactive functional groups present in the compounds described in this invention. An authoritative account describing the many alternatives to the trained practitioner is Greene and Wuts (*Protective Groups In Organic Synthesis*, Wiley and Sons, **1991**). All references cited herein are hereby incorporated in their entirety herein by reference.

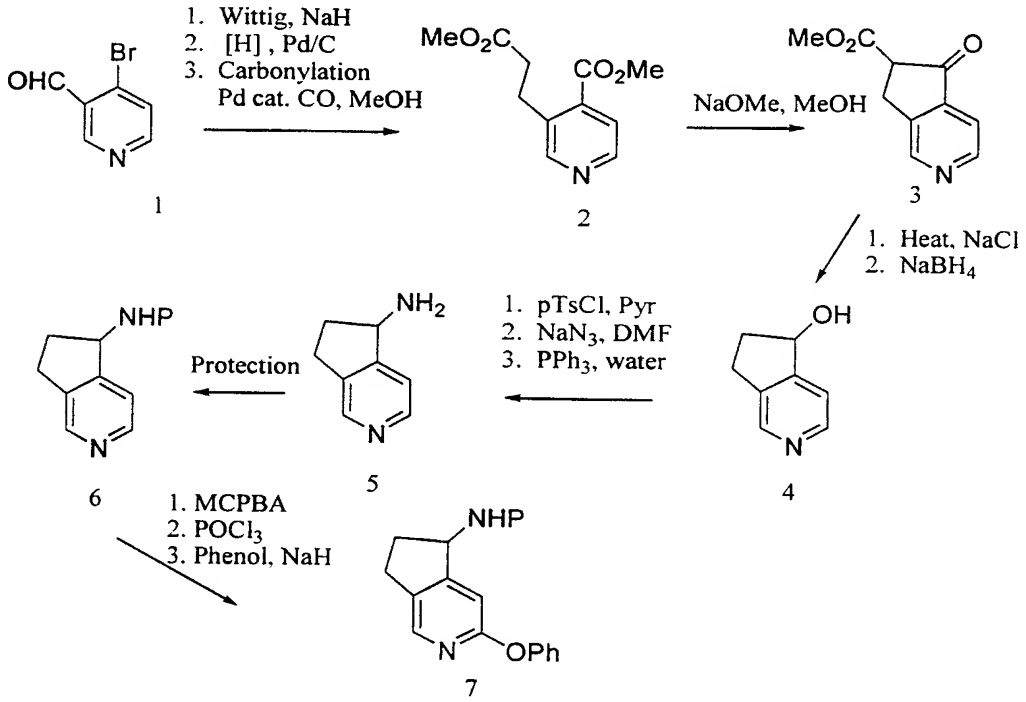
Compounds wherein rings D-E are A or B, shown below:



A B

can be prepared via the methodology outlined in Scheme I below.

Scheme I

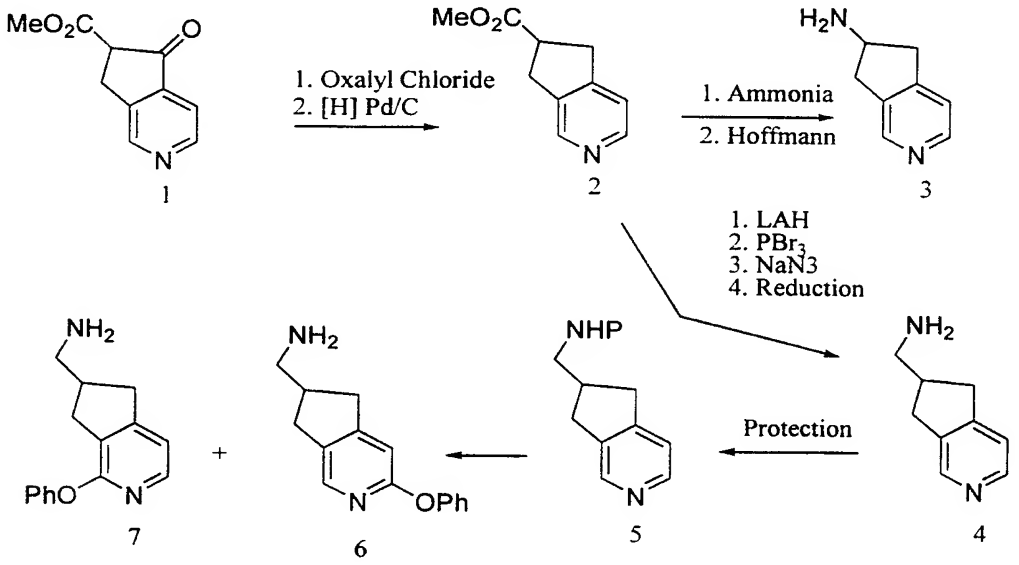


Removal of the amino protecting group followed by further manipulation can afford key starting materials wherein the amino is a benzylamine or alpha-amino acid or all analogs stated earlier. The starting material can also be obtained from intermediate 4

via an SN2 type displacement of the o-tosylate. Decarboxylation of intermediate 3 affords the ketone analog that also can be further manipulated to afford additional starting materials D-E. Coupling of analogs such as intermediate 7 via standard techniques followed by displacement of the phenoxy pyridine via standard techniques known to those in the art should afford the compounds of formula A. Chiral compounds can be separated via chiral HPLC techniques or by co-crystallization methods with a known chiral precursor.

Compounds wherein D-E is of formula B as shown above can be prepared as shown in Scheme II.

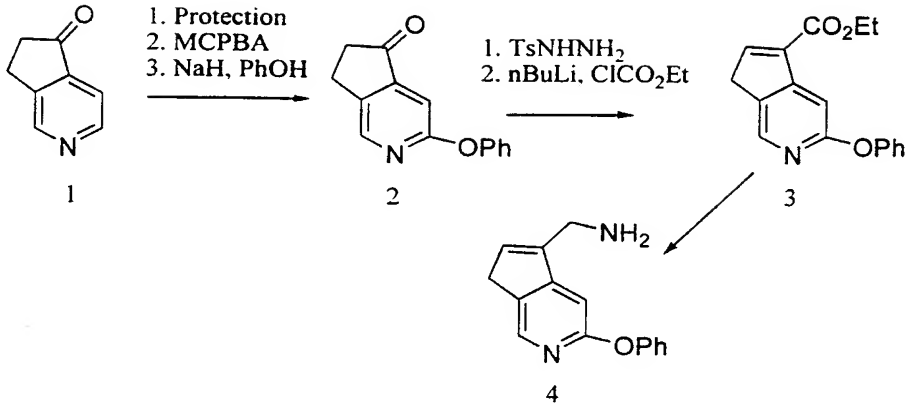
Scheme II



Via this scheme amino intermediates such as 3(B) and phenoxy analogs 6 and 7 can be obtained easily via the methods previously described. These intermediates can be further coupled to requisite precursors followed by conversion of the phenoxy group to an amino via standard techniques to afford the amino-pyridyl compounds of formula 1-3.

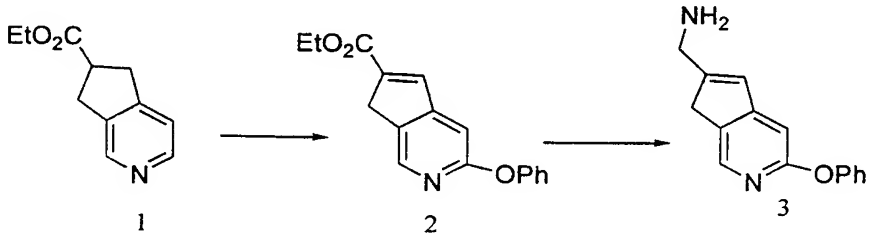
The unsaturated analogs can be prepared according to Scheme III.

Scheme III



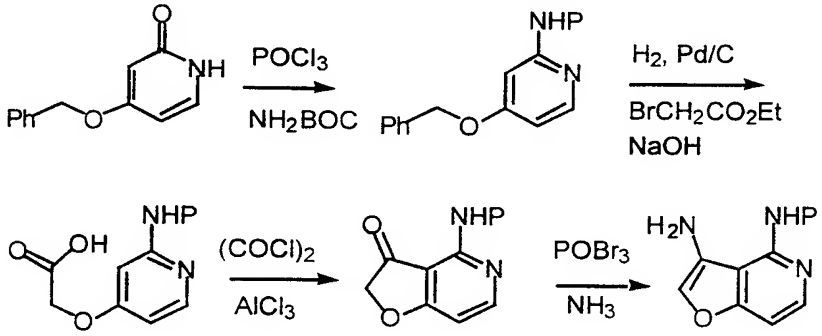
Intermediate 3 can be further manipulated to afford other D-E intermediates via methods described previously. In a similar fashion the other unsaturated analog can be prepared via Scheme IV shown below.

Scheme IV



Scheme V describes the preparation of 3-aminobenzofuran intermediates.

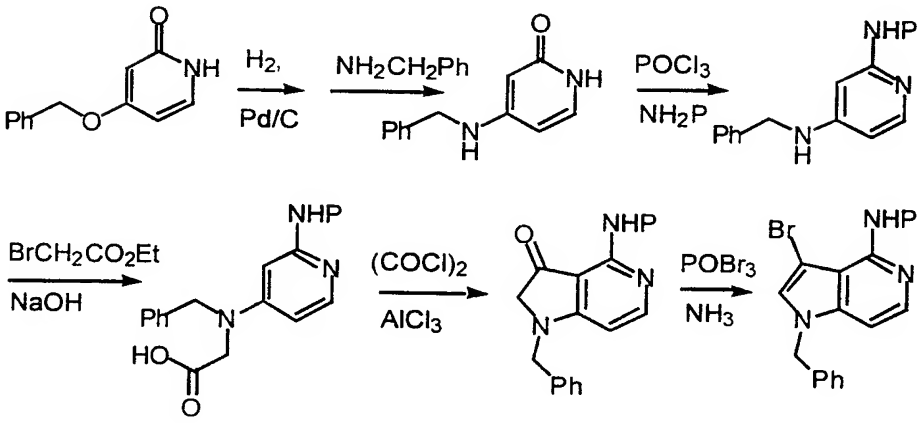
Scheme V



4-benzyloxy-2(1H)-pyridone (available from Aldrich) can be converted to the aminopyridine derivative using standard procedures known to the practitioners of the art. Debenzylation, coupling with bromoethylacetate, followed by basic hydrolysis affords an intermediate that undergoes the Friedel-Crafts acylation.

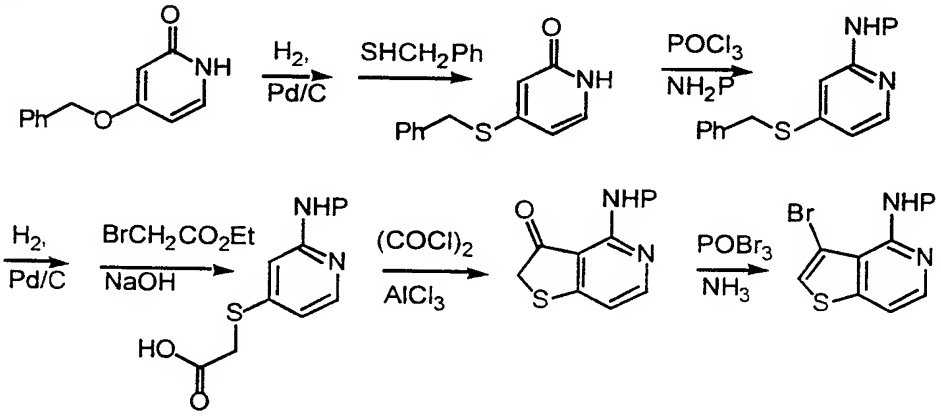
Scheme VI describes the preparation of indole intermediates.

Scheme VI



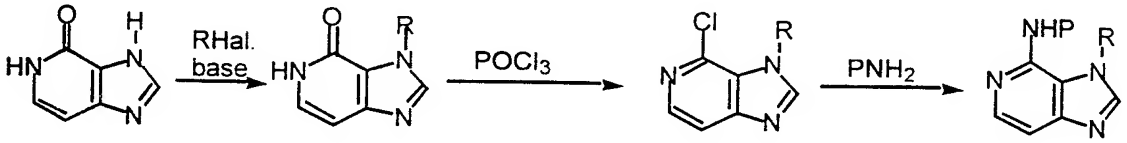
Scheme VII describes the preparation of 3-halo-4-aminobenzothiophene intermediates.

Scheme VII



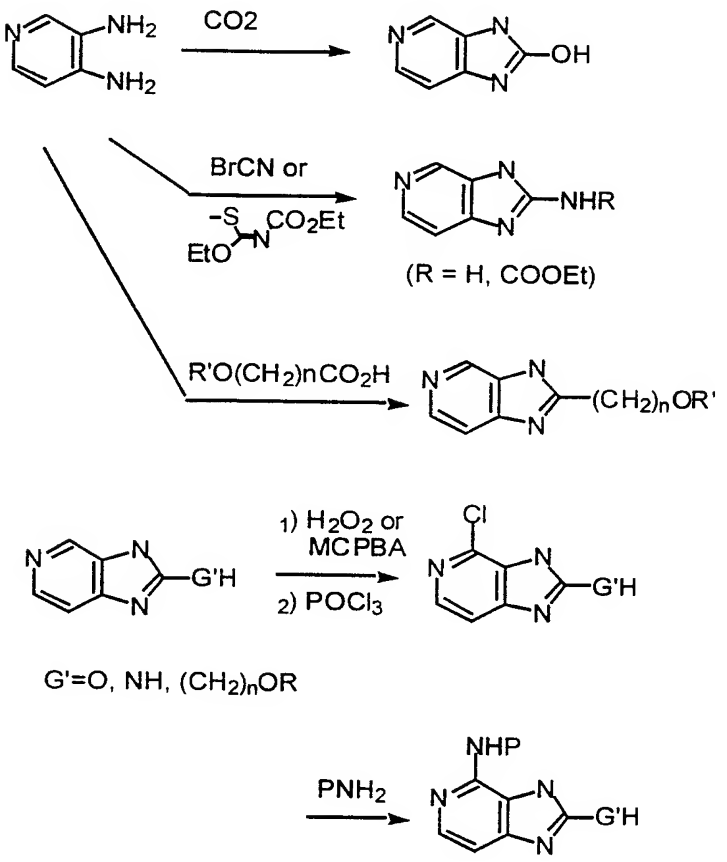
Scheme VIII describes the preparation of 1-substituted-7-amino-azabenzimidazole intermediates.

Scheme IX



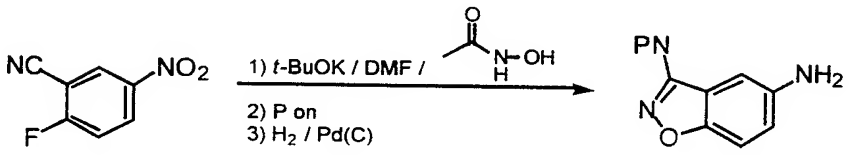
Scheme X describes the preparation of 2-substituted-7-amino-azabenzimidazole intermediates.

Scheme X



Scheme XI describes the preparation of 5-aminobenzisoxazole intermediates.

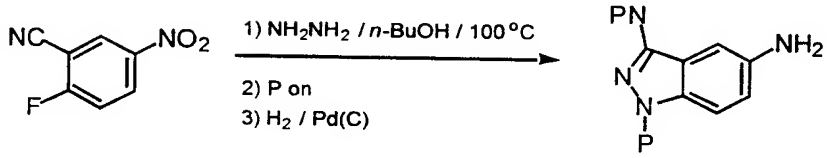
Scheme XI



Synthesis of 5-aminobenzisoxazoles in which the 3-position may be a protected amine could be accomplished starting from the commercially available 3-cyano-4-fluoronitrobenzene. Displacement of flourine with acetohydroxamic acid under basic conditions followed by ring closure by subsequent addition to the nitrile would yield the benzisoxazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XII describes the preparation of 5-aminoindazole intermediates.

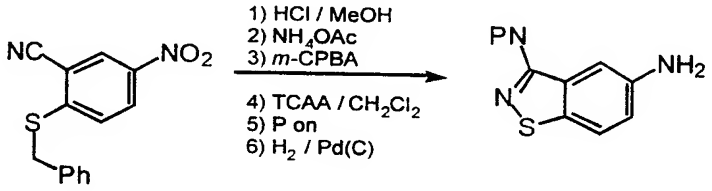
Scheme XII



Synthesis of 5-aminoindazoles in which the 3-position may be a protected amine could be accomplished starting from the commercially available 3-cyano-4-fluoronitrobenzene. Displacement of flourine with hydrazine followed by ring closure by subsequent addition to the nitrile would yield the indazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XIII describes the preparation of 5-aminobenzisothiazole intermediates.

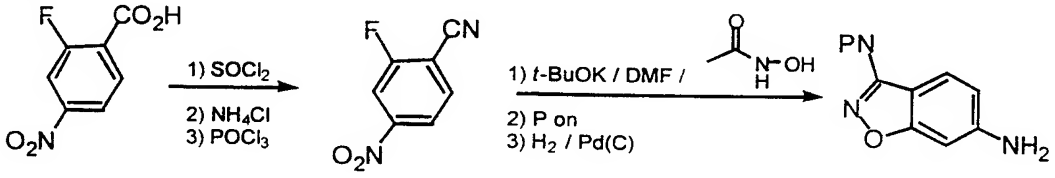
Scheme XIII



Synthesis of 5-aminobenzisothiazoles in which the 3-position may be a protected amine could be accomplished starting from the commercially available 2-benzylthio-5-nitrobenzonitrile. Conversion of the aryl nitrile to benzamidine, sulfoxide formation and ring closure/debenzylation would yield the benzisothiazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XIV describes the preparation of 6-aminobenzisoxazoleintermediates.

Scheme XIV

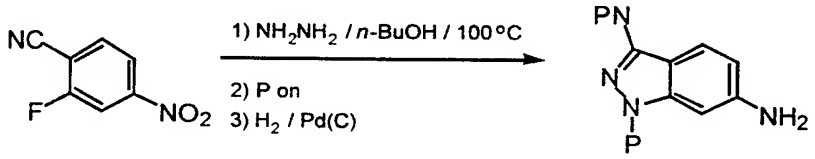


Synthesis of 6-aminobenzisoxazoles in which the 3-position may be a protected amine could be accomplished starting from commercially available 2-fluoro-4-nitrobenzoic acid. Conversion of carboxylic acid to nitrile via standard manipulations

would give 2-fluoro-4-nitrobenzonitrile. Displacement of flourine with acetohydroxamic acid under basic conditions followed by ring closure by subsequent addition to the nitrile would yield the benzisoxazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XV describes the preparation of 5-aminoindazole intermediates.

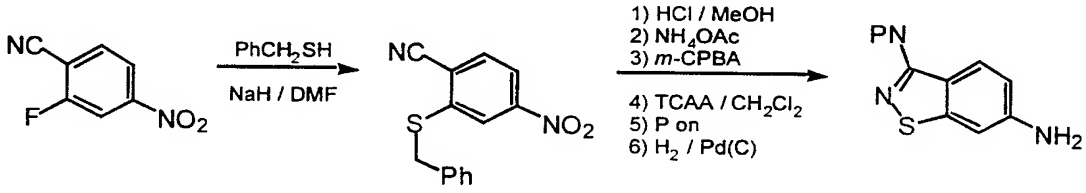
Scheme XV



Synthesis of 5-aminoindazoles in which the 3-position may be a protected amine could be accomplished starting from from 2-fluoro-4-nitrobenzonitrile whose synthesis is described elsewhere in this patent. Displacement of flourine with hydrazine followed by ring closure by subsequent addition to the nitrile would yield the indazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XVI describes the preparation of 6-aminobenzisothiazole intermediates.

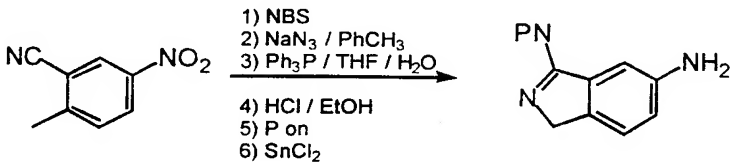
Scheme XVI



Synthesis of 6-aminobenzisothiazoles in which the 3-position may be a protected amine could be accomplished starting from 2-fluoro-4-nitrobenzonitrile whose synthesis is described elsewhere in this patent. Displacement of flourine with benzylthio anion yields 2-benzylthio-4-nitrobenzonitrile. Conversion of the aryl nitrile to benzamidine, sulfoxide formation and ring closure/debenzylation would yield the benzisothiazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XVII describes the preparation of 6-aminoisoindole intermediates.

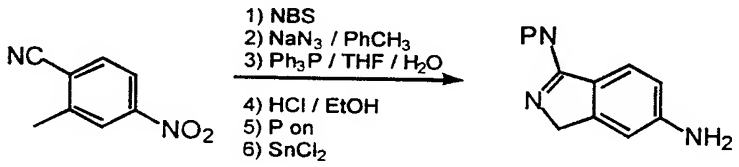
Scheme XVII



Synthesis of 6-aminoisoindoles in which the 1-position may be a protected amine could be accomplished starting from commercially available 2-cyano-4-nitrotoluene. Bromination of tolyl methyl to give a benzyl bromide followed by displacement with azide and reduction to benzylamine would cyclize to the isoindole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XVIII describes the preparation of 5-aminoisoindole intermediates.

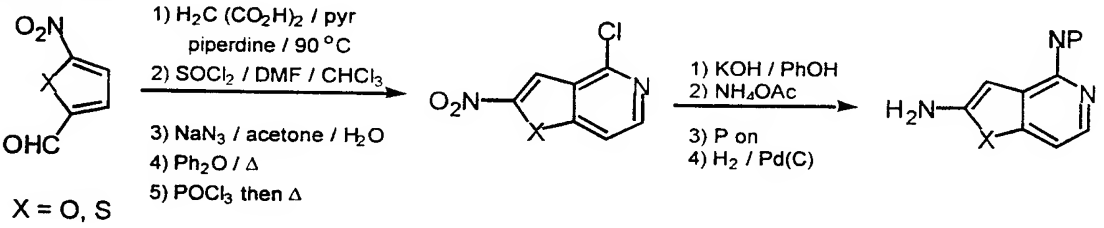
Scheme XVIII



Synthesis of 5-aminoisoindoles in which the 1-position may be a protected amine could be accomplished starting from commercially available 2-cyano-5-nitrotoluene. Bromination of tolyl methyl to give a benzyl bromide followed by displacement with azide and reduction to benzylamine would cyclize to the isoindole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XIX describes the preparation of 2-aminoindole derivatives a intermediates.

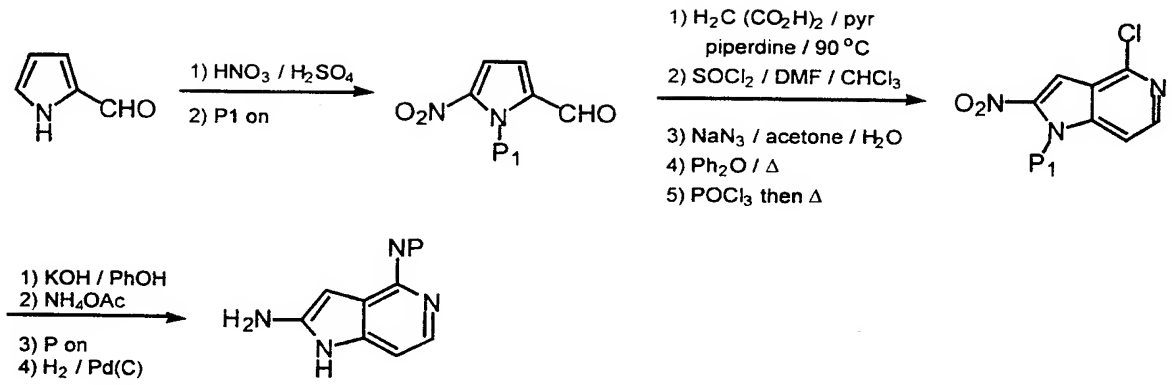
Scheme XIX



Synthesis of the desired compounds in which the 4-position may be a protected amine could be accomplished starting from the commercially available furan or thiophene. Using literature methods (*J. Med. Chem.* **1989**, *32*, 1147) one could obtain the 2-nitro-4-chloro-furo or thieno<3,2-c>pyridine. Displacement of the 4-chloro with phenoxide then conversion to 4-amino followed by suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XX describes the preparation of 2-amino-1-*H* -pyrrolo[3,2-c]pyridine intermediates.

Scheme XX



Synthesis of 2-amino-1-*H*-pyrrolo[3,2-c]pyridine in which the 4-position may be a protected amine could be accomplished starting from the commercially available pyrrole-2-carboxaldehyde. Nitration and protection of pyrrole nitrogen with P1 would afford the nitro/aldehyde intermediate. Using literature methods (*J. Med. Chem.* **1989**, *32*, 1147) one could obtain the 2-nitro-4-chloro-pyrrolo[3,2-c]pyridine. Displacement of the 4-chloro with phenoxide then conversion to 4-amino followed by suitable protection and reduction of the aryl nitro group would provide the desired compound.

BOC-Protected aminobenzisoxazolemethylbromide can be reacted with the lithium salt of acetonitrile to give the nitrile. The nitrile can be further reacted in a similar fashion as in WO96/16940 to give the desired compound.

The compounds of the present invention have a group "A-B" attached to ring M. Preparations of some of the rings M and the "A-B" moieties can follow the same methods described in WO97/23212, WO97/30971, WO97/38984, WO98/01428, WO98/06694, WO98/28269, WO98/28282, WO98/57934, WO98/57937, and WO98/57951, the contents of which are incorporated herein by reference. Preparations of the some of the rings M can also follow the same methods described in WO98/28269, WO98/57951, and WO98/57937, the contents of which are incorporated herein by reference. Compounds of Formula I can be prepared by reacting an appropriate 6-5 system described above with an appropriate intermediate to either form the desired ring M or to be attached to desired ring M. The above noted publications describe conditions for coupling ring M and a desired 6-5 system.

Other features of the invention will become apparent in the course of the following descriptions of exemplary embodiments which are given for illustration of the invention and are not intended to be limiting thereof.

Utility

The compounds of this invention are useful as anticoagulants for the treatment or prevention of thromboembolic disorders in mammals. The term "thromboembolic disorders" as used herein includes arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, cerebral embolism, kidney embolisms, and pulmonary embolisms. The anticoagulant effect of compounds of the present invention is believed to be due to inhibition of factor Xa, thrombin, or both.

The effectiveness of compounds of the present invention as inhibitors of factor Xa can be determined using purified human factor Xa and synthetic substrate. The rate of factor Xa hydrolysis of chromogenic substrate S2222 (Kabi Pharmacia, Franklin, OH) can be measured both in the absence and presence of compounds of the present invention. Hydrolysis of the substrate resulted in the release of pNA, which can be monitored spectrophotometrically by measuring the increase in absorbance at 405 nM. A decrease in the rate of absorbance change at 405 nm in the presence of inhibitor is indicative of enzyme inhibition. The results of this assay are expressed as inhibitory constant, K_i.

Factor Xa determinations were made in 0.10 M sodium phosphate buffer, pH 7.5, containing 0.20 M NaCl, and 0.5 % PEG 8000. The Michaelis constant, K_m, for substrate hydrolysis can be determined at 25°C using the method of Lineweaver and Burk. Values of K_i were determined by allowing 0.2-0.5 nM human factor Xa (Enzyme Research Laboratories, South Bend, IN) to react with the substrate (0.20 mM-1 mM) in the presence of inhibitor. Reactions were allowed to go for 30 minutes and the velocities (rate of absorbance change vs time) were measured in the time frame of 25-30 minutes. The following relationship can be used to calculate K_i values:

$$(v_o - v_s)/v_s = I/(K_i\ (1 + S/K_m))$$

where:

v_o is the velocity of the control in the absence of inhibitor;

v_s is the velocity in the presence of inhibitor;

I is the concentration of inhibitor;

K_i is the dissociation constant of the enzyme:inhibitor complex;

S is the concentration of substrate;

K_m is the Michaelis constant.

Compounds tested in the above assay are considered to be active if they exhibit a K_i of $\leq$10 μM. Preferred compounds of the present invention have K_i's of $\leq$1 μM. More preferred compounds of the present invention have K_i's of $\leq$0.1 μM. Even more preferred

compounds of the present invention have K_i's of $\leq$0.01 μM. Still more preferred compounds of the present invention have K_i's of $\leq$0.001 μM.

The antithrombotic effect of compounds of the present invention can be demonstrated in a rabbit arterio-venous (AV) shunt thrombosis model. In this model, rabbits weighing 2-3 kg anesthetized with a mixture of xylazine (10 mg/kg i.m.) and ketamine (50 mg/kg i.m.) are used. A saline-filled AV shunt device is connected between the femoral arterial and the femoral venous cannulae. The AV shunt device consists of a piece of 6-cm tygon tubing which contains a piece of silk thread. Blood will flow from the femoral artery via the AV-shunt into the femoral vein. The exposure of flowing blood to a silk thread will induce the formation of a significant thrombus. After forty minutes, the shunt is disconnected and the silk thread covered with thrombus is weighed. Test agents or vehicle will be given (i.v., i.p., s.c., or orally) prior to the opening of the AV shunt. The percentage inhibition of thrombus formation is determined for each treatment group. The ID50 values (dose which produces 50% inhibition of thrombus formation) are estimated by linear regression.

The compounds of formula (I) may also be useful as inhibitors of serine proteases, notably human thrombin, plasma kallikrein and plasmin. Because of their inhibitory action, these compounds are indicated for use in the prevention or treatment of physiological reactions, blood coagulation and inflammation, catalyzed by the aforesaid class of enzymes. Specifically, the compounds have utility as drugs for the treatment of diseases arising from elevated thrombin activity such as myocardial infarction, and as reagents used as anticoagulants in the processing of blood to plasma for diagnostic and other commercial purposes.

Compounds of the present invention can be shown to be direct acting inhibitors of the serine protease thrombin by their ability to inhibit the cleavage of small molecule substrates by thrombin in a purified system. *In vitro* inhibition constants were determined by the method described by Kettner et al. in *J. Biol. Chem.* **265**, 18289-18297 (1990), herein incorporated by reference. In these assays, thrombin-mediated hydrolysis of the chromogenic substrate S2238 (Helena Laboratories, Beaumont, TX) can be monitored spectrophotometrically. Addition of an inhibitor to the assay mixture results in decreased absorbance and is indicative of thrombin inhibition. Human thrombin (Enzyme Research Laboratories, Inc., South Bend, IN) at a concentration of 0.2 nM in 0.10 M sodium phosphate buffer, pH 7.5, 0.20 M NaCl, and 0.5% PEG 6000, can be incubated with various substrate concentrations ranging from 0.20 to 0.02 mM. After 25 to 30 minutes of incubation, thrombin activity can be assayed by monitoring the rate of increase in absorbance at 405 nm which arises owing to substrate hydrolysis. Inhibition constants were derived from reciprocal plots of the reaction velocity as a function of substrate concentration using the standard method of Lineweaver and Burk.

Compounds tested in the above assay are considered to be active if they exhibit a K_i of $\leq$10 μM. Preferred compounds of the present invention have K_i's of $\leq$1 μM. More preferred compounds of the present invention have K_i's of $\leq$0.1 μM. Even more preferred compounds of the present invention have K_i's of $\leq$0.01 μM. Still more preferred compounds of the present invention have K_i's of $\leq$0.001 μM.

The compounds of the present invention can be administered alone or in combination with one or more additional therapeutic agents. These include other anti-coagulant or coagulation inhibitory agents, anti-platelet or platelet inhibitory agents, thrombin inhibitors, or thrombolytic or fibrinolytic agents.

The compounds are administered to a mammal in a therapeutically effective amount. By "therapeutically effective amount" it is meant an amount of a compound of Formula I that, when administered alone or in combination with an additional therapeutic agent to a mammal, is effective to prevent or ameliorate the thromboembolic disease condition or the progression of the disease.

By "administered in combination" or "combination therapy" it is meant that the compound of Formula I and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect. Other anticoagulant agents (or coagulation inhibitory agents) that may be used in combination with the compounds of this invention include warfarin and heparin, as well as other factor Xa inhibitors such as those described in the publications identified above under Background of the Invention.

The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include, but are not limited to, the various known non-steroidal anti-inflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Of the NSAIDS, aspirin (acetylsalicyclic acid or ASA), and piroxicam are preferred. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents include IIb/IIIa antagonists, thromboxane-A2-receptor antagonists and thromboxane-A2-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

The term thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for

example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. A number of thrombin inhibitors are known to one of skill in the art and these inhibitors are contemplated to be used in combination with the present compounds. Such inhibitors include, but are not limited to, boroarginine derivatives, boropeptides, heparins, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof. Boroarginine derivatives and boropeptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal a-aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiouronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boropeptide thrombin inhibitors include compounds described in Kettner et al., U.S. 5,187,157 and EP 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boropeptide thrombin inhibitors include those disclosed in WO92/07869 and EP 471,651 A2, the disclosures of which are hereby incorporated herein by reference.

The term thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. The term anistreplase, as used herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosure of which is hereby incorporated herein by reference herein. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

Administration of the compounds of Formula I of the invention in combination with such additional therapeutic agent, may afford an efficacy advantage over the compounds and agents alone, and may do so while permitting the use of lower doses of each. A lower dosage minimizes the potential of side effects, thereby providing an increased margin of safety.

The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the inhibition of factor Xa. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving factor Xa. For example, a compound of the present invention could be used as a reference in an assay to compare its known activity to a compound with an unknown activity. This would ensure the experimenter that the assay was being performed properly and provide a basis for comparison, especially if the test compound was a derivative of the reference compound.

When developing new assays or protocols, compounds according to the present invention could be used to test their effectiveness.

The compounds of the present invention may also be used in diagnostic assays involving factor Xa. For example, the presence of factor Xa in an unknown sample could be determined by addition of chromogenic substrate S2222 to a series of solutions containing test sample and optionally one of the compounds of the present invention. If production of pNA is observed in the solutions containing test sample, but not in the presence of a compound of the present invention, then one would conclude factor Xa was present.

Dosage and Formulation

The compounds of this invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or timed release formulations), pills, powders, granules, elixirs, tinctures, suspensions, syrups, and emulsions. They may also be administered in intravenous (bolus or infusion), intraperitoneal, subcutaneous, or intramuscular form, all using dosage forms well known to those of ordinary skill in the pharmaceutical arts. They can be administered alone, but generally will be administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

The dosage regimen for the compounds of the present invention will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the species, age, sex, health, medical condition, and weight of the recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; the route of administration, the renal and hepatic function of the patient,and the effect desired. A physician or veterinarian can determine and prescribe the effective amount of the drug required to prevent, counter, or arrest the progress of the thromboembolic disorder.

By way of general guidance, the daily oral dosage of each active ingredient, when used for the indicated effects, will range between about 0.001 to 1000 mg/kg of body weight, preferably between about 0.01 to 100 mg/kg of body weight per day, and most preferably between about 1.0 to 20 mg/kg/day. Intravenously, the most preferred doses will range from about 1 to about 10 mg/kg/minute during a constant rate infusion. Compounds of this invention may be administered in a single daily dose, or the total daily dosage may be administered in divided doses of two, three, or four times daily.

Compounds of this invention can be administered in intranasal form via topical use of suitable intranasal vehicles, or via transdermal routes, using transdermal skin patches. When administered in the form of a transdermal delivery system, the dosage

administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

The compounds are typically administered in admixture with suitable pharmaceutical diluents, excipients, or carriers (collectively referred to herein as pharmaceutical carriers) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, methyl callulose, magnesium stearate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents, and coloring agents can also be incorporated into the mixture. Suitable binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth, or sodium alginate, carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms include sodium oleate, sodium stearate, magnesium stearate, sodium benzoate, sodium acetate, sodium chloride, and the like. Disintegrators include, without limitation, starch, methyl cellulose, agar, bentonite, xanthan gum, and the like.

The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles, and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine, or phosphatidylcholines.

Compounds of the present invention may also be coupled with soluble polymers as targetable drug carriers. Such polymers can include polyvinylpyrrolidone, pyran copolymer, polyhydroxypropylmethacrylamide-phenol, polyhydroxyethylaspartamidephenol, or polyethyleneoxide-polylysine substituted with palmitoyl residues. Furthermore, the compounds of the present invention may be coupled to a class of biodegradable polymers useful in achieving controlled release of a drug, for example, polylactic acid, polyglycolic acid, copolymers of polylactic and polyglycolic acid, polyepsilon caprolactone, polyhydroxy butyric acid, polyorthoesters, polyacetals, polydihydropyrans, polycyanoacylates, and crosslinked or amphipathic block copolymers of hydrogels.

Dosage forms (pharmaceutical compositions) suitable for administration may contain from about 1 milligram to about 100 milligrams of active ingredient per dosage

unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

Gelatin capsules may contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the gastrointestinal tract.

Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition, parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

Suitable pharmaceutical carriers are described in Remington's Pharmaceutical Sciences, Mack Publishing Company, a standard reference text in this field.

Representative useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

Capsules

A large number of unit capsules can be prepared by filling standard two-piece hard gelatin capsules each with 100 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams magnesium stearate.

Soft Gelatin Capsules

A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil may be prepared and injected by means of a positive displacement pump into gelatin to form soft gelatin capsules containing 100 milligrams of the active ingredient. The capsules should be washed and dried.

Tablets

Tablets may be prepared by conventional procedures so that the dosage unit is 100 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11

milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase palatability or delay absorption.

Injectable

A parenteral composition suitable for administration by injection may be prepared by stirring 1.5% by weight of active ingredient in 10% by volume propylene glycol and water. The solution should be made isotonic with sodium chloride and sterilized.

Suspension

An aqueous suspension can be prepared for oral administration so that each 5 mL contain 100 mg of finely divided active ingredient, 200 mg of sodium carboxymethyl cellulose, 5 mg of sodium benzoate, 1.0 g of sorbitol solution, U.S.P., and 0.025 mL of vanillin.

Where the compounds of this invention are combined with other anticoagulant agents, for example, a daily dosage may be about 0.1 to 100 milligrams of the compound of Formula I and about 1 to 7.5 milligrams of the second anticoagulant, per kilogram of patient body weight. For a tablet dosage form, the compounds of this invention generally may be present in an amount of about 5 to 10 milligrams per dosage unit, and the second anti-coagulant in an amount of about 1 to 5 milligrams per dosage unit.

Where the compounds of Formula I are administered in combination with an anti-platelet agent, by way of general guidance, typically a daily dosage may be about 0.01 to 25 milligrams of the compound of Formula I and about 50 to 150 milligrams of the anti-platelet agent, preferably about 0.1 to 1 milligrams of the compound of Formula I and about 1 to 3 milligrams of antiplatelet agents, per kilogram of patient body weight.

Where the compounds of Formula I are adminstered in combination with thrombolytic agent, typically a daily dosage may be about 0.1 to 1 milligrams of the compound of Formula I, per kilogram of patient body weight and, in the case of the thrombolytic agents, the usual dosage of the thrombolyic agent when administered alone may be reduced by about 70-80% when administered with a compound of Formula I.

Where two or more of the foregoing second therapeutic agents are administered with the compound of Formula I, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect of the therapeutic agents when administered in combination.

Particularly when provided as a single dosage unit, the potential exists for a chemical interaction between the combined active ingredients. For this reason, when the compound of Formula I and a second therapeutic agent are combined in a single dosage unit they are formulated such that although the active ingredients are combined in a single dosage unit, the physical contact between the active ingredients is minimized (that is,

reduced). For example, one active ingredient may be enteric coated. By enteric coating one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released in the stomach but rather is released in the intestines. One of the active ingredients may also be coated with a material which effects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional barrier to interaction with the other component.

These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

The following tables contain representative examples of the present invention. Each entry in each table is intended to be paired with each formulae at the start of the table. For example, example 1 of Table 1 is intended to be paired with each of the formulae shown in Table 1. Example 1 of Table 2 is intended to be paired with each of the formulae shown in Table 2.

The following nomenclature is intended for group A in the following tables.

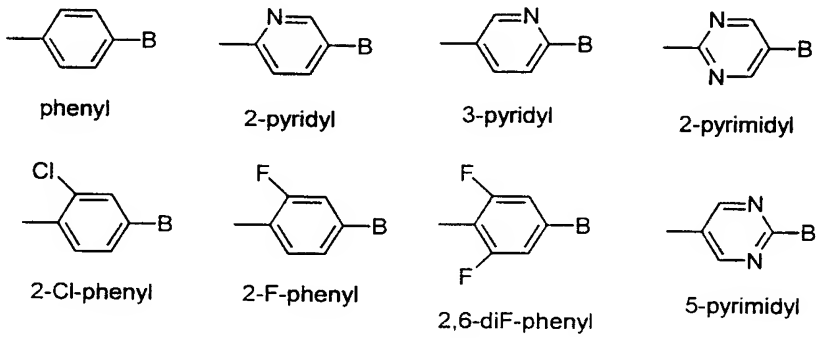
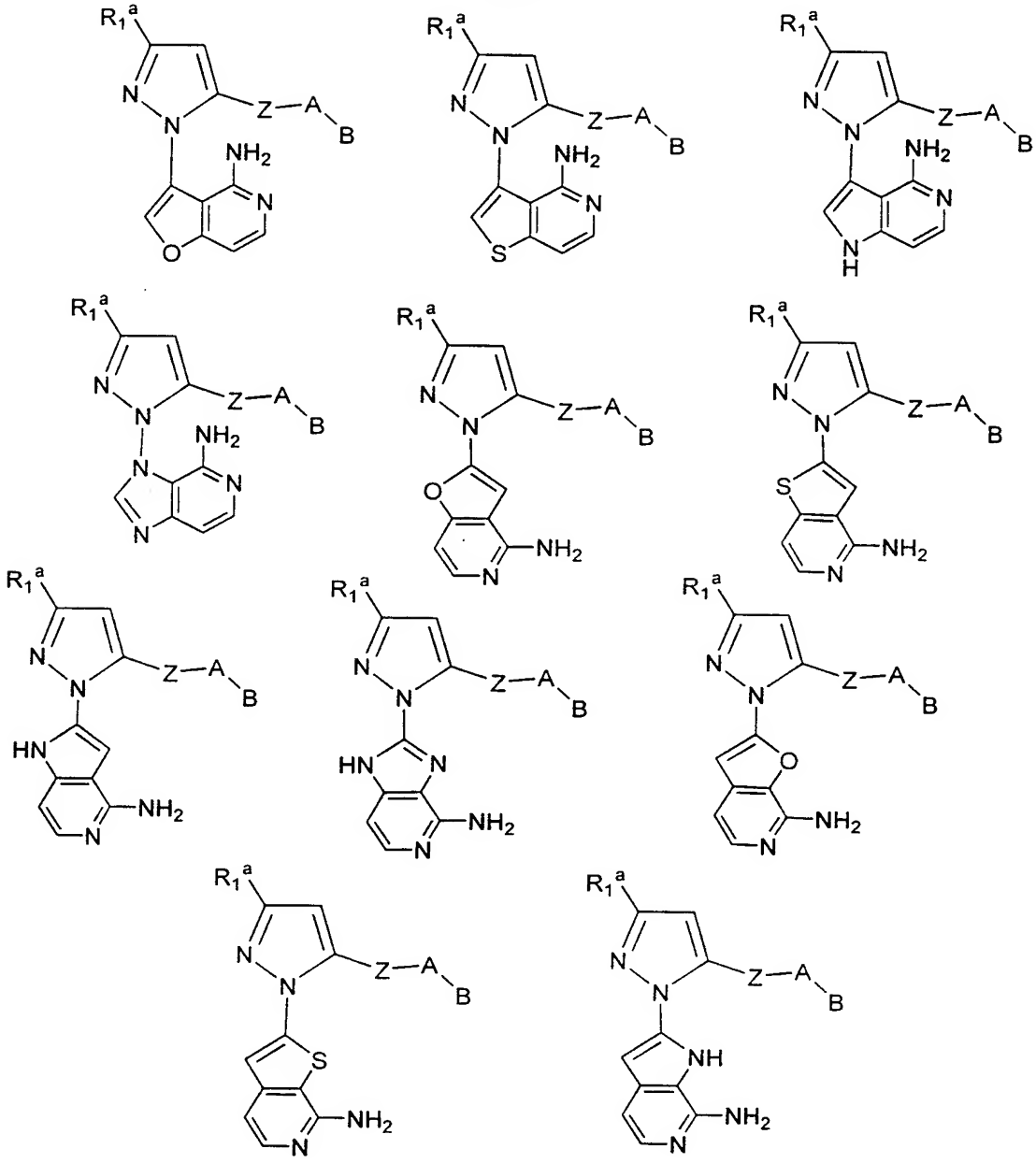
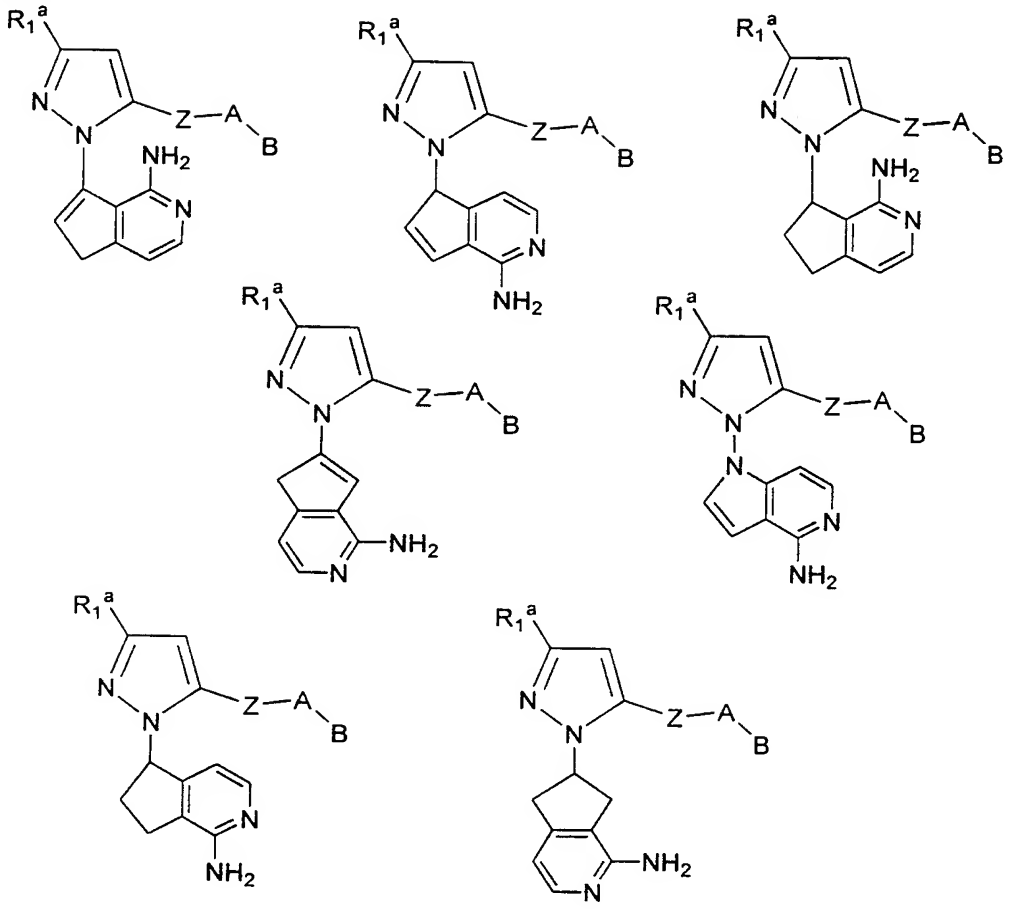
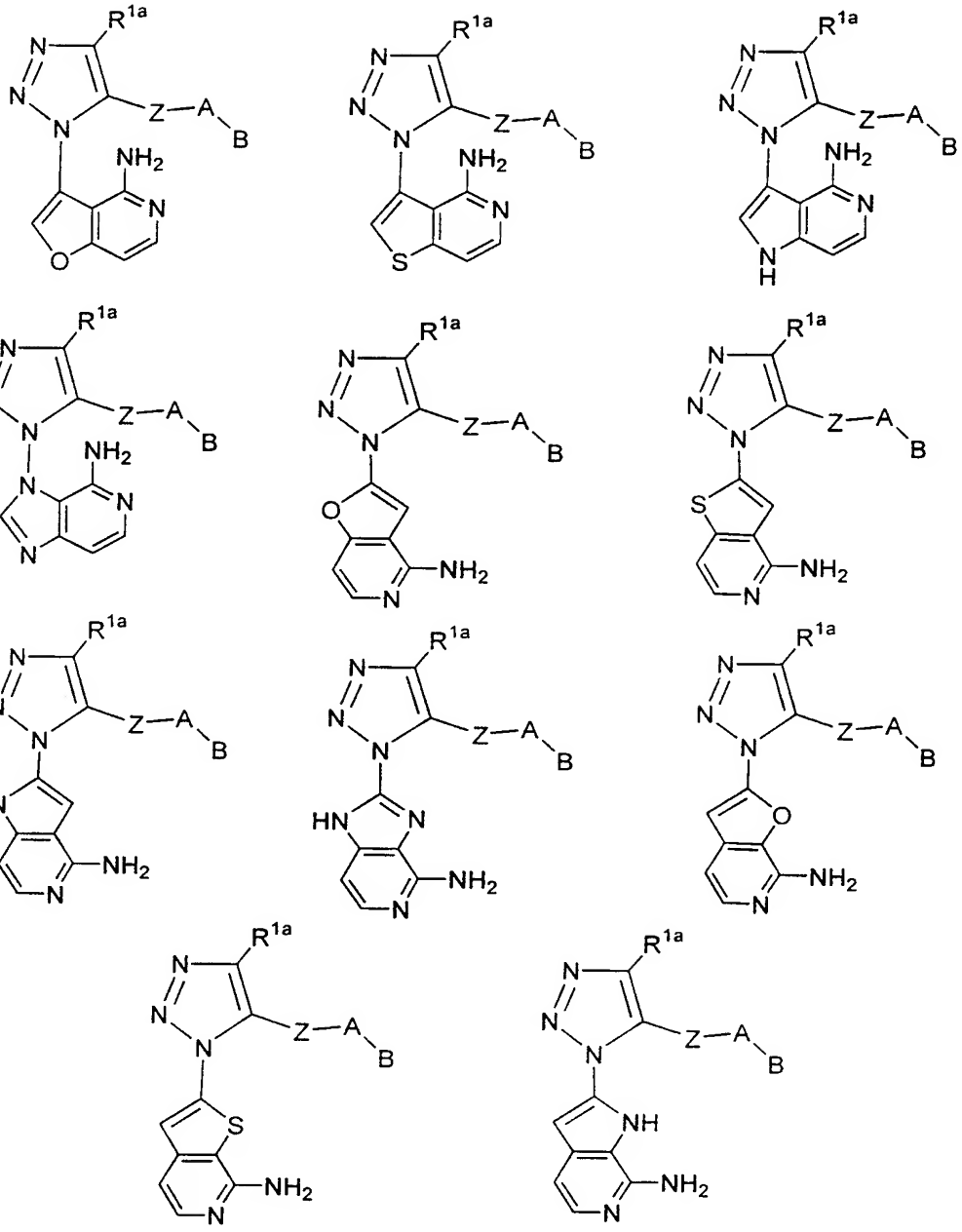
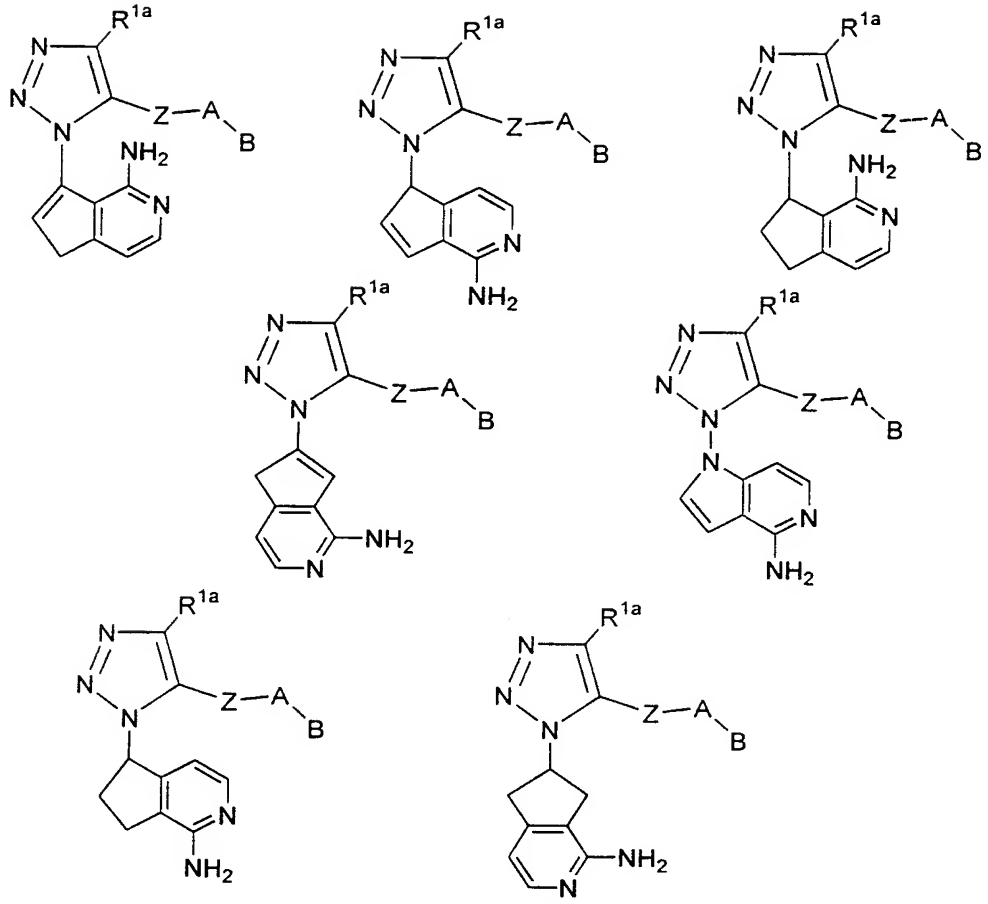


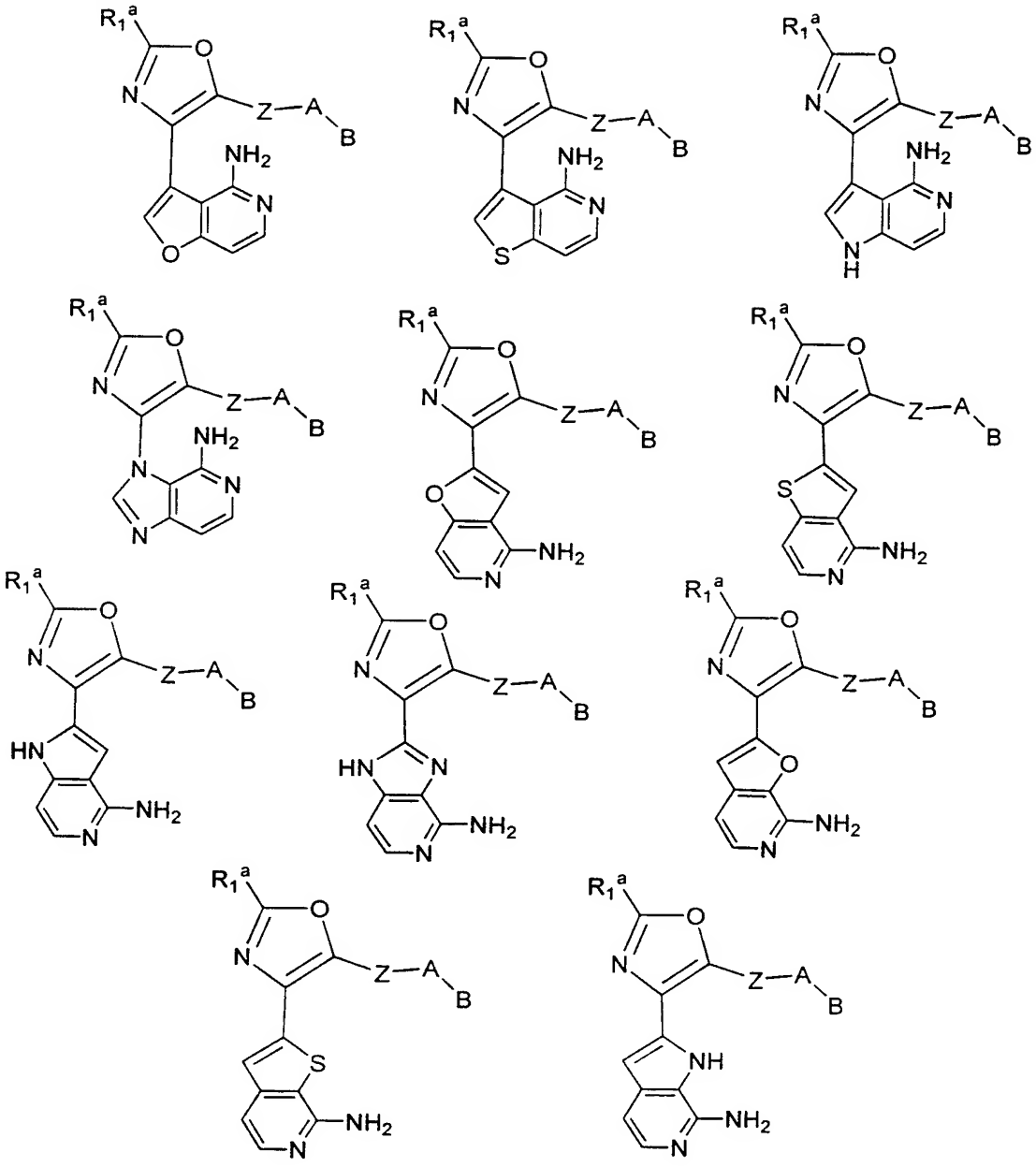
Table 1

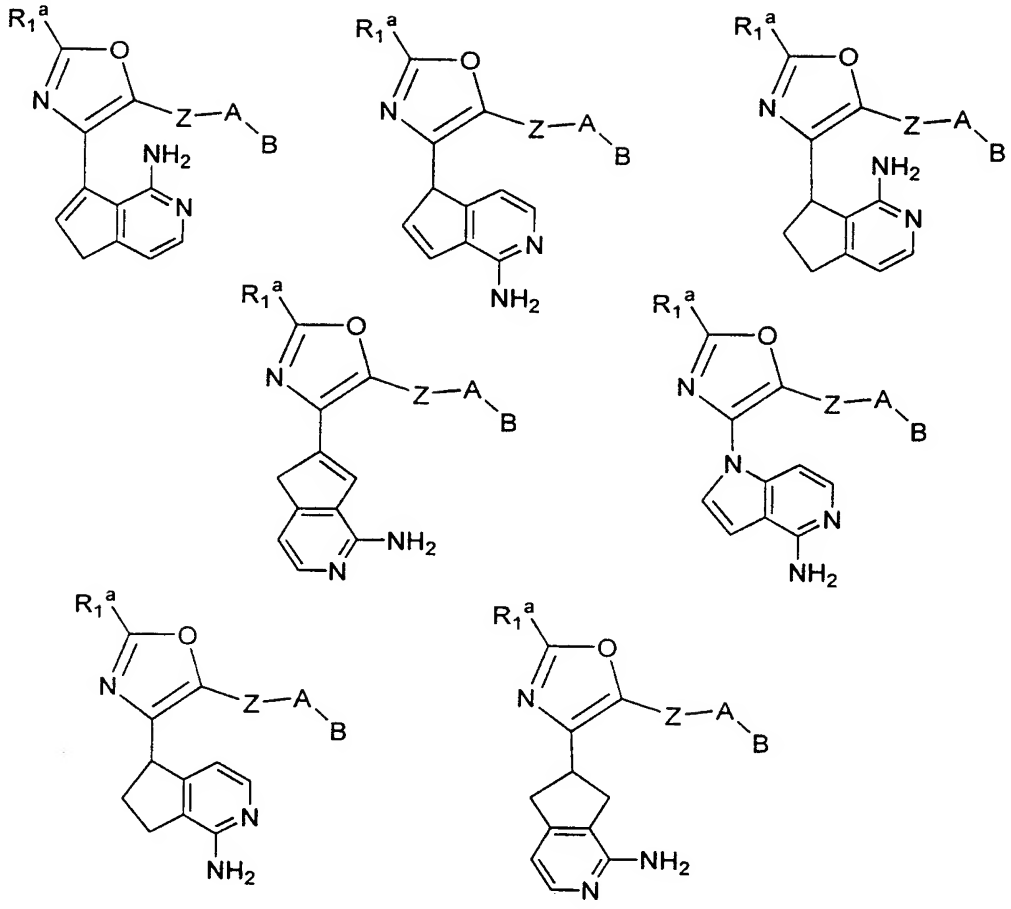


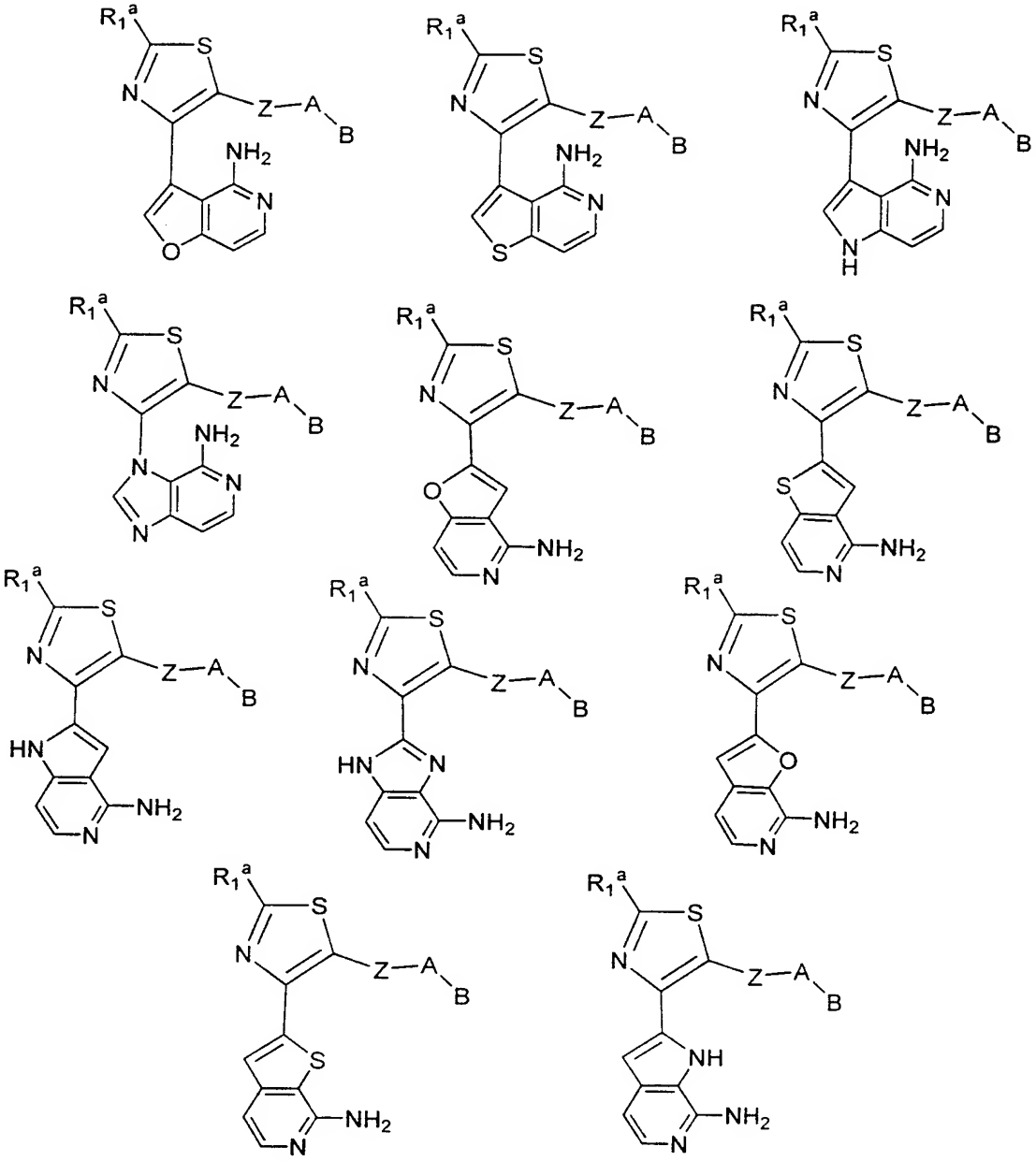


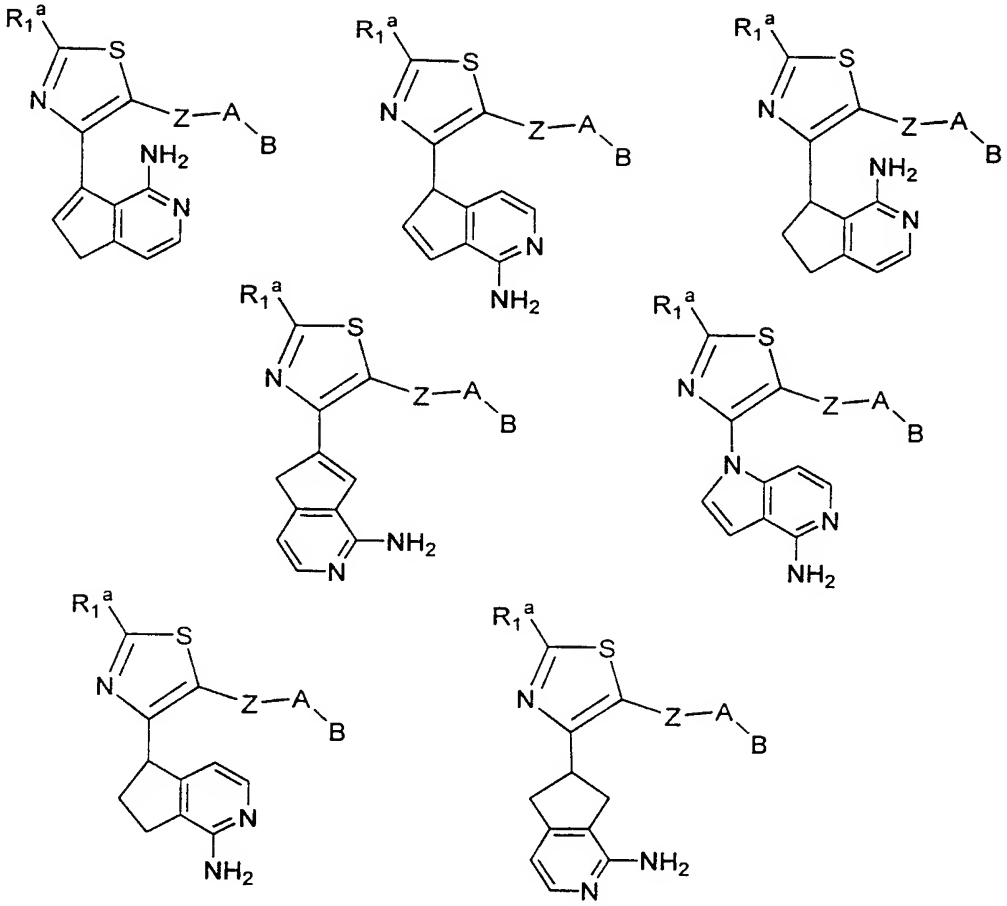


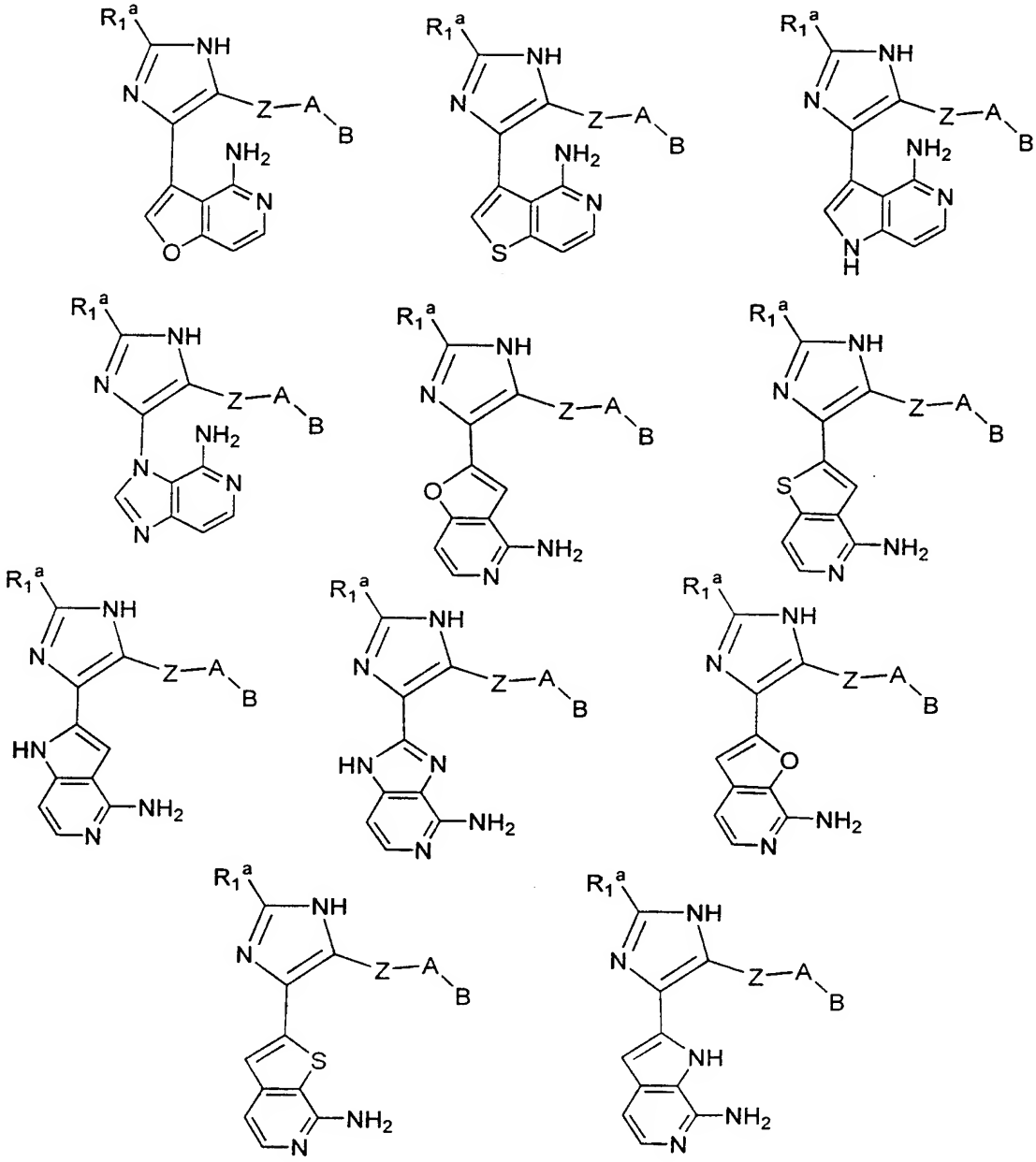


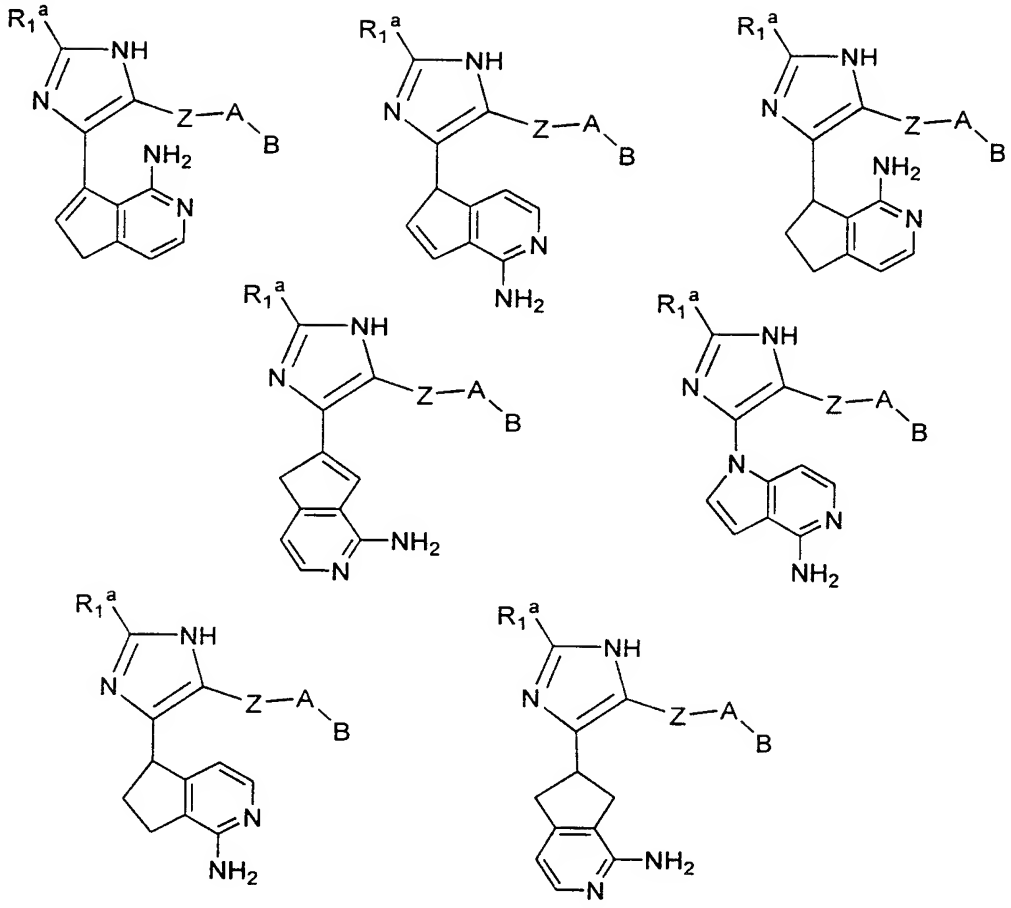


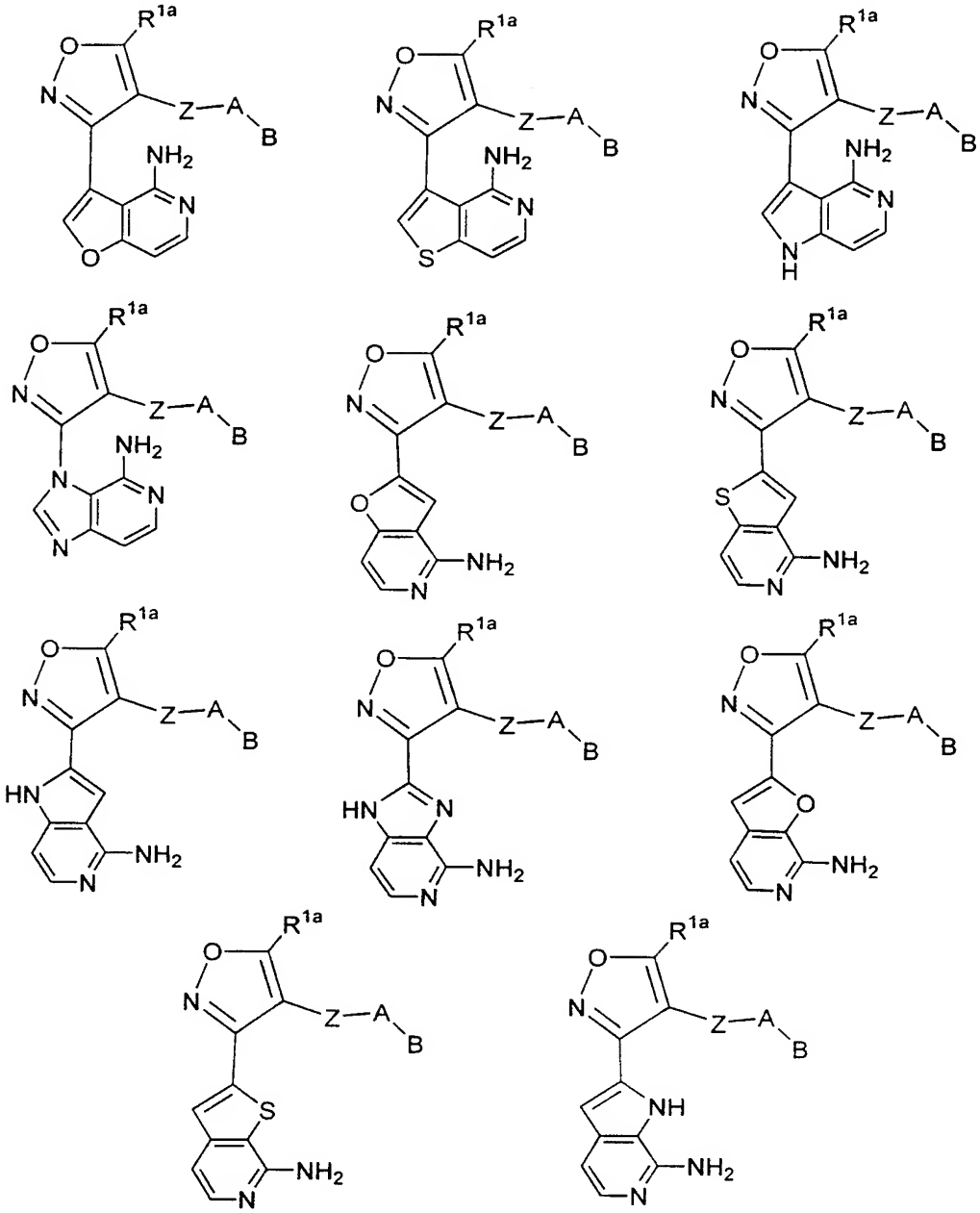


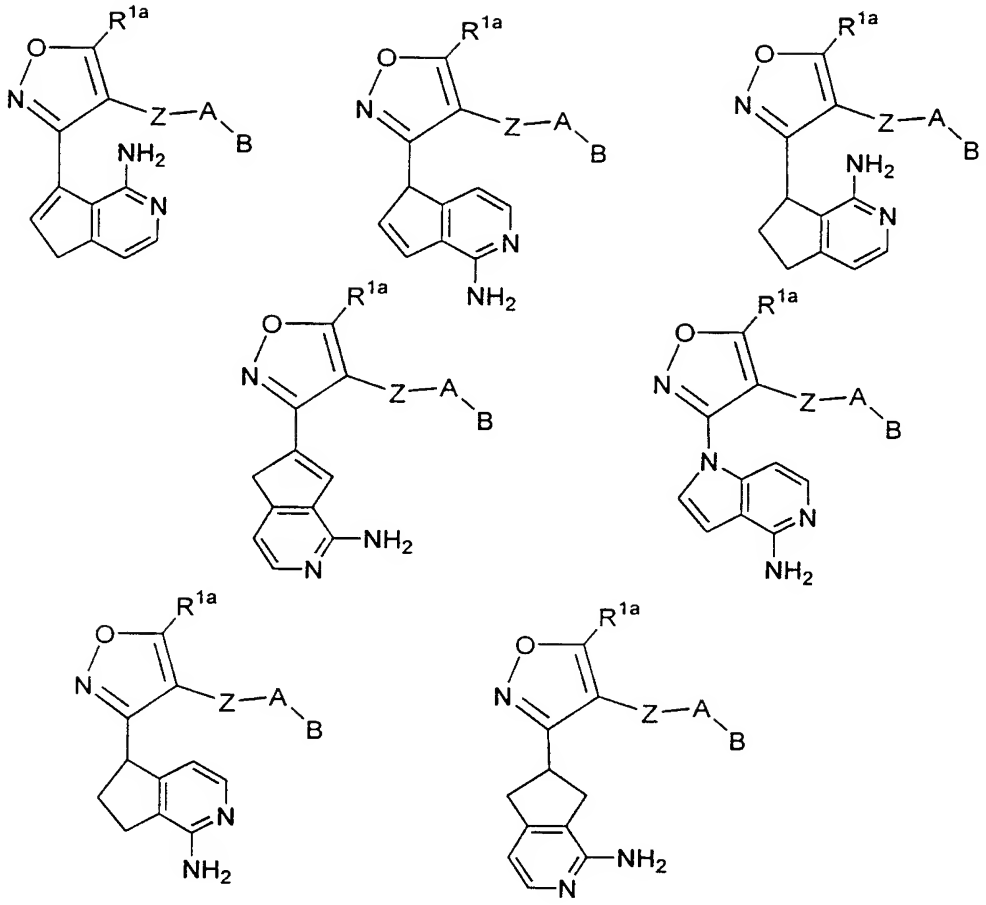












Z is C(O)NH or $C(O)CH_2$

Ex#	R^{1a}	A	B
1	CH3	phenyl	2-(aminosulfonyl)phenyl
2	CH3	phenyl	2-(methylaminosulfonyl)phenyl
3	CH3	phenyl	1-pyrrolidinocarbonyl
4	CH3	phenyl	2-(methylsulfonyl)phenyl
5	CH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
6	CH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
7	CH3	phenyl	1-methyl-2-imidazolyl
8	CH3	phenyl	2-methyl-1-imidazolyl
9	CH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
10	CH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
11	CH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
12	CH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl

13	CH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
14	CH3	2-pyridyl	2-(aminosulfonyl)phenyl
15	CH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
16	CH3	2-pyridyl	1-pyrrolidinocarbonyl
17	CH3	2-pyridyl	2-(methylsulfonyl)phenyl
18	CH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
19	CH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
20	CH3	2-pyridyl	1-methyl-2-imidazolyl
21	CH3	2-pyridyl	2-methyl-1-imidazolyl
22	CH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
23	CH3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
24	CH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
25	CH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
26	CH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
27	CH3	3-pyridyl	2-(aminosulfonyl)phenyl
28	CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
29	CH3	3-pyridyl	1-pyrrolidinocarbonyl
30	CH3	3-pyridyl	2-(methylsulfonyl)phenyl
31	CH3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
32	CH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
33	CH3	3-pyridyl	1-methyl-2-imidazolyl
34	CH3	3-pyridyl	2-methyl-1-imidazolyl
35	CH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
36	CH3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
37	CH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
38	CH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
39	CH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
40	CH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
41	CH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
42	CH3	2-pyrimidyl	1-pyrrolidinocarbonyl
43	CH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
44	CH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
45	CH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl

46	CH3	2-pyrimidyl	1-methyl-2-imidazolyl
47	CH3	2-pyrimidyl	2-methyl-1-imidazolyl
48	CH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
49	CH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
50	CH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
51	CH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
52	CH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
53	CH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
54	CH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
55	CH3	5-pyrimidyl	1-pyrrolidinocarbonyl
56	CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
57	CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
58	CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
59	CH3	5-pyrimidyl	1-methyl-2-imidazolyl
60	CH3	5-pyrimidyl	2-methyl-1-imidazolyl
61	CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
62	CH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
63	CH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
64	CH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
65	CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
66	CH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
67	CH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
68	CH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
69	CH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
70	CH3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
71	CH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
72	CH3	2-Cl-phenyl	1-methyl-2-imidazolyl
73	CH3	2-Cl-phenyl	2-methyl-1-imidazolyl
74	CH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
75	CH3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
76	CH3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
77	CH3	2-Cl-phenyl	2-(N-(cyclopentyl)-

78	CH3	2-Cl-phenyl	aminomethyl)phenyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
79	CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
80	CH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
81	CH3	2-F-phenyl	1-pyrrolidinocarbonyl
82	CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
83	CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
84	CH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
85	CH3	2-F-phenyl	1-methyl-2-imidazolyl
86	CH3	2-F-phenyl	2-methyl-1-imidazolyl
87	CH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
88	CH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
89	CH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
90	CH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
91	CH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
92	CH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
93	CH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
94	CH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
95	CH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
96	CH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
97	CH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
98	CH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
99	CH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
100	CH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
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102	CH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
103	CH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
104	CH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
105	CH2CH3	phenyl	2-(aminosulfonyl)phenyl
106	CH2CH3	phenyl	2-(methylaminosulfonyl)phenyl
107	CH2CH3	phenyl	1-pyrrolidinocarbonyl
108	CH2CH3	phenyl	2-(methylsulfonyl)phenyl
109	CH2CH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl

110	CH2CH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
111	CH2CH3	phenyl	1-methyl-2-imidazolyl
112	CH2CH3	phenyl	2-methyl-1-imidazolyl
113	CH2CH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
114	CH2CH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
115	CH2CH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
116	CH2CH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
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127	CH2CH3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
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136	CH2CH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
137	CH2CH3	3-pyridyl	1-methyl-2-imidazolyl
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145	CH2CH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
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149	CH2CH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
150	CH2CH3	2-pyrimidyl	1-methyl-2-imidazolyl
151	CH2CH3	2-pyrimidyl	2-methyl-1-imidazolyl
152	CH2CH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
153	CH2CH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
154	CH2CH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
155	CH2CH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
156	CH2CH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
157	CH2CH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
158	CH2CH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
159	CH2CH3	5-pyrimidyl	1-pyrrolidinocarbonyl
160	CH2CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
161	CH2CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
162	CH2CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
163	CH2CH3	5-pyrimidyl	1-methyl-2-imidazolyl
164	CH2CH3	5-pyrimidyl	2-methyl-1-imidazolyl
165	CH2CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
166	CH2CH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
167	CH2CH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
168	CH2CH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
169	CH2CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
170	CH2CH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
171	CH2CH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
172	CH2CH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
173	CH2CH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
174	CH2CH3	2-Cl-phenyl	2-(N,N-

				dimethylaminomethyl)phenyl
	175	CH2CH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	176	CH2CH3	2-Cl-phenyl	1-methyl-2-imidazolyl
	177	CH2CH3	2-Cl-phenyl	2-methyl-1-imidazolyl
5	178	CH2CH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	179	CH2CH3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	180	CH2CH3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
10				
	181	CH2CH3	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	182	CH2CH3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
15	183	CH2CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
	184	CH2CH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	185	CH2CH3	2-F-phenyl	1-pyrrolidinocarbonyl
	186	CH2CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
	187	CH2CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
20				
	188	CH2CH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	189	CH2CH3	2-F-phenyl	1-methyl-2-imidazolyl
	190	CH2CH3	2-F-phenyl	2-methyl-1-imidazolyl
	191	CH2CH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
25				
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30	194	CH2CH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	195	CH2CH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	196	CH2CH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
35	197	CH2CH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	198	CH2CH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	199	CH2CH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	200	CH2CH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
40	201	CH2CH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	202	CH2CH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
	203	CH2CH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	204	CH2CH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
45	205	CH2CH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	206	CH2CH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-

			aminomethyl)phenyl
207	CH2CH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
208	CH2CH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
209	CF3	phenyl	2-(aminosulfonyl)phenyl
210	CF3	phenyl	2-(methylaminosulfonyl)phenyl
211	CF3	phenyl	1-pyrrolidinocarbonyl
212	CF3	phenyl	2-(methylsulfonyl)phenyl
213	CF3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
214	CF3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
215	CF3	phenyl	1-methyl-2-imidazolyl
216	CF3	phenyl	2-methyl-1-imidazolyl
217	CF3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
218	CF3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
219	CF3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
220	CF3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
221	CF3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
222	CF3	2-pyridyl	2-(aminosulfonyl)phenyl
223	CF3	2-pyridyl	2-(methylaminosulfonyl)phenyl
224	CF3	2-pyridyl	1-pyrrolidinocarbonyl
225	CF3	2-pyridyl	2-(methylsulfonyl)phenyl
226	CF3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
227	CF3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
228	CF3	2-pyridyl	1-methyl-2-imidazolyl
229	CF3	2-pyridyl	2-methyl-1-imidazolyl
230	CF3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
231	CF3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
232	CF3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
233	CF3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
234	CF3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
235	CF3	3-pyridyl	2-(aminosulfonyl)phenyl
236	CF3	3-pyridyl	2-(methylaminosulfonyl)phenyl
237	CF3	3-pyridyl	1-pyrrolidinocarbonyl
238	CF3	3-pyridyl	2-(methylsulfonyl)phenyl

239	CF3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
240	CF3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
241	CF3	3-pyridyl	1-methyl-2-imidazolyl
242	CF3	3-pyridyl	2-methyl-1-imidazolyl
243	CF3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
244	CF3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
245	CF3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
246	CF3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
247	CF3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
248	CF3	2-pyrimidyl	2-(aminosulfonyl)phenyl
249	CF3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
250	CF3	2-pyrimidyl	1-pyrrolidinocarbonyl
251	CF3	2-pyrimidyl	2-(methylsulfonyl)phenyl
252	CF3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
253	CF3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
254	CF3	2-pyrimidyl	1-methyl-2-imidazolyl
255	CF3	2-pyrimidyl	2-methyl-1-imidazolyl
256	CF3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
257	CF3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
258	CF3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
259	CF3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
260	CF3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
261	CF3	5-pyrimidyl	2-(aminosulfonyl)phenyl
262	CF3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
263	CF3	5-pyrimidyl	1-pyrrolidinocarbonyl
264	CF3	5-pyrimidyl	2-(methylsulfonyl)phenyl
265	CF3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
266	CF3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
267	CF3	5-pyrimidyl	1-methyl-2-imidazolyl
268	CF3	5-pyrimidyl	2-methyl-1-imidazolyl
269	CF3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
270	CF3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl

271	CF3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
272	CF3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
273	CF3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
274	CF3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
275	CF3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
276	CF3	2-Cl-phenyl	1-pyrrolidinocarbonyl
277	CF3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
278	CF3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
279	CF3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
280	CF3	2-Cl-phenyl	1-methyl-2-imidazolyl
281	CF3	2-Cl-phenyl	2-methyl-1-imidazolyl
282	CF3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
283	CF3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
284	CF3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
285	CF3	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
286	CF3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
287	CF3	2-F-phenyl	2-(aminosulfonyl)phenyl
288	CF3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
289	CF3	2-F-phenyl	1-pyrrolidinocarbonyl
290	CF3	2-F-phenyl	2-(methylsulfonyl)phenyl
291	CF3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
292	CF3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
293	CF3	2-F-phenyl	1-methyl-2-imidazolyl
294	CF3	2-F-phenyl	2-methyl-1-imidazolyl
295	CF3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
296	CF3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
297	CF3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
298	CF3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
299	CF3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
300	CF3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
301	CF3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
302	CF3	2,6-diF-phenyl	1-pyrrolidinocarbonyl

303	CF3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
304	CF3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
305	CF3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
306	CF3	2,6-diF-phenyl	1-methyl-2-imidazolyl
307	CF3	2,6-diF-phenyl	2-methyl-1-imidazolyl
308	CF3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
309	CF3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
310	CF3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
311	CF3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
312	CF3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
313	SCH3	phenyl	2-(aminosulfonyl)phenyl
314	SCH3	phenyl	2-(methylaminosulfonyl)phenyl
315	SCH3	phenyl	1-pyrrolidinocarbonyl
316	SCH3	phenyl	2-(methylsulfonyl)phenyl
317	SCH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
318	SCH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
319	SCH3	phenyl	1-methyl-2-imidazolyl
320	SCH3	phenyl	2-methyl-1-imidazolyl
321	SCH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
322	SCH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
323	SCH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
324	SCH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
325	SCH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
326	SCH3	2-pyridyl	2-(aminosulfonyl)phenyl
327	SCH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
328	SCH3	2-pyridyl	1-pyrrolidinocarbonyl
329	SCH3	2-pyridyl	2-(methylsulfonyl)phenyl
330	SCH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
331	SCH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
332	SCH3	2-pyridyl	1-methyl-2-imidazolyl
333	SCH3	2-pyridyl	2-methyl-1-imidazolyl
334	SCH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
335	SCH3	2-pyridyl	2-(N-(cyclopropyl-

				methyl)aminomethyl)phenyl
	336	SCH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	337	SCH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	338	SCH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	339	SCH3	3-pyridyl	2-(aminosulfonyl)phenyl
	340	SCH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
	341	SCH3	3-pyridyl	1-pyrrolidinocarbonyl
	342	SCH3	3-pyridyl	2-(methylsulfonyl)phenyl
	343	SCH3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	344	SCH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	345	SCH3	3-pyridyl	1-methyl-2-imidazolyl
	346	SCH3	3-pyridyl	2-methyl-1-imidazolyl
	347	SCH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	348	SCH3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	349	SCH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	350	SCH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	351	SCH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	352	SCH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
	353	SCH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	354	SCH3	2-pyrimidyl	1-pyrrolidinocarbonyl
	355	SCH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	356	SCH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	357	SCH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	358	SCH3	2-pyrimidyl	1-methyl-2-imidazolyl
	359	SCH3	2-pyrimidyl	2-methyl-1-imidazolyl
	360	SCH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	361	SCH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	362	SCH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	363	SCH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	364	SCH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	365	SCH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
	366	SCH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl

367	SCH3	5-pyrimidyl	1-pyrrolidinocarbonyl
368	SCH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
369	SCH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
370	SCH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
371	SCH3	5-pyrimidyl	1-methyl-2-imidazolyl
372	SCH3	5-pyrimidyl	2-methyl-1-imidazolyl
373	SCH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
374	SCH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
375	SCH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
376	SCH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
377	SCH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
378	SCH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
379	SCH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
380	SCH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
381	SCH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
382	SCH3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
383	SCH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
384	SCH3	2-Cl-phenyl	1-methyl-2-imidazolyl
385	SCH3	2-Cl-phenyl	2-methyl-1-imidazolyl
386	SCH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
387	SCH3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
388	SCH3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
389	SCH3	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
390	SCH3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
391	SCH3	2-F-phenyl	2-(aminosulfonyl)phenyl
392	SCH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
393	SCH3	2-F-phenyl	1-pyrrolidinocarbonyl
394	SCH3	2-F-phenyl	2-(methylsulfonyl)phenyl
395	SCH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
396	SCH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
397	SCH3	2-F-phenyl	1-methyl-2-imidazolyl
398	SCH3	2-F-phenyl	2-methyl-1-imidazolyl
399	SCH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl

400	SCH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
401	SCH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
402	SCH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
403	SCH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
404	SCH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
405	SCH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
406	SCH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
407	SCH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
408	SCH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
409	SCH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
410	SCH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
411	SCH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
412	SCH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
413	SCH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
414	SCH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
415	SCH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
416	SCH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
417	SOCH3	phenyl	2-(aminosulfonyl)phenyl
418	SOCH3	phenyl	2-(methylaminosulfonyl)phenyl
419	SOCH3	phenyl	1-pyrrolidinocarbonyl
420	SOCH3	phenyl	2-(methylsulfonyl)phenyl
421	SOCH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
422	SOCH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
423	SOCH3	phenyl	1-methyl-2-imidazolyl
424	SOCH3	phenyl	2-methyl-1-imidazolyl
425	SOCH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
426	SOCH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
427	SOCH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
428	SOCH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
429	SOCH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
430	SOCH3	2-pyridyl	2-(aminosulfonyl)phenyl

431	SOCH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
432	SOCH3	2-pyridyl	1-pyrrolidinocarbonyl
433	SOCH3	2-pyridyl	2-(methylsulfonyl)phenyl
434	SOCH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
435	SOCH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
436	SOCH3	2-pyridyl	1-methyl-2-imidazolyl
437	SOCH3	2-pyridyl	2-methyl-1-imidazolyl
438	SOCH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
439	SOCH3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
440	SOCH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
441	SOCH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
442	SOCH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
443	SOCH3	3-pyridyl	2-(aminosulfonyl)phenyl
444	SOCH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
445	SOCH3	3-pyridyl	1-pyrrolidinocarbonyl
446	SOCH3	3-pyridyl	2-(methylsulfonyl)phenyl
447	SOCH3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
448	SOCH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
449	SOCH3	3-pyridyl	1-methyl-2-imidazolyl
450	SOCH3	3-pyridyl	2-methyl-1-imidazolyl
451	SOCH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
452	SOCH3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
453	SOCH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
454	SOCH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
455	SOCH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
456	SOCH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
457	SOCH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
458	SOCH3	2-pyrimidyl	1-pyrrolidinocarbonyl
459	SOCH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
460	SOCH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
461	SOCH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
462	SOCH3	2-pyrimidyl	1-methyl-2-imidazolyl
463	SOCH3	2-pyrimidyl	2-methyl-1-imidazolyl
464	SOCH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-

			imidazolyl
	465	SOCH3	2-pyrimidyl 2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	466	SOCH3	2-pyrimidyl 2-(N-(cyclobutyl)-aminomethyl)phenyl
	467	SOCH3	2-pyrimidyl 2-(N-(cyclopentyl)-aminomethyl)phenyl
	468	SOCH3	2-pyrimidyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	469	SOCH3	5-pyrimidyl 2-(aminosulfonyl)phenyl
	470	SOCH3	5-pyrimidyl 2-(methylaminosulfonyl)phenyl
	471	SOCH3	5-pyrimidyl 1-pyrrolidinocarbonyl
	472	SOCH3	5-pyrimidyl 2-(methylsulfonyl)phenyl
	473	SOCH3	5-pyrimidyl 2-(N,N-dimethylaminomethyl)phenyl
	474	SOCH3	5-pyrimidyl 2-(N-pyrrolidinylmethyl)phenyl
	475	SOCH3	5-pyrimidyl 1-methyl-2-imidazolyl
	476	SOCH3	5-pyrimidyl 2-methyl-1-imidazolyl
	477	SOCH3	5-pyrimidyl 2-(dimethylaminomethyl)-1-imidazolyl
	478	SOCH3	5-pyrimidyl 2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	479	SOCH3	5-pyrimidyl 2-(N-(cyclobutyl)-aminomethyl)phenyl
	480	SOCH3	5-pyrimidyl 2-(N-(cyclopentyl)-aminomethyl)phenyl
	481	SOCH3	5-pyrimidyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	482	SOCH3	2-Cl-phenyl 2-(aminosulfonyl)phenyl
	483	SOCH3	2-Cl-phenyl 2-(methylaminosulfonyl)phenyl
	484	SOCH3	2-Cl-phenyl 1-pyrrolidinocarbonyl
	485	SOCH3	2-Cl-phenyl 2-(methylsulfonyl)phenyl
	486	SOCH3	2-Cl-phenyl 2-(N,N-dimethylaminomethyl)phenyl
	487	SOCH3	2-Cl-phenyl 2-(N-pyrrolidinylmethyl)phenyl
	488	SOCH3	2-Cl-phenyl 1-methyl-2-imidazolyl
	489	SOCH3	2-Cl-phenyl 2-methyl-1-imidazolyl
	490	SOCH3	2-Cl-phenyl 2-(dimethylaminomethyl)-1-imidazolyl
	491	SOCH3	2-Cl-phenyl 2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	492	SOCH3	2-Cl-phenyl 2-(N-(cyclobutyl)-aminomethyl)phenyl
	493	SOCH3	2-Cl-phenyl 2-(N-(cyclopentyl)-aminomethyl)phenyl
	494	SOCH3	2-Cl-phenyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl

495	SOCH3	2-F-phenyl	2-(aminosulfonyl)phenyl
496	SOCH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
497	SOCH3	2-F-phenyl	1-pyrrolidinocarbonyl
498	SOCH3	2-F-phenyl	2-(methylsulfonyl)phenyl
499	SOCH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
500	SOCH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
501	SOCH3	2-F-phenyl	1-methyl-2-imidazolyl
502	SOCH3	2-F-phenyl	2-methyl-1-imidazolyl
503	SOCH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
504	SOCH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
505	SOCH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
506	SOCH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
507	SOCH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
508	SOCH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
509	SOCH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
510	SOCH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
511	SOCH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
512	SOCH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
513	SOCH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
514	SOCH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
515	SOCH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
516	SOCH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
517	SOCH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
518	SOCH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
519	SOCH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
520	SOCH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
521	SO2CH3	phenyl	2-(aminosulfonyl)phenyl
522	SO2CH3	phenyl	2-(methylaminosulfonyl)phenyl
523	SO2CH3	phenyl	1-pyrrolidinocarbonyl
524	SO2CH3	phenyl	2-(methylsulfonyl)phenyl
525	SO2CH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
526	SO2CH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
527	SO2CH3	phenyl	1-methyl-2-imidazolyl
528	SO2CH3	phenyl	2-methyl-1-imidazolyl

529	SO2CH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
530	SO2CH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
531	SO2CH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
532	SO2CH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
533	SO2CH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
534	SO2CH3	2-pyridyl	2-(aminosulfonyl)phenyl
535	SO2CH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
536	SO2CH3	2-pyridyl	1-pyrrolidinocarbonyl
537	SO2CH3	2-pyridyl	2-(methylsulfonyl)phenyl
538	SO2CH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
539	SO2CH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
540	SO2CH3	2-pyridyl	1-methyl-2-imidazolyl
541	SO2CH3	2-pyridyl	2-methyl-1-imidazolyl
542	SO2CH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
543	SO2CH3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
544	SO2CH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
545	SO2CH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
546	SO2CH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
547	SO2CH3	3-pyridyl	2-(aminosulfonyl)phenyl
548	SO2CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
549	SO2CH3	3-pyridyl	1-pyrrolidinocarbonyl
550	SO2CH3	3-pyridyl	2-(methylsulfonyl)phenyl
551	SO2CH3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
552	SO2CH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
553	SO2CH3	3-pyridyl	1-methyl-2-imidazolyl
554	SO2CH3	3-pyridyl	2-methyl-1-imidazolyl
555	SO2CH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
556	SO2CH3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
557	SO2CH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
558	SO2CH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
559	SO2CH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-

				methyl)phenyl
	560	SO2CH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
	561	SO2CH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	562	SO2CH3	2-pyrimidyl	1-pyrrolidinocarbonyl
5	563	SO2CH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	564	SO2CH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	565	SO2CH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	566	SO2CH3	2-pyrimidyl	1-methyl-2-imidazolyl
10	567	SO2CH3	2-pyrimidyl	2-methyl-1-imidazolyl
	568	SO2CH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	569	SO2CH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
15	570	SO2CH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	571	SO2CH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	572	SO2CH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
20				
	573	SO2CH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
	574	SO2CH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	575	SO2CH3	5-pyrimidyl	1-pyrrolidinocarbonyl
	576	SO2CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
25	577	SO2CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	578	SO2CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	579	SO2CH3	5-pyrimidyl	1-methyl-2-imidazolyl
	580	SO2CH3	5-pyrimidyl	2-methyl-1-imidazolyl
30	581	SO2CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	582	SO2CH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	583	SO2CH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
35				
	584	SO2CH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	585	SO2CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
40	586	SO2CH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	587	SO2CH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	588	SO2CH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
	589	SO2CH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	590	SO2CH3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
45				
	591	SO2CH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	592	SO2CH3	2-Cl-phenyl	1-methyl-2-imidazolyl

593	SO2CH3	2-Cl-phenyl	2-methyl-1-imidazolyl
594	SO2CH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
595	SO2CH3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
596	SO2CH3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
597	SO2CH3	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
598	SO2CH3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
599	SO2CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
600	SO2CH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
601	SO2CH3	2-F-phenyl	1-pyrrolidinocarbonyl
602	SO2CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
603	SO2CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
604	SO2CH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
605	SO2CH3	2-F-phenyl	1-methyl-2-imidazolyl
606	SO2CH3	2-F-phenyl	2-methyl-1-imidazolyl
607	SO2CH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
608	SO2CH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
609	SO2CH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
610	SO2CH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
611	SO2CH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
612	SO2CH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
613	SO2CH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
614	SO2CH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
615	SO2CH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
616	SO2CH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
617	SO2CH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
618	SO2CH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
619	SO2CH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
620	SO2CH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
621	SO2CH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
622	SO2CH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
623	SO2CH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl

624	SO2CH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
625	Cl	phenyl	2-(aminosulfonyl)phenyl
626	Cl	phenyl	2-(methylaminosulfonyl)phenyl
627	Cl	phenyl	1-pyrrolidinocarbonyl
628	Cl	phenyl	2-(methylsulfonyl)phenyl
629	Cl	phenyl	2-(N,N-dimethylaminomethyl)phenyl
630	Cl	phenyl	2-(N-pyrrolidinylmethyl)phenyl
631	Cl	phenyl	1-methyl-2-imidazolyl
632	Cl	phenyl	2-methyl-1-imidazolyl
633	Cl	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
634	Cl	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
635	Cl	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
636	Cl	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
637	Cl	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
638	Cl	2-pyridyl	2-(aminosulfonyl)phenyl
639	Cl	2-pyridyl	2-(methylaminosulfonyl)phenyl
640	Cl	2-pyridyl	1-pyrrolidinocarbonyl
641	Cl	2-pyridyl	2-(methylsulfonyl)phenyl
642	Cl	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
643	Cl	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
644	Cl	2-pyridyl	1-methyl-2-imidazolyl
645	Cl	2-pyridyl	2-methyl-1-imidazolyl
646	Cl	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
647	Cl	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
648	Cl	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
649	Cl	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
650	Cl	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
651	Cl	3-pyridyl	2-(aminosulfonyl)phenyl
652	Cl	3-pyridyl	2-(methylaminosulfonyl)phenyl
653	Cl	3-pyridyl	1-pyrrolidinocarbonyl
654	Cl	3-pyridyl	2-(methylsulfonyl)phenyl
655	Cl	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
656	Cl	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl

657	Cl	3-pyridyl	1-methyl-2-imidazolyl
658	Cl	3-pyridyl	2-methyl-1-imidazolyl
659	Cl	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
660	Cl	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
661	Cl	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
662	Cl	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
663	Cl	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
664	Cl	2-pyrimidyl	2-(aminosulfonyl)phenyl
665	Cl	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
666	Cl	2-pyrimidyl	1-pyrrolidinocarbonyl
667	Cl	2-pyrimidyl	2-(methylsulfonyl)phenyl
668	Cl	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
669	Cl	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
670	Cl	2-pyrimidyl	1-methyl-2-imidazolyl
671	Cl	2-pyrimidyl	2-methyl-1-imidazolyl
672	Cl	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
673	Cl	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
674	Cl	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
675	Cl	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
676	Cl	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
677	Cl	5-pyrimidyl	2-(aminosulfonyl)phenyl
678	Cl	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
679	Cl	5-pyrimidyl	1-pyrrolidinocarbonyl
680	Cl	5-pyrimidyl	2-(methylsulfonyl)phenyl
681	Cl	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
682	Cl	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
683	Cl	5-pyrimidyl	1-methyl-2-imidazolyl
684	Cl	5-pyrimidyl	2-methyl-1-imidazolyl
685	Cl	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
686	Cl	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
687	Cl	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
688	Cl	5-pyrimidyl	2-(N-(cyclopentyl)-

			aminomethyl)phenyl
	689	Cl	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	690	Cl	2-Cl-phenyl	2-(aminosulfonyl)phenyl
5	691	Cl	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	692	Cl	2-Cl-phenyl	1-pyrrolidinocarbonyl
	693	Cl	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	694	Cl	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
10	695	Cl	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	696	Cl	2-Cl-phenyl	1-methyl-2-imidazolyl
	697	Cl	2-Cl-phenyl	2-methyl-1-imidazolyl
	698	Cl	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
15	699	Cl	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	700	Cl	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	701	Cl	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
20				
	702	Cl	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	703	Cl	2-F-phenyl	2-(aminosulfonyl)phenyl
	704	Cl	2-F-phenyl	2-(methylaminosulfonyl)phenyl
25	705	Cl	2-F-phenyl	1-pyrrolidinocarbonyl
	706	Cl	2-F-phenyl	2-(methylsulfonyl)phenyl
	707	Cl	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	708	Cl	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
30	709	Cl	2-F-phenyl	1-methyl-2-imidazolyl
	710	Cl	2-F-phenyl	2-methyl-1-imidazolyl
	711	Cl	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	712	Cl	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
35				
	713	Cl	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	714	Cl	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
40	715	Cl	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	716	Cl	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	717	Cl	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	718	Cl	2,6-diF-phenyl	1-pyrrolidinocarbonyl
45	719	Cl	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	720	Cl	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl

721	Cl	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
722	Cl	2,6-diF-phenyl	1-methyl-2-imidazolyl
723	Cl	2,6-diF-phenyl	2-methyl-1-imidazolyl
724	Cl	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
725	Cl	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
726	Cl	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
727	Cl	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
728	Cl	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
729	F	phenyl	2-(aminosulfonyl)phenyl
730	F	phenyl	2-(methylaminosulfonyl)phenyl
731	F	phenyl	1-pyrrolidinocarbonyl
732	F	phenyl	2-(methylsulfonyl)phenyl
733	F	phenyl	2-(N,N-dimethylaminomethyl)phenyl
734	F	phenyl	2-(N-pyrrolidinylmethyl)phenyl
735	F	phenyl	1-methyl-2-imidazolyl
736	F	phenyl	2-methyl-1-imidazolyl
737	F	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
738	F	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
739	F	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
740	F	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
741	F	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
742	F	2-pyridyl	2-(aminosulfonyl)phenyl
743	F	2-pyridyl	2-(methylaminosulfonyl)phenyl
744	F	2-pyridyl	1-pyrrolidinocarbonyl
745	F	2-pyridyl	2-(methylsulfonyl)phenyl
746	F	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
747	F	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
748	F	2-pyridyl	1-methyl-2-imidazolyl
749	F	2-pyridyl	2-methyl-1-imidazolyl
750	F	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
751	F	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
752	F	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl

753	F	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
754	F	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
755	F	3-pyridyl	2-(aminosulfonyl)phenyl
756	F	3-pyridyl	2-(methylaminosulfonyl)phenyl
757	F	3-pyridyl	1-pyrrolidinocarbonyl
758	F	3-pyridyl	2-(methylsulfonyl)phenyl
759	F	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
760	F	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
761	F	3-pyridyl	1-methyl-2-imidazolyl
762	F	3-pyridyl	2-methyl-1-imidazolyl
763	F	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
764	F	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
765	F	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
766	F	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
767	F	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
768	F	2-pyrimidyl	2-(aminosulfonyl)phenyl
769	F	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
770	F	2-pyrimidyl	1-pyrrolidinocarbonyl
771	F	2-pyrimidyl	2-(methylsulfonyl)phenyl
772	F	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
773	F	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
774	F	2-pyrimidyl	1-methyl-2-imidazolyl
775	F	2-pyrimidyl	2-methyl-1-imidazolyl
776	F	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
777	F	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
778	F	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
779	F	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
780	F	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
781	F	5-pyrimidyl	2-(aminosulfonyl)phenyl
782	F	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
783	F	5-pyrimidyl	1-pyrrolidinocarbonyl
784	F	5-pyrimidyl	2-(methylsulfonyl)phenyl
785	F	5-pyrimidyl	2-(N,N-

				dimethylaminomethyl)phenyl
	786	F	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	787	F	5-pyrimidyl	1-methyl-2-imidazolyl
	788	F	5-pyrimidyl	2-methyl-1-imidazolyl
5	789	F	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	790	F	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	791	F	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
10				
	792	F	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	793	F	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
15	794	F	2-F-phenyl	2-(aminosulfonyl)phenyl
	795	F	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	796	F	2-F-phenyl	1-pyrrolidinocarbonyl
	797	F	2-F-phenyl	2-(methylsulfonyl)phenyl
	798	F	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
20				
	799	F	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	800	F	2-F-phenyl	1-methyl-2-imidazolyl
	801	F	2-F-phenyl	2-methyl-1-imidazolyl
	802	F	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
25				
	803	F	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	804	F	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
30	805	F	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	806	F	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	807	F	2-F-phenyl	2-(aminosulfonyl)phenyl
35	808	F	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	809	F	2-F-phenyl	1-pyrrolidinocarbonyl
	810	F	2-F-phenyl	2-(methylsulfonyl)phenyl
	811	F	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
40	812	F	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	813	F	2-F-phenyl	1-methyl-2-imidazolyl
	814	F	2-F-phenyl	2-methyl-1-imidazolyl
	815	F	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
45	816	F	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	817	F	2-F-phenyl	2-(N-(cyclobutyl)-

			aminomethyl)phenyl
818	F	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
819	F	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
820	F	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
821	F	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
822	F	2,6-diF-phenyl	1-pyrrolidinocarbonyl
823	F	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
824	F	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
825	F	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
826	F	2,6-diF-phenyl	1-methyl-2-imidazolyl
827	F	2,6-diF-phenyl	2-methyl-1-imidazolyl
828	F	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
829	F	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
830	F	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
831	F	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
832	F	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
833	CO2CH3	phenyl	2-(aminosulfonyl)phenyl
834	CO2CH3	phenyl	2-(methylaminosulfonyl)phenyl
835	CO2CH3	phenyl	1-pyrrolidinocarbonyl
836	CO2CH3	phenyl	2-(methylsulfonyl)phenyl
837	CO2CH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
838	CO2CH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
839	CO2CH3	phenyl	1-methyl-2-imidazolyl
840	CO2CH3	phenyl	2-methyl-1-imidazolyl
841	CO2CH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
842	CO2CH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
843	CO2CH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
844	CO2CH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
845	CO2CH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
846	CO2CH3	2-pyridyl	2-(aminosulfonyl)phenyl
847	CO2CH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
848	CO2CH3	2-pyridyl	1-pyrrolidinocarbonyl
849	CO2CH3	2-pyridyl	2-(methylsulfonyl)phenyl

850	CO2CH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
851	CO2CH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
852	CO2CH3	2-pyridyl	1-methyl-2-imidazolyl
853	CO2CH3	2-pyridyl	2-methyl-1-imidazolyl
854	CO2CH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
855	CO2CH3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
856	CO2CH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
857	CO2CH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
858	CO2CH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
859	CO2CH3	3-pyridyl	2-(aminosulfonyl)phenyl
860	CO2CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
861	CO2CH3	3-pyridyl	1-pyrrolidinocarbonyl
862	CO2CH3	3-pyridyl	2-(methylsulfonyl)phenyl
863	CO2CH3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
864	CO2CH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
865	CO2CH3	3-pyridyl	1-methyl-2-imidazolyl
866	CO2CH3	3-pyridyl	2-methyl-1-imidazolyl
867	CO2CH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
868	CO2CH3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
869	CO2CH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
870	CO2CH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
871	CO2CH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
872	CO2CH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
873	CO2CH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
874	CO2CH3	2-pyrimidyl	1-pyrrolidinocarbonyl
875	CO2CH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
876	CO2CH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
877	CO2CH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
878	CO2CH3	2-pyrimidyl	1-methyl-2-imidazolyl
879	CO2CH3	2-pyrimidyl	2-methyl-1-imidazolyl
880	CO2CH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
881	CO2CH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl

882	CO2CH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
883	CO2CH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
884	CO2CH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
885	CO2CH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
886	CO2CH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
887	CO2CH3	5-pyrimidyl	1-pyrrolidinocarbonyl
888	CO2CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
889	CO2CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
890	CO2CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
891	CO2CH3	5-pyrimidyl	1-methyl-2-imidazolyl
892	CO2CH3	5-pyrimidyl	2-methyl-1-imidazolyl
893	CO2CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
894	CO2CH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
895	CO2CH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
896	CO2CH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
897	CO2CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
898	CO2CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
899	CO2CH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
900	CO2CH3	2-F-phenyl	1-pyrrolidinocarbonyl
901	CO2CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
902	CO2CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
903	CO2CH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
904	CO2CH3	2-F-phenyl	1-methyl-2-imidazolyl
905	CO2CH3	2-F-phenyl	2-methyl-1-imidazolyl
906	CO2CH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
907	CO2CH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
908	CO2CH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
909	CO2CH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
910	CO2CH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
911	CO2CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
912	CO2CH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
913	CO2CH3	2-F-phenyl	1-pyrrolidinocarbonyl

914	CO2CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
915	CO2CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
916	CO2CH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
917	CO2CH3	2-F-phenyl	1-methyl-2-imidazolyl
918	CO2CH3	2-F-phenyl	2-methyl-1-imidazolyl
919	CO2CH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
920	CO2CH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
921	CO2CH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
922	CO2CH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
923	CO2CH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
924	CO2CH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
925	CO2CH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
926	CO2CH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
927	CO2CH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
928	CO2CH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
929	CO2CH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
930	CO2CH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
931	CO2CH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
932	CO2CH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
933	CO2CH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
934	CO2CH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
935	CO2CH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
936	CO2CH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
937	CH2OCH3	phenyl	2-(aminosulfonyl)phenyl
938	CH2OCH3	phenyl	2-(methylaminosulfonyl)phenyl
939	CH2OCH3	phenyl	1-pyrrolidinocarbonyl
940	CH2OCH3	phenyl	2-(methylsulfonyl)phenyl
941	CH2OCH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
942	CH2OCH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
943	CH2OCH3	phenyl	1-methyl-2-imidazolyl
944	CH2OCH3	phenyl	2-methyl-1-imidazolyl
945	CH2OCH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
946	CH2OCH3	phenyl	2-(N-(cyclopropyl-

			methyl)aminomethyl)phenyl
	947	CH2OCH3	phenyl 2-(N-(cyclobutyl)-aminomethyl)phenyl
	948	CH2OCH3	phenyl 2-(N-(cyclopentyl)-aminomethyl)phenyl
	949	CH2OCH3	phenyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	950	CH2OCH3	2-pyridyl 2-(aminosulfonyl)phenyl
	951	CH2OCH3	2-pyridyl 2-(methylaminosulfonyl)phenyl
	952	CH2OCH3	2-pyridyl 1-pyrrolidinocarbonyl
	953	CH2OCH3	2-pyridyl 2-(methylsulfonyl)phenyl
	954	CH2OCH3	2-pyridyl 2-(N,N-dimethylaminomethyl)phenyl
	955	CH2OCH3	2-pyridyl 2-(N-pyrrolidinylmethyl)phenyl
	956	CH2OCH3	2-pyridyl 1-methyl-2-imidazolyl
	957	CH2OCH3	2-pyridyl 2-methyl-1-imidazolyl
	958	CH2OCH3	2-pyridyl 2-(dimethylaminomethyl)-1-imidazolyl
	959	CH2OCH3	2-pyridyl 2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	960	CH2OCH3	2-pyridyl 2-(N-(cyclobutyl)-aminomethyl)phenyl
	961	CH2OCH3	2-pyridyl 2-(N-(cyclopentyl)-aminomethyl)phenyl
	962	CH2OCH3	2-pyridyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	963	CH2OCH3	3-pyridyl 2-(aminosulfonyl)phenyl
	964	CH2OCH3	3-pyridyl 2-(methylaminosulfonyl)phenyl
	965	CH2OCH3	3-pyridyl 1-pyrrolidinocarbonyl
	966	CH2OCH3	3-pyridyl 2-(methylsulfonyl)phenyl
	967	CH2OCH3	3-pyridyl 2-(N,N-dimethylaminomethyl)phenyl
	968	CH2OCH3	3-pyridyl 2-(N-pyrrolidinylmethyl)phenyl
	969	CH2OCH3	3-pyridyl 1-methyl-2-imidazolyl
	970	CH2OCH3	3-pyridyl 2-methyl-1-imidazolyl
	971	CH2OCH3	3-pyridyl 2-(dimethylaminomethyl)-1-imidazolyl
	972	CH2OCH3	3-pyridyl 2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	973	CH2OCH3	3-pyridyl 2-(N-(cyclobutyl)-aminomethyl)phenyl
	974	CH2OCH3	3-pyridyl 2-(N-(cyclopentyl)-aminomethyl)phenyl
	975	CH2OCH3	3-pyridyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	976	CH2OCH3	2-pyrimidyl 2-(aminosulfonyl)phenyl
	977	CH2OCH3	2-pyrimidyl 2-(methylaminosulfonyl)phenyl

978	CH2OCH3	2-pyrimidyl	1-pyrrolidinocarbonyl
979	CH2OCH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
980	CH2OCH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
981	CH2OCH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
982	CH2OCH3	2-pyrimidyl	1-methyl-2-imidazolyl
983	CH2OCH3	2-pyrimidyl	2-methyl-1-imidazolyl
984	CH2OCH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
985	CH2OCH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
986	CH2OCH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
987	CH2OCH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
988	CH2OCH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
989	CH2OCH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
990	CH2OCH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
991	CH2OCH3	5-pyrimidyl	1-pyrrolidinocarbonyl
992	CH2OCH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
993	CH2OCH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
994	CH2OCH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
995	CH2OCH3	5-pyrimidyl	1-methyl-2-imidazolyl
996	CH2OCH3	5-pyrimidyl	2-methyl-1-imidazolyl
997	CH2OCH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
998	CH2OCH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
999	CH2OCH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1000	CH2OCH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1001	CH2OCH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1002	CH2OCH3	2-F-phenyl	2-(aminosulfonyl)phenyl
1003	CH2OCH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1004	CH2OCH3	2-F-phenyl	1-pyrrolidinocarbonyl
1005	CH2OCH3	2-F-phenyl	2-(methylsulfonyl)phenyl
1006	CH2OCH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1007	CH2OCH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1008	CH2OCH3	2-F-phenyl	1-methyl-2-imidazolyl
1009	CH2OCH3	2-F-phenyl	2-methyl-1-imidazolyl
1010	CH2OCH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl

1011	CH2OCH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1012	CH2OCH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1013	CH2OCH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1014	CH2OCH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1015	CH2OCH3	2-F-phenyl	2-(aminosulfonyl)phenyl
1016	CH2OCH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1017	CH2OCH3	2-F-phenyl	1-pyrrolidinocarbonyl
1018	CH2OCH3	2-F-phenyl	2-(methylsulfonyl)phenyl
1019	CH2OCH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1020	CH2OCH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1021	CH2OCH3	2-F-phenyl	1-methyl-2-imidazolyl
1022	CH2OCH3	2-F-phenyl	2-methyl-1-imidazolyl
1023	CH2OCH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1024	CH2OCH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1025	CH2OCH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1026	CH2OCH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1027	CH2OCH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1028	CH2OCH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
1029	CH2OCH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
1030	CH2OCH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
1031	CH2OCH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
1032	CH2OCH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1033	CH2OCH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1034	CH2OCH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
1035	CH2OCH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
1036	CH2OCH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1037	CH2OCH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1038	CH2OCH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1039	CH2OCH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1040	CH2OCH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1041	CONH2	phenyl	2-(aminosulfonyl)phenyl

1042	CONH2	phenyl	2-(methylaminosulfonyl)phenyl
1043	CONH2	phenyl	1-pyrrolidinocarbonyl
1044	CONH2	phenyl	2-(methylsulfonyl)phenyl
1045	CONH2	phenyl	2-(N,N-dimethylaminomethyl)phenyl
1046	CONH2	phenyl	2-(N-pyrrolidinylmethyl)phenyl
1047	CONH2	phenyl	1-methyl-2-imidazolyl
1048	CONH2	phenyl	2-methyl-1-imidazolyl
1049	CONH2	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1050	CONH2	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1051	CONH2	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1052	CONH2	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1053	CONH2	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1054	CONH2	2-pyridyl	2-(aminosulfonyl)phenyl
1055	CONH2	2-pyridyl	2-(methylaminosulfonyl)phenyl
1056	CONH2	2-pyridyl	1-pyrrolidinocarbonyl
1057	CONH2	2-pyridyl	2-(methylsulfonyl)phenyl
1058	CONH2	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
1059	CONH2	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
1060	CONH2	2-pyridyl	1-methyl-2-imidazolyl
1061	CONH2	2-pyridyl	2-methyl-1-imidazolyl
1062	CONH2	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
1063	CONH2	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1064	CONH2	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1065	CONH2	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1066	CONH2	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1067	CONH2	3-pyridyl	2-(aminosulfonyl)phenyl
1068	CONH2	3-pyridyl	2-(methylaminosulfonyl)phenyl
1069	CONH2	3-pyridyl	1-pyrrolidinocarbonyl
1070	CONH2	3-pyridyl	2-(methylsulfonyl)phenyl
1071	CONH2	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
1072	CONH2	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
1073	CONH2	3-pyridyl	1-methyl-2-imidazolyl
1074	CONH2	3-pyridyl	2-methyl-1-imidazolyl
1075	CONH2	3-pyridyl	2-(dimethylaminomethyl)-1-

				imidazolyl
	1076	CONH2	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1077	CONH2	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1078	CONH2	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1079	CONH2	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1080	CONH2	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1081	CONH2	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1082	CONH2	2-pyrimidyl	1-pyrrolidinocarbonyl
	1083	CONH2	2-pyrimidyl	2-(methylsulfonyl)phenyl
	1084	CONH2	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	1085	CONH2	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1086	CONH2	2-pyrimidyl	1-methyl-2-imidazolyl
	1087	CONH2	2-pyrimidyl	2-methyl-1-imidazolyl
	1088	CONH2	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	1089	CONH2	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1090	CONH2	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1091	CONH2	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1092	CONH2	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1093	CONH2	5-pyrimidyl	2-(aminosulfonyl)phenyl
	1094	CONH2	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1095	CONH2	5-pyrimidyl	1-pyrrolidinocarbonyl
	1096	CONH2	5-pyrimidyl	2-(methylsulfonyl)phenyl
	1097	CONH2	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	1098	CONH2	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1099	CONH2	5-pyrimidyl	1-methyl-2-imidazolyl
	1100	CONH2	5-pyrimidyl	2-methyl-1-imidazolyl
	1101	CONH2	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	1102	CONH2	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1103	CONH2	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1104	CONH2	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1105	CONH2	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl

1106	CONH2	2-F-phenyl	2-(aminosulfonyl)phenyl
1107	CONH2	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1108	CONH2	2-F-phenyl	1-pyrrolidinocarbonyl
1109	CONH2	2-F-phenyl	2-(methylsulfonyl)phenyl
1110	CONH2	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1111	CONH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1112	CONH2	2-F-phenyl	1-methyl-2-imidazolyl
1113	CONH2	2-F-phenyl	2-methyl-1-imidazolyl
1114	CONH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1115	CONH2	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1116	CONH2	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1117	CONH2	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1118	CONH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1119	CONH2	2-F-phenyl	2-(aminosulfonyl)phenyl
1120	CONH2	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1121	CONH2	2-F-phenyl	1-pyrrolidinocarbonyl
1122	CONH2	2-F-phenyl	2-(methylsulfonyl)phenyl
1123	CONH2	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1124	CONH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1125	CONH2	2-F-phenyl	1-methyl-2-imidazolyl
1126	CONH2	2-F-phenyl	2-methyl-1-imidazolyl
1127	CONH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1128	CONH2	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1129	CONH2	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1130	CONH2	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1131	CONH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1132	CONH2	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
1133	CONH2	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
1134	CONH2	2,6-diF-phenyl	1-pyrrolidinocarbonyl
1135	CONH2	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
1136	CONH2	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1137	CONH2	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1138	CONH2	2,6-diF-phenyl	1-methyl-2-imidazolyl
1139	CONH2	2,6-diF-phenyl	2-methyl-1-imidazolyl

1140	CONH2	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1141	CONH2	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1142	CONH2	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1143	CONH2	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1144	CONH2	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1145	CN	phenyl	2-(aminosulfonyl)phenyl
1146	CN	phenyl	2-(methylaminosulfonyl)phenyl
1147	CN	phenyl	1-pyrrolidinocarbonyl
1148	CN	phenyl	2-(methylsulfonyl)phenyl
1149	CN	phenyl	2-(N,N-dimethylaminomethyl)phenyl
1150	CN	phenyl	2-(N-pyrrolidinylmethyl)phenyl
1151	CN	phenyl	1-methyl-2-imidazolyl
1152	CN	phenyl	2-methyl-1-imidazolyl
1153	CN	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1154	CN	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1155	CN	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1156	CN	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1157	CN	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1158	CN	2-pyridyl	2-(aminosulfonyl)phenyl
1159	CN	2-pyridyl	2-(methylaminosulfonyl)phenyl
1160	CN	2-pyridyl	1-pyrrolidinocarbonyl
1161	CN	2-pyridyl	2-(methylsulfonyl)phenyl
1162	CN	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
1163	CN	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
1164	CN	2-pyridyl	1-methyl-2-imidazolyl
1165	CN	2-pyridyl	2-methyl-1-imidazolyl
1166	CN	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
1167	CN	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1168	CN	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1169	CN	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1170	CN	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-

				methyl)phenyl
	1171	CN	3-pyridyl	2-(aminosulfonyl)phenyl
	1172	CN	3-pyridyl	2-(methylaminosulfonyl)phenyl
	1173	CN	3-pyridyl	1-pyrrolidinocarbonyl
5	1174	CN	3-pyridyl	2-(methylsulfonyl)phenyl
	1175	CN	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	1176	CN	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	1177	CN	3-pyridyl	1-methyl-2-imidazolyl
10	1178	CN	3-pyridyl	2-methyl-1-imidazolyl
	1179	CN	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	1180	CN	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
15	1181	CN	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1182	CN	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1183	CN	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
20				
	1184	CN	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1185	CN	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1186	CN	2-pyrimidyl	1-pyrrolidinocarbonyl
	1187	CN	2-pyrimidyl	2-(methylsulfonyl)phenyl
25	1188	CN	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	1189	CN	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1190	CN	2-pyrimidyl	1-methyl-2-imidazolyl
	1191	CN	2-pyrimidyl	2-methyl-1-imidazolyl
30	1192	CN	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	1193	CN	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1194	CN	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
35				
	1195	CN	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1196	CN	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
40	1197	CN	5-pyrimidyl	2-(aminosulfonyl)phenyl
	1198	CN	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1199	CN	5-pyrimidyl	1-pyrrolidinocarbonyl
	1200	CN	5-pyrimidyl	2-(methylsulfonyl)phenyl
	1201	CN	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
45				
	1202	CN	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1203	CN	5-pyrimidyl	1-methyl-2-imidazolyl

1204	CN	5-pyrimidyl	2-methyl-1-imidazolyl
1205	CN	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
1206	CN	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1207	CN	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1208	CN	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1209	CN	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1210	CN	2-F-phenyl	2-(aminosulfonyl)phenyl
1211	CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1212	CN	2-F-phenyl	1-pyrrolidinocarbonyl
1213	CN	2-F-phenyl	2-(methylsulfonyl)phenyl
1214	CN	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1215	CN	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1216	CN	2-F-phenyl	1-methyl-2-imidazolyl
1217	CN	2-F-phenyl	2-methyl-1-imidazolyl
1218	CN	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1219	CN	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1220	CN	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1221	CN	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1222	CN	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1223	CN	2-F-phenyl	2-(aminosulfonyl)phenyl
1224	CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1225	CN	2-F-phenyl	1-pyrrolidinocarbonyl
1226	CN	2-F-phenyl	2-(methylsulfonyl)phenyl
1227	CN	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1228	CN	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1229	CN	2-F-phenyl	1-methyl-2-imidazolyl
1230	CN	2-F-phenyl	2-methyl-1-imidazolyl
1231	CN	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1232	CN	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1233	CN	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1234	CN	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl

1235	CN	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1236	CN	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
1237	CN	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
1238	CN	2,6-diF-phenyl	1-pyrrolidinocarbonyl
1239	CN	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
1240	CN	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1241	CN	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1242	CN	2,6-diF-phenyl	1-methyl-2-imidazolyl
1243	CN	2,6-diF-phenyl	2-methyl-1-imidazolyl
1244	CN	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1245	CN	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1246	CN	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1247	CN	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1248	CN	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1249	CH2NH2	phenyl	2-(aminosulfonyl)phenyl
1250	CH2NH2	phenyl	2-(methylaminosulfonyl)phenyl
1251	CH2NH2	phenyl	1-pyrrolidinocarbonyl
1252	CH2NH2	phenyl	2-(methylsulfonyl)phenyl
1253	CH2NH2	phenyl	2-(N,N-dimethylaminomethyl)phenyl
1254	CH2NH2	phenyl	2-(N-pyrrolidinylmethyl)phenyl
1255	CH2NH2	phenyl	1-methyl-2-imidazolyl
1256	CH2NH2	phenyl	2-methyl-1-imidazolyl
1257	CH2NH2	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1258	CH2NH2	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1259	CH2NH2	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1260	CH2NH2	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1261	CH2NH2	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1262	CH2NH2	2-pyridyl	2-(aminosulfonyl)phenyl
1263	CH2NH2	2-pyridyl	2-(methylaminosulfonyl)phenyl
1264	CH2NH2	2-pyridyl	1-pyrrolidinocarbonyl
1265	CH2NH2	2-pyridyl	2-(methylsulfonyl)phenyl
1266	CH2NH2	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
1267	CH2NH2	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl

1268	CH2NH2	2-pyridyl	1-methyl-2-imidazolyl
1269	CH2NH2	2-pyridyl	2-methyl-1-imidazolyl
1270	CH2NH2	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
1271	CH2NH2	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1272	CH2NH2	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1273	CH2NH2	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1274	CH2NH2	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1275	CH2NH2	3-pyridyl	2-(aminosulfonyl)phenyl
1276	CH2NH2	3-pyridyl	2-(methylaminosulfonyl)phenyl
1277	CH2NH2	3-pyridyl	1-pyrrolidinocarbonyl
1278	CH2NH2	3-pyridyl	2-(methylsulfonyl)phenyl
1279	CH2NH2	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
1280	CH2NH2	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
1281	CH2NH2	3-pyridyl	1-methyl-2-imidazolyl
1282	CH2NH2	3-pyridyl	2-methyl-1-imidazolyl
1283	CH2NH2	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
1284	CH2NH2	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1285	CH2NH2	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1286	CH2NH2	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1287	CH2NH2	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1288	CH2NH2	2-pyrimidyl	2-(aminosulfonyl)phenyl
1289	CH2NH2	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
1290	CH2NH2	2-pyrimidyl	1-pyrrolidinocarbonyl
1291	CH2NH2	2-pyrimidyl	2-(methylsulfonyl)phenyl
1292	CH2NH2	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
1293	CH2NH2	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
1294	CH2NH2	2-pyrimidyl	1-methyl-2-imidazolyl
1295	CH2NH2	2-pyrimidyl	2-methyl-1-imidazolyl
1296	CH2NH2	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
1297	CH2NH2	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1298	CH2NH2	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1299	CH2NH2	2-pyrimidyl	2-(N-(cyclopentyl)-

1300	CH2NH2	2-pyrimidyl	aminomethyl)phenyl 2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1301	CH2NH2	5-pyrimidyl	2-(aminosulfonyl)phenyl
1302	CH2NH2	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
1303	CH2NH2	5-pyrimidyl	1-pyrrolidinocarbonyl
1304	CH2NH2	5-pyrimidyl	2-(methylsulfonyl)phenyl
1305	CH2NH2	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
1306	CH2NH2	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
1307	CH2NH2	5-pyrimidyl	1-methyl-2-imidazolyl
1308	CH2NH2	5-pyrimidyl	2-methyl-1-imidazolyl
1309	CH2NH2	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
1310	CH2NH2	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1311	CH2NH2	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1312	CH2NH2	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1313	CH2NH2	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1314	CH2NH2	2-F-phenyl	2-(aminosulfonyl)phenyl
1315	CH2NH2	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1316	CH2NH2	2-F-phenyl	1-pyrrolidinocarbonyl
1317	CH2NH2	2-F-phenyl	2-(methylsulfonyl)phenyl
1318	CH2NH2	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1319	CH2NH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1320	CH2NH2	2-F-phenyl	1-methyl-2-imidazolyl
1321	CH2NH2	2-F-phenyl	2-methyl-1-imidazolyl
1322	CH2NH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1323	CH2NH2	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1324	CH2NH2	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1325	CH2NH2	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1326	CH2NH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1327	CH2NH2	2-F-phenyl	2-(aminosulfonyl)phenyl
1328	CH2NH2	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1329	CH2NH2	2-F-phenyl	1-pyrrolidinocarbonyl
1330	CH2NH2	2-F-phenyl	2-(methylsulfonyl)phenyl
1331	CH2NH2	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl

1332	CH2NH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1333	CH2NH2	2-F-phenyl	1-methyl-2-imidazolyl
1334	CH2NH2	2-F-phenyl	2-methyl-1-imidazolyl
1335	CH2NH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1336	CH2NH2	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1337	CH2NH2	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1338	CH2NH2	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1339	CH2NH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1340	CH2NH2	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
1341	CH2NH2	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
1342	CH2NH2	2,6-diF-phenyl	1-pyrrolidinocarbonyl
1343	CH2NH2	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
1344	CH2NH2	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1345	CH2NH2	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1346	CH2NH2	2,6-diF-phenyl	1-methyl-2-imidazolyl
1347	CH2NH2	2,6-diF-phenyl	2-methyl-1-imidazolyl
1348	CH2NH2	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1349	CH2NH2	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1350	CH2NH2	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1351	CH2NH2	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1352	CH2NH2	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1353	CH2NH-SO2CH3	phenyl	2-(aminosulfonyl)phenyl
1354	CH2NH-SO2CH3	phenyl	2-(methylaminosulfonyl)phenyl
1355	CH2NH-SO2CH3	phenyl	1-pyrrolidinocarbonyl
1356	CH2NH-SO2CH3	phenyl	2-(methylsulfonyl)phenyl
1357	CH2NH-SO2CH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
1358	CH2NH-SO2CH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
1359	CH2NH-SO2CH3	phenyl	1-methyl-2-imidazolyl
1360	CH2NH-	phenyl	2-methyl-1-imidazolyl

	SO2CH3		
1361	CH2NH-SO2CH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1362	CH2NH-SO2CH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1363	CH2NH-SO2CH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1364	CH2NH-SO2CH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1365	CH2NH-SO2CH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1366	CH2NH-SO2CH3	2-pyridyl	2-(aminosulfonyl)phenyl
1367	CH2NH-SO2CH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
1368	CH2NH-SO2CH3	2-pyridyl	1-pyrrolidinocarbonyl
1369	CH2NH-SO2CH3	2-pyridyl	2-(methylsulfonyl)phenyl
1370	CH2NH-SO2CH3 SO2CH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
1371	CH2NH-SO2CH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
1372	CH2NH-SO2CH3	2-pyridyl	1-methyl-2-imidazolyl
1373	CH2NH-SO2CH3	2-pyridyl	2-methyl-1-imidazolyl
1374	CH2NH-SO2CH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
1375	CH2NH-SO2CH3	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1376	CH2NH-SO2CH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1377	CH2NH-SO2CH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1378	CH2NH-SO2CH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1379	CH2NH-SO2CH3	3-pyridyl	2-(aminosulfonyl)phenyl
1380	CH2NH-SO2CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
1381	CH2NH-SO2CH3	3-pyridyl	1-pyrrolidinocarbonyl
1382	CH2NH-SO2CH3	3-pyridyl	2-(methylsulfonyl)phenyl
1383	CH2NH-	3-pyridyl	2-(N,N-

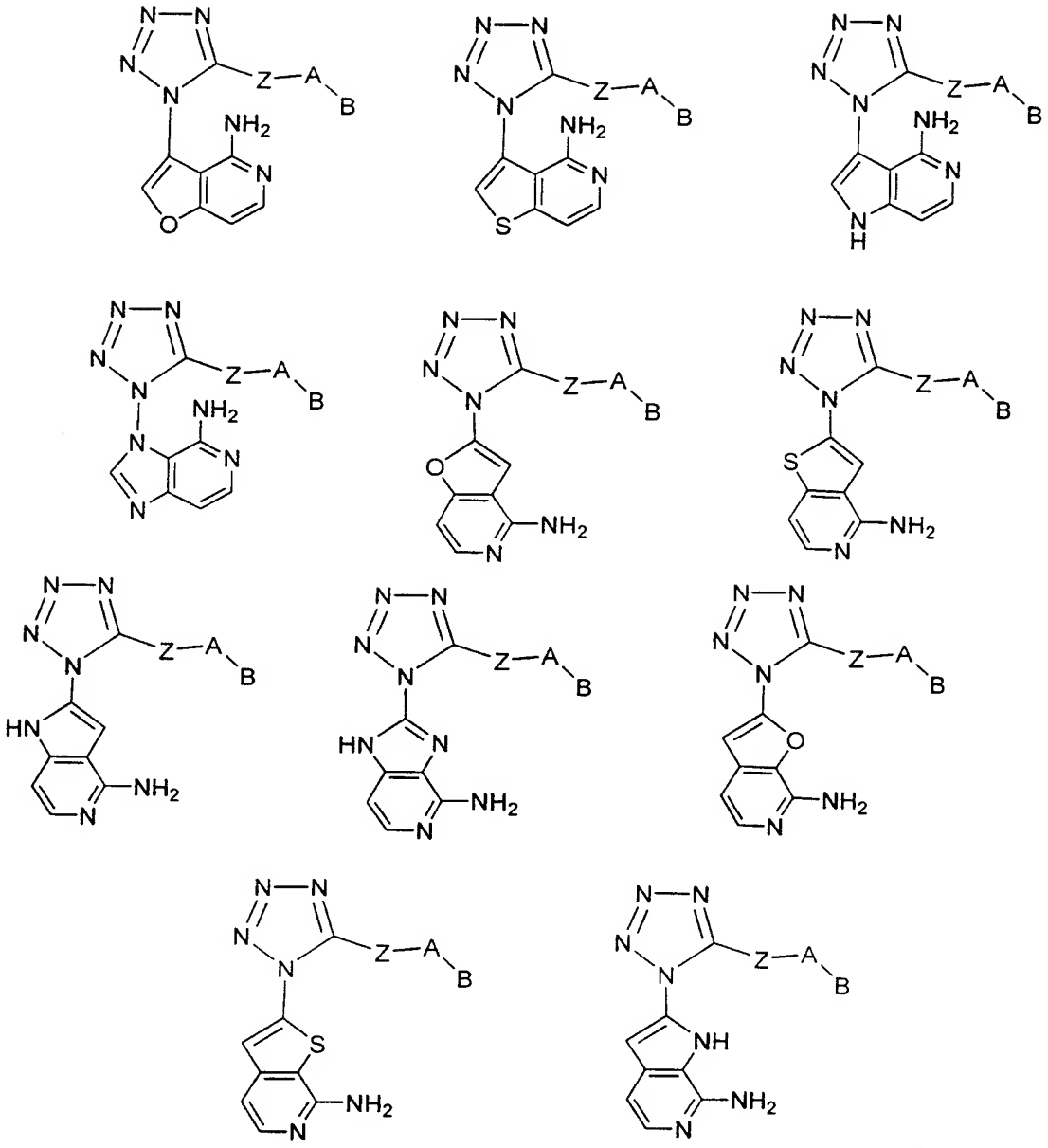
	SO2CH3		dimethylaminomethyl)phenyl
1384	CH2NH-SO2CH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
1385	CH2NH-SO2CH3	3-pyridyl	1-methyl-2-imidazolyl
1386	CH2NH-SO2CH3	3-pyridyl	2-methyl-1-imidazolyl
1387	CH2NH-SO2CH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
1388	CH2NH-SO2CH3	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1389	CH2NH-SO2CH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1390	CH2NH-SO2CH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1391	CH2NH-SO2CH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1392	CH2NH-SO2CH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
1393	CH2NH-SO2CH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
1394	CH2NH-SO2CH3	2-pyrimidyl	1-pyrrolidinocarbonyl
1395	CH2NH-SO2CH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
1396	CH2NH-SO2CH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
1397	CH2NH-SO2CH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
1398	CH2NH-SO2CH3	2-pyrimidyl	1-methyl-2-imidazolyl
1399	CH2NH-SO2CH3	2-pyrimidyl	2-methyl-1-imidazolyl
1400	CH2NH-SO2CH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
1401	CH2NH-SO2CH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1402	CH2NH-SO2CH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1403	CH2NH-SO2CH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1404	CH2NH-SO2CH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1405	CH2NH-SO2CH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
1406	CH2NH-SO2CH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl

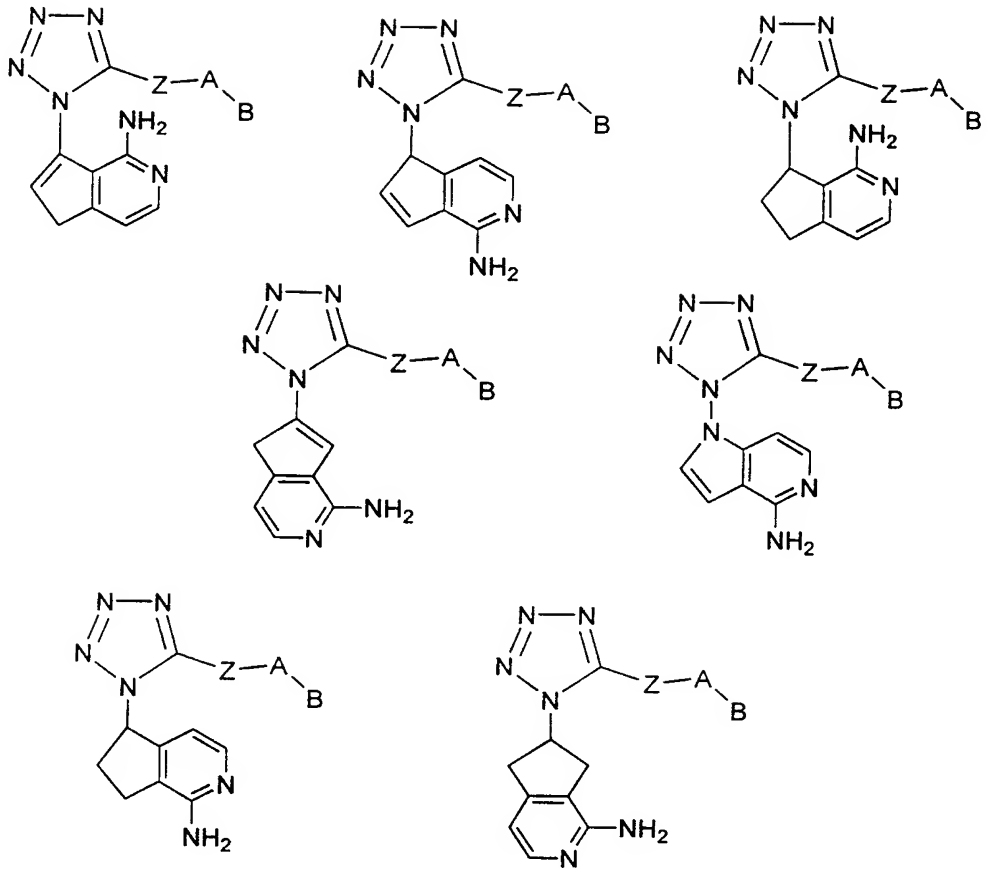
1407	CH2NH-SO2CH3	5-pyrimidyl	1-pyrrolidinocarbonyl
1408	CH2NH-SO2CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
1409	CH2NH-SO2CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
1410	CH2NH-SO2CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
1411	CH2NH-SO2CH3	5-pyrimidyl	1-methyl-2-imidazolyl
1412	CH2NH-SO2CH3	5-pyrimidyl	2-methyl-1-imidazolyl
1413	CH2NH-SO2CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
1414	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1415	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1416	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1417	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1418	CH2NH-SO2CH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
1419	CH2NH-SO2CH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
1420	CH2NH-SO2CH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
1421	CH2NH-SO2CH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
1422	CH2NH-SO2CH3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1423	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1424	CH2NH-SO2CH3	2-Cl-phenyl	1-methyl-2-imidazolyl
1425	CH2NH-SO2CH3	2-Cl-phenyl	2-methyl-1-imidazolyl
1426	CH2NH-SO2CH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1427	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1428	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1429	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1430	CH2NH-	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-

	SO2CH3		methyl)phenyl
1431	CH2NH-SO2CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
1432	CH2NH-SO2CH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1433	CH2NH-SO2CH3	2-F-phenyl	1-pyrrolidinocarbonyl
1434	CH2NH-SO2CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
1435	CH2NH-SO2CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1436	CH2NH-SO2CH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1437	CH2NH-SO2CH3	2-F-phenyl	1-methyl-2-imidazolyl
1438	CH2NH-SO2CH3	2-F-phenyl	2-methyl-1-imidazolyl
1439	CH2NH-SO2CH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1440	CH2NH-SO2CH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
1441	CH2NH-SO2CH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1442	CH2NH-SO2CH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1443	CH2NH-SO2CH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
1444	CH2NH-SO2CH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
1445	CH2NH-SO2CH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
1446	CH2NH-SO2CH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
1447	CH2NH-SO2CH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
1448	CH2NH-SO2CH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
1449	CH2NH-SO2CH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
1450	CH2NH-SO2CH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
1451	CH2NH-SO2CH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
1452	CH2NH-SO2CH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
1453	CH2NH-SO2CH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl

1454	CH2NH-SO2CH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
1455	CH2NH-SO2CH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
1456	CH2NH-SO2CH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl

Table 2





Z is C(O)NH or $C(O)CH_2$

Ex#	A	B
1	phenyl	2-(aminosulfonyl)phenyl
2	phenyl	2-(methylaminosulfonyl)phenyl
3	phenyl	1-pyrrolidinocarbonyl
4	phenyl	2-(methylsulfonyl)phenyl
5	phenyl	2-(N,N-dimethylaminomethyl)phenyl
6	phenyl	2-(N-pyrrolidinylmethyl)phenyl
7	phenyl	1-methyl-2-imidazolyl
8	phenyl	2-methyl-1-imidazolyl
9	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
10	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
11	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
12	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
13	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl

14	2-pyridyl	2-(aminosulfonyl)phenyl
15	2-pyridyl	2-(methylaminosulfonyl)phenyl
16	2-pyridyl	1-pyrrolidinocarbonyl
17	2-pyridyl	2-(methylsulfonyl)phenyl
18	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
19	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
20	2-pyridyl	1-methyl-2-imidazolyl
21	2-pyridyl	2-methyl-1-imidazolyl
22	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
23	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
24	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
25	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
26	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
27	3-pyridyl	2-(aminosulfonyl)phenyl
28	3-pyridyl	2-(methylaminosulfonyl)phenyl
29	3-pyridyl	1-pyrrolidinocarbonyl
30	3-pyridyl	2-(methylsulfonyl)phenyl
31	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
32	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
33	3-pyridyl	1-methyl-2-imidazolyl
34	3-pyridyl	2-methyl-1-imidazolyl
35	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
36	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
37	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
38	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
39	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
40	2-pyrimidyl	2-(aminosulfonyl)phenyl
41	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
42	2-pyrimidyl	1-pyrrolidinocarbonyl
43	2-pyrimidyl	2-(methylsulfonyl)phenyl
44	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
45	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
46	2-pyrimidyl	1-methyl-2-imidazolyl
47	2-pyrimidyl	2-methyl-1-imidazolyl

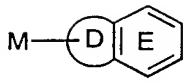
48	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
49	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
50	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
51	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
52	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
53	5-pyrimidyl	2-(aminosulfonyl)phenyl
54	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
55	5-pyrimidyl	1-pyrrolidinocarbonyl
56	5-pyrimidyl	2-(methylsulfonyl)phenyl
57	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
58	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
59	5-pyrimidyl	1-methyl-2-imidazolyl
60	5-pyrimidyl	2-methyl-1-imidazolyl
61	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
62	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
63	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
64	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
65	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
66	2-Cl-phenyl	2-(aminosulfonyl)phenyl
67	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
68	2-Cl-phenyl	1-pyrrolidinocarbonyl
69	2-Cl-phenyl	2-(methylsulfonyl)phenyl
70	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
71	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
72	2-Cl-phenyl	1-methyl-2-imidazolyl
73	2-Cl-phenyl	2-methyl-1-imidazolyl
74	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
75	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
76	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
77	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
78	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-

		methyl)phenyl
79	2-F-phenyl	2-(aminosulfonyl)phenyl
80	2-F-phenyl	2-(methylaminosulfonyl)phenyl
81	2-F-phenyl	1-pyrrolidinocarbonyl
82	2-F-phenyl	2-(methylsulfonyl)phenyl
83	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
84	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
85	2-F-phenyl	1-methyl-2-imidazolyl
86	2-F-phenyl	2-methyl-1-imidazolyl
87	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
88	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
89	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
90	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
91	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
92	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
93	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
94	2,6-diF-phenyl	1-pyrrolidinocarbonyl
95	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
96	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
97	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
98	2,6-diF-phenyl	1-methyl-2-imidazolyl
99	2,6-diF-phenyl	2-methyl-1-imidazolyl
100	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
101	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
102	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
103	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
104	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl

Obviously, numerous modifications and variations of the present invention are possible in light of the above teachings. It is therefore to be understood that within the scope of the appended claims, the invention may be practiced otherwise that as specifically described herein.

WHAT IS CLAIMED IS:

1. A compound of formula I:



I

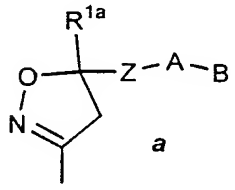
ring D is selected from $-(CH_2)_3-$, $-CH_2CH=CH-$, $-CH_2N=CH-$, and a 5 membered aromatic system containing from 0-2 heteroatoms selected from the group N, O, and S, provided that from 0-1 O and S atoms are present;

ring D is substituted with 0-2 R, provided that when ring D is unsubstituted, it contains at least one heteroatom;

E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, substituted with 0-1 R;

R is selected from Cl, F, Br, I, OH, C_{1-3} alkoxy, NH_2, $NH(C_{1-3}$ alkyl), $N(C_{1-3}$ alkyl$)_2$, CH_2NH_2, $CH_2NH(C_{1-3}$ alkyl), $CH_2N(C_{1-3}$ alkyl$)_2$, $CH_2CH_2NH_2$, $CH_2CH_2NH(C_{1-3}$ alkyl), and $CH_2CH_2N(C_{1-3}$ alkyl$)_2$;

M is selected from the group:



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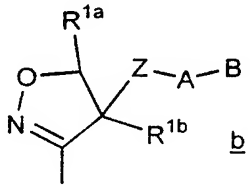

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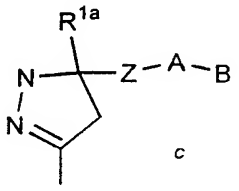

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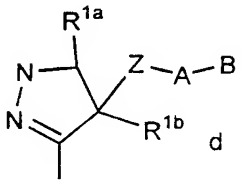

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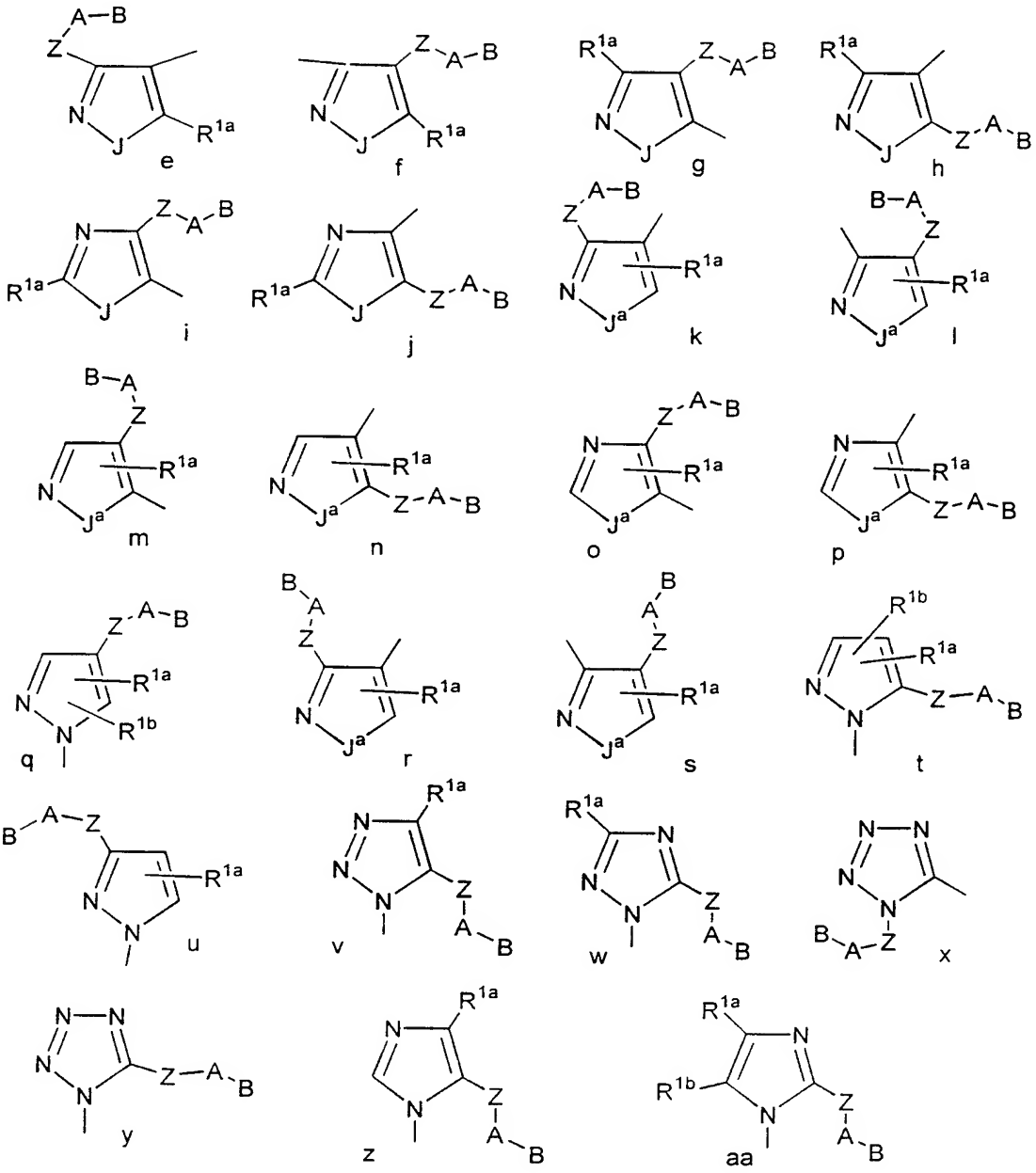
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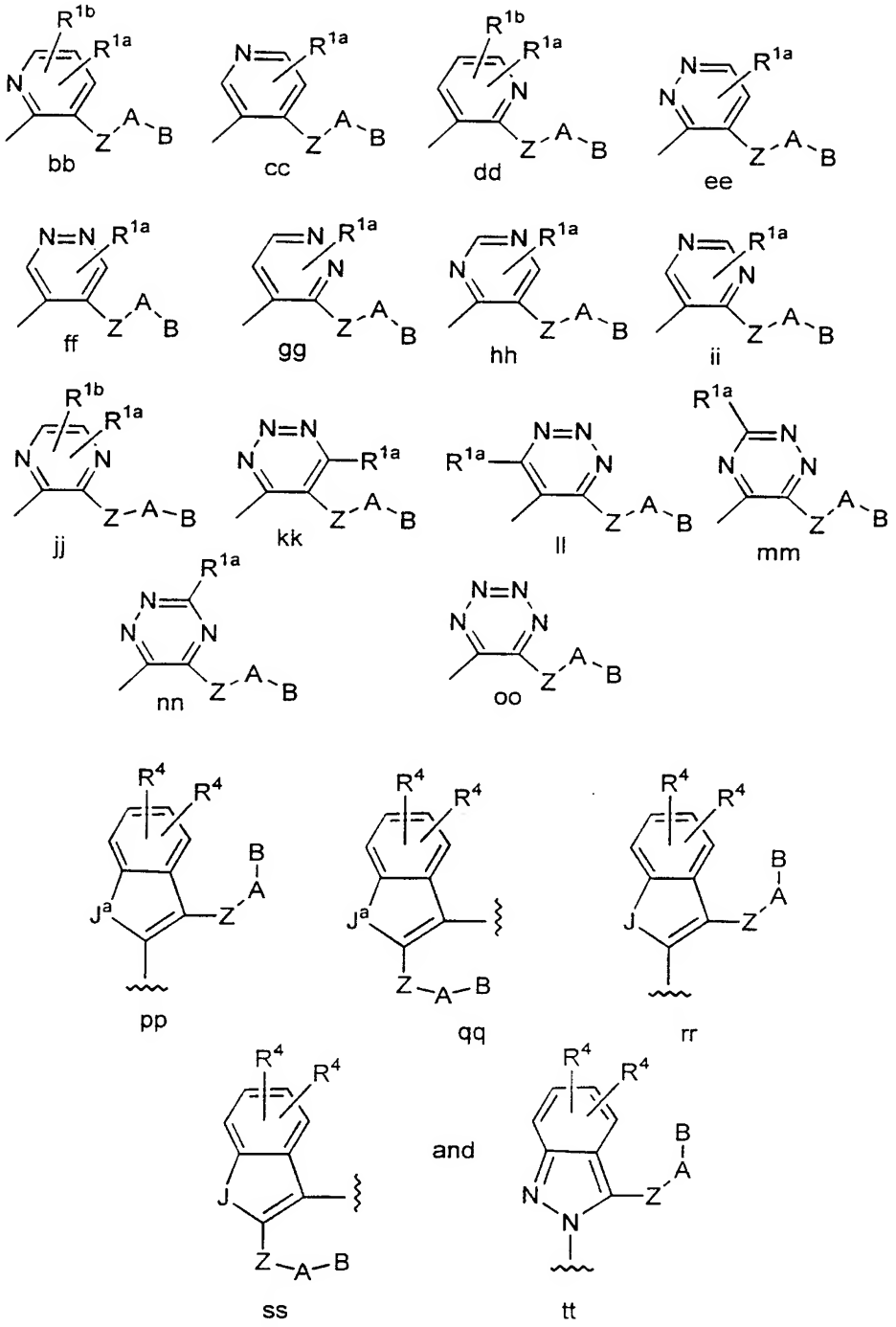


J is O or S;

J^a is NH or NR^{1a};

Z is selected from $(CR^8R^9)_{1-4}$, $(CR^8R^9)_rO(CR^8R^9)_r$, $(CR^8R^9)_rNR^3(CR^8R^9)_r$, $(CR^8R^9)_rC(O)(CR^8R^9)_r$, $(CR^8R^9)_rC(O)O(CR^8R^9)_r$, $(CR^8R^9)_rOC(O)(CR^8R^9)_r$, $(CR^8R^9)_rC(O)NR^3(CR^8R^9)_r$, $(CR^8R^9)_rNR^3C(O)(CR^8R^9)_r$,

$(CR^8R^9)_rOC(O)O(CR^8R^9)_r$, $(CH_2)_rOC(O)NR^3(CR^8R^9)_r$, $(CR^8R^9)_rNR^3C(O)O(CR^8R^9)_r$, $(CH_2)_rNR^3C(O)NR^3(CR^8R^9)_r$, $(CR^8R^9)_rS(O)_p(CR^8R^9)_r$, $(CCR^8R^9)_rSO_2NR^3(CR^8R^9)_r$, $(CR^8R^9)_rNR^3SO_2(CR^8R^9)_r$, and $(CR^8R^9)_rNR^3SO_2NR^3(CR^8R^9)_r$, provided that Z does not form a N-N, N-O, N-S, NCH_2N, NCH_2O, or NCH_2S bond with the groups to which Z is attached;

R^{1a} is selected from H, $-(CH_2)_r-R^{1'}$, $-CH=CH-R^{1'}$, $NHCH_2R^{1''}$, $OCH_2R^{1''}$, $SCH_2R^{1''}$, $NH(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$;

$R^{1'}$ is selected from H, C_{1-3} alkyl, F, Cl, Br, I, -CN, -CHO, $(CF_2)_rCF_3$, $(CH_2)_rOR^2$, NR^2R^{2a}, $C(O)R^{2c}$, $OC(O)R^2$, $(CF_2)_rCO_2R^{2c}$, $S(O)_pR^{2b}$, $NR^2(CH_2)_rOR^2$, $C(=NR^{2c})NR^2R^{2a}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NHR^{2b}$, $NR^2C(O)_2R^{2a}$, $OC(O)NR^{2a}R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NR^2(CH_2)_rOR^2$, $SO_2NR^2R^{2a}$, $NR^2SO_2R^{2b}$, C_{3-6} carbocyclic residue substituted with 0-2 R^4, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

$R^{1''}$ is selected from H, $CH(CH_2OR^2)_2$, $C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $S(O)R^{2b}$, $S(O)_2R^{2b}$, and $SO_2NR^2R^{2a}$;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{3-6} cycloalkylmethyl substituted with 0-2 R^{4b}, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

alternatively, R^2 and R^{2a} combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

alternatively, R^2 and R^{2a}, together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^3, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3a}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3b}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3c}, at each occurrence, is selected from C_{1-4} alkyl, and phenyl;

A is selected from:

C_{3-10} carbocyclic residue substituted with 0-2 R^4, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

B is selected from:

X-Y, NR^2R^{2a}, $C(=NR^2)NR^2R^{2a}$, $NR^2C(=NR^2)NR^2R^{2a}$,

C_{3-10} carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

X is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_t-$, -C(O)-, $-C(=NR^{1"})-$, $-CR^2(NR^{1"}R^2)-$, $-CR^2(OR^2)-$, $-CR^2(SR^2)-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-S(O)_p-$, $-S(O)_pCR^2R^{2a}-$, $-CR^2R^{2a}S(O)_p-$, $-S(O)_2NR^2-$, $-NR^2S(O)_2-$, $-NR^2S(O)_2CR^2R^{2a}-$, $-CR^2R^{2a}S(O)_2NR^2-$, $-NR^2S(O)_2NR^2-$, $-C(O)NR^2-$, $-NR^2C(O)-$, $-C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$,

$-NR^2C(O)O-$, $-OC(O)NR^2-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

Y is selected from:

$(CH_2)_rNR^2R^{2a}$, provided that X-Y do not form a N-N, O-N, or S-N bond,

C_{3-10} carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

R^4, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $C(=NR^2)NR^2R^{2a}$, $C(=NS(O)_2R^5)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $C(O)NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, $(CF_2)_rCF_3$, $NHCH_2R^{1"}$, $OCH_2R^{1"}$, $SCH_2R^{1"}$, $N(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$,

alternatively, one R^4 is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R^{4a}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, $(CH_2)_r$-F, $(CH_2)_r$-Br, $(CH_2)_r$-Cl, Cl, Br, F, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NH(CH_2)_2NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $C(=NR^2)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $C(O)NHSO_2$-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, and $(CF_2)_rCF_3$;

alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-1 R^5;

R^{4b}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^3$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^3R^{3a}$, $(CH_2)_rC(O)R^3$, $(CH_2)_rC(O)OR^{3c}$, $NR^3C(O)R^{3a}$, $C(O)NR^3R^{3a}$, $NR^3C(O)NR^3R^{3a}$, $C(=NR^3)NR^3R^{3a}$, $NR^3C(=NR^3)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, $NR^3SO_2NR^3R^{3a}$, NR^3SO_2-C_{1-4} alkyl, $NR^3SO_2CF_3$, NR^3SO_2-phenyl, $S(O)_pCF_3$, $S(O)_p$-C_{1-4} alkyl, $S(O)_p$-phenyl, and $(CF_2)_rCF_3$;

R^5, at each occurrence, is selected from CF_3, C_{1-6} alkyl, phenyl substituted with 0-2 R^6, and benzyl substituted with 0-2 R^6;

R^6, at each occurrence, is selected from H, OH, $(CH_2)_rOR^2$, halo, C_{1-4} alkyl, CN, NO_2, $(CH_2)_rNR^2R^{2a}$,$(CH_2)_rC(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NR^2R^{2a}$, $C(=NH)NH_2$, $NHC(=NH)NH_2$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, and $NR^2SO_2C_{1-4}$ alkyl;

R^7, at each occurrence, is selected from H, OH, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6} alkoxy, C_{1-4} alkoxycarbonyl, $(CH_2)_n$-phenyl, C_{6-10} aryloxy, C_{6-10} aryloxycarbonyl, C_{6-10} arylmethylcarbonyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{6-10} arylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C_{1-4} alkoxycarbonyl;

R^8, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

alternatively, R^7 and R^8 combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^9, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

n, at each occurrence, is selected from 0, 1, 2, and 3;

m, at each occurrence, is selected from 0, 1, and 2;

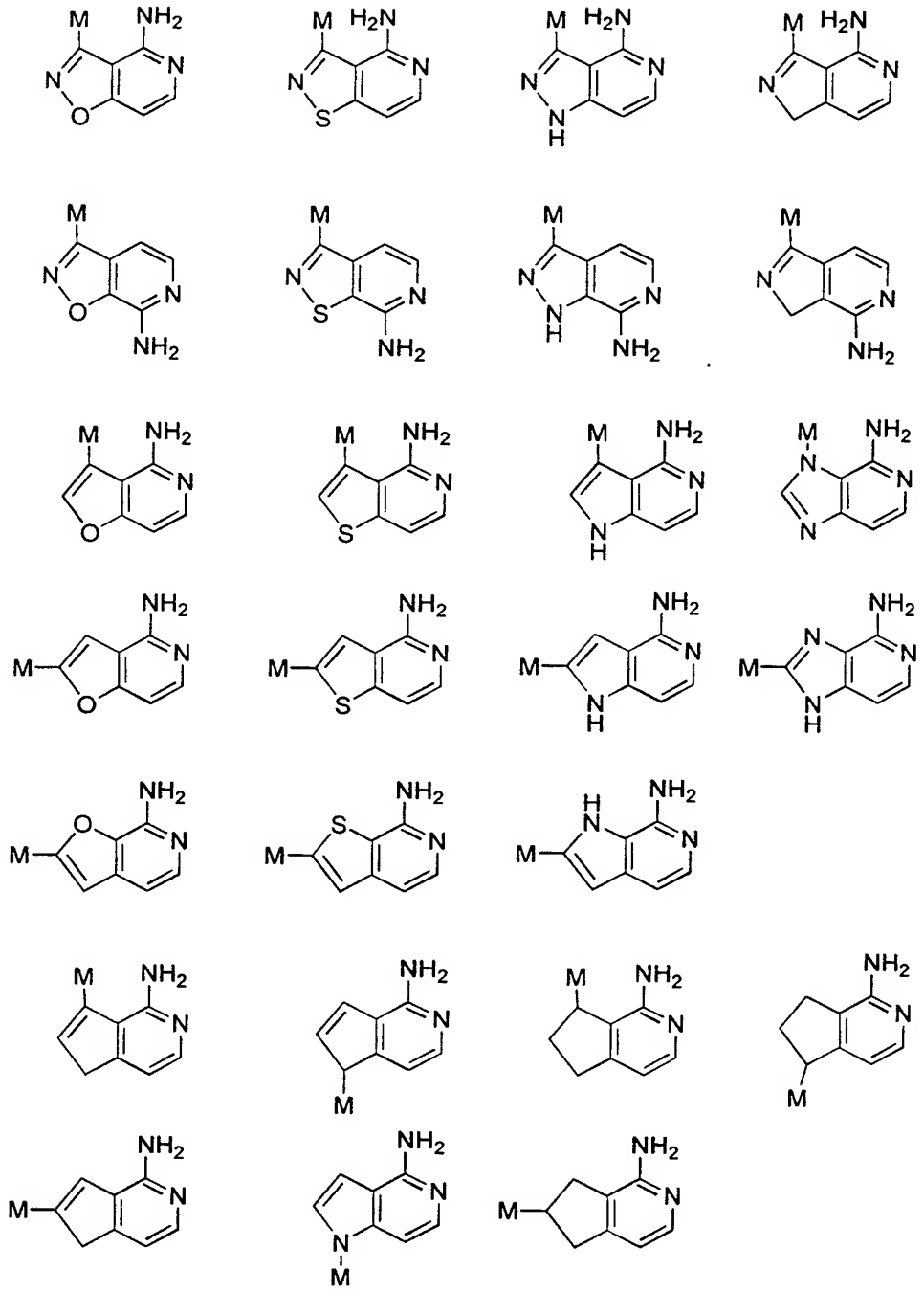
p, at each occurrence, is selected from 0, 1, and 2;

r, at each occurrence, is selected from 0, 1, 2, and 3;

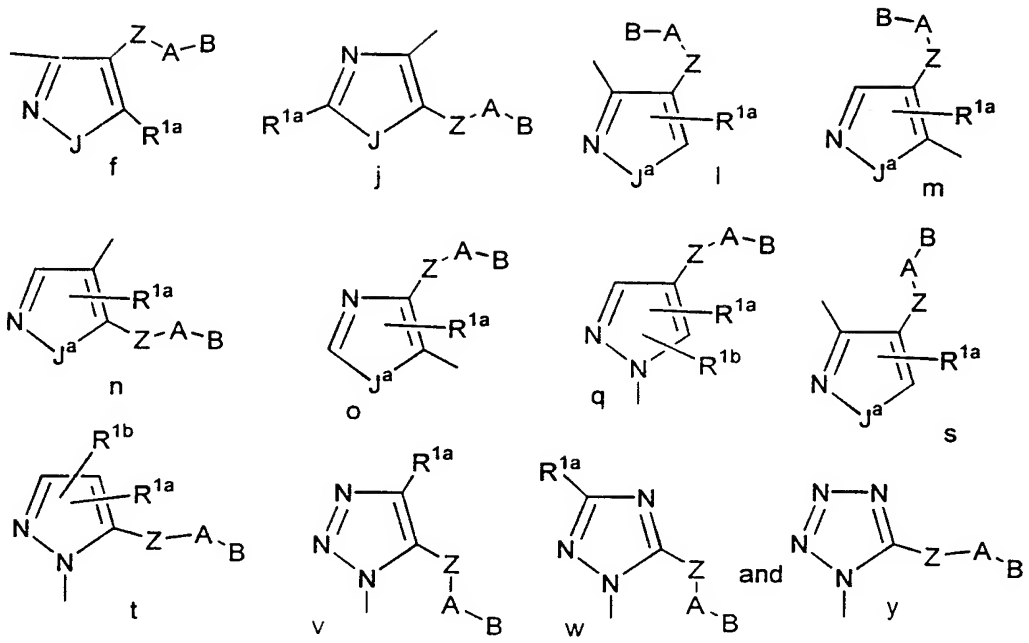
s, at each occurrence, is selected from 0, 1, and 2; and,

t, at each occurrence, is selected from 0, 1, 2, and 3.

2. A compound according to Claim 1, wherein the compound is selected from the group:



wherein, M is selected from the group:



R is selected from H, Cl, F, Br, I, $(CH_2)_tOR^3$, C_{1-4} alkyl, OCF_3, CF_3, $C(O)NR^7R^8$, and $(CR^8R^9)_tNR^7R^8$;

Z is selected from CH_2O, OCH_2, CH_2NH, $NHCH_2$, C(O), $CH_2C(O)$, $C(O)CH_2$, NHC(O), C(O)NH, $CH_2S(O)_2$, $S(O)_2(CH_2)$, SO_2NH, and $NHSO_2$, provided that Z does not form a N-N, N-O, NCH_2N, or NCH_2O bond with ring M or group A;

A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^4;

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

B is selected from: H, Y, and X-Y;

X is selected from C_{1-4} alkylene, -C(O)-, -C(=NR)-, $-CR^2(NR^2R^{2a})-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-C(O)NR^2-$, $-NR^2C(O)-$, $-C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$,

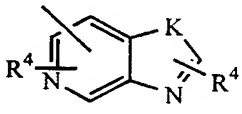
$-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

Y is NR^2R^{2a} or $CH_2NR^2R^{2a}$, provided that X-Y do not form a N-N or O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

cylcopropyl, cyclopentyl, cyclohexyl, phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

alternatively, Y is selected from the following bicyclic heteroaryl ring systems: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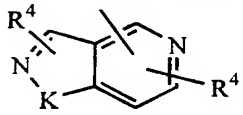
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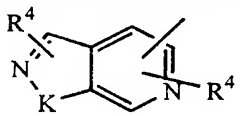
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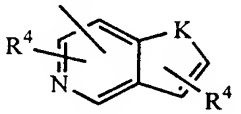
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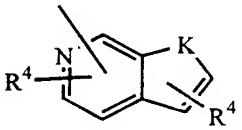
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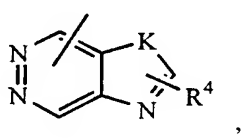
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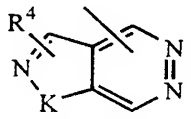
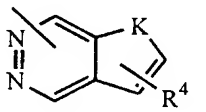
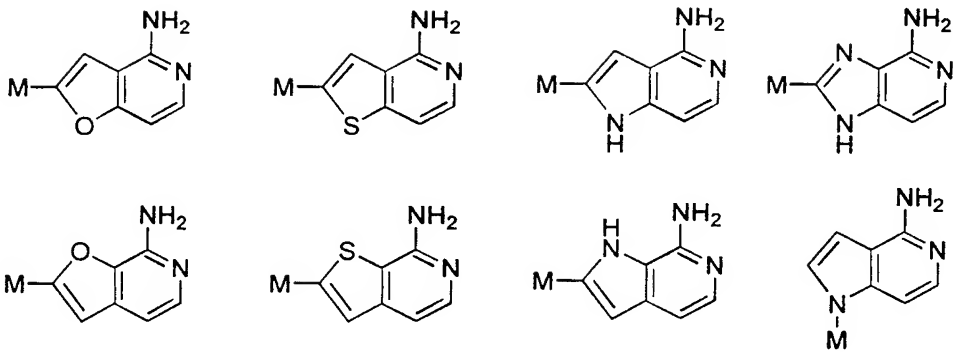
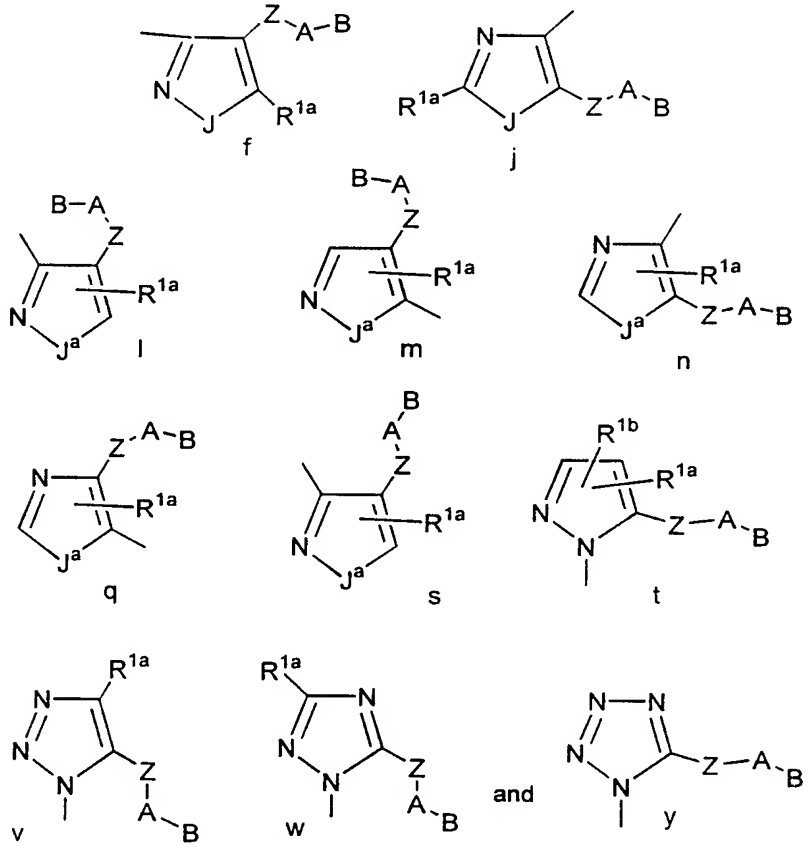

and

;

K is selected from O, S, NH, and N.

3. A compound according to Claim 2, wherein the compound is selected from the group:



M is selected from the group:



Z is $C(O)CH_2$ and CONH, provided that Z does not form a N-N bond with group A;

A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with 0-2 R^4; and,

B is selected from Y, X-Y, phenyl, pyrrolidino, morpholino, 1,2,3-triazolyl, and imidazolyl, and is substituted with 0-1 R^{4a};

B is selected from: Y and X-Y;

X is selected from CH_2, -C(O)-, and O;

Y is NR^2R^{2a} or $CH_2NR^2R^{2a}$, provided that X-Y does not form an O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperazinyl, pyridyl, pyrimidyl, morpholinyl, pyrrolidinyl, imidazolyl, and 1,2,3-triazolyl;

R^2, at each occurrence, is selected from H, CF_3, CH_3, benzyl, and phenyl;

R^{2a}, at each occurrence, is selected from H, CF_3, CH_3, $CH(CH_3)_2$, cyclopropylmethyl, benzyl, and phenyl;

alternatively, R^2 and R^{2a} combine to form a ring system substituted with 0-2 R^{4b}, the ring system being selected from pyrrolidinyl, piperazinyl and morpholino;

R^4, at each occurrence, is selected from OH, $(CH_2)_rOR^2$, Cl, F, C_{1-4} alkyl, $(CH_2)_rNR^2R^{2a}$, and $(CF_2)_rCF_3$;

R^{4a} is selected from Cl, F, C_{1-4} alkyl, CF_3, $(CH_2)_rNR^2R^{2a}$, $S(O)_pR^5$, $SO_2NR^2R^{2a}$, and 1-CF_3-tetrazol-2-yl;

R^{4b}, at each occurrence, is selected from OH, Cl, F, CH_3, and CF_3;

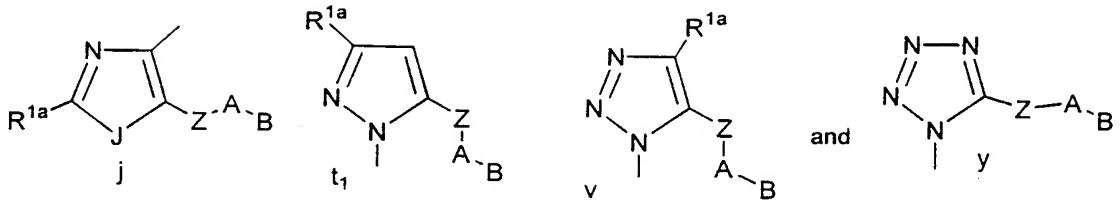
R^5, at each occurrence, is selected from CF_3, C_{1-6} alkyl, phenyl, and benzyl;

R^7, at each occurrence, is selected from H, CH_3, and CH_2CH_3; and,

R^8, at each occurrence, is selected from H and CH_3.

4. A compound according to Claim 3, wherein:

M is selected from the group:



J is N;

R^{1a} is absent or is $-(CH_2)_r-R^{1'}$;

$R^{1'}$ is selected from H, C_{1-3} alkyl, F, Cl, -CN, CF_3, $(CH_2)_rOR^2$, NR^2R^{2a}, $C(O)R^{2c}$, $OC(O)R^2$, $S(O)_pR^{2b}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, C_{3-6} carbocyclic residue substituted with 0-2 R^{4a}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,

B is selected from the group: 2-CF_3-phenyl, 2-(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 2-(N,N-dimethylaminomethyl)phenyl, 2-(isopropylaminomethyl)phenyl, 2-(cyclopropylaminomethyl)phenyl, 2-(N-pyrrolidinylmethyl)phenyl, 2-(3-hydroxy-N-pyrrolidinylmethyl)phenyl, 4-morpholino, 2-(1'-CF_3-tetrazol-2-yl)phenyl, 4-morpholinocarbonyl, 1-methyl-2-imidazolyl, 2-methyl-1-imidazolyl, 5-methyl-1-imidazolyl, 2-(N,N-dimethylaminomethyl)imidazolyl, 2-methylsulfonyl-1-imidazolyl and, 5-methyl-1,2,3-triazolyl.

5. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to one of Claims 1-4 or a pharmaceutically acceptable salt thereof.

6. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to one of Claims 1-4 or a pharmaceutically acceptable salt thereof.

7. Use of a compound according to one of Claims 1-4 in therapy.

8. Use of a compound according to one of Claims 1-4 for the manufacture of a medicament for the treatment of thrombosis or a disease mediated by factor Xa.

9. A compound according to Table 1 or 2.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US99/30512

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 253/02, 257/02, 491/02, 498/02; A61K 31/44, 31/495, 31/53; A61P 9/00
US CL : 544/179, 182, 238, 333, 405; 546/115; 514/242, 252.04, 255.05, 256, 302

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
U.S. : 544/179, 182, 238, 333, 405; 546/115; 514/242, 252.04, 255.05, 256, 302

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAS ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A,P	WO 99/64423 A1 (DARWIN DISCOVERY LIMITED) 16 December 1999, see entire document, especially page 8.	1-5, 7 and 9
A,P	WO 99/20624 A1 (F.HOFFMANN-LA ROCHE AG) 29 April 1999, see entire document.	1-5, 7 and 9

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search
03 April 2000 (03.04.2000)

Date of mailing of the international search report
25 APR 2000

Name and mailing address of the ISA/US
Commissioner of Patents and Trademarks
Box PCT
Washington, D.C. 20231
Facsimile No. (703) 305-3230

Authorized officer
Richard Raymon
Telephone No. (703) 308-1235

Form PCT/ISA/210 (second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

Int tional application No.
PCT/US99/30512

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claim Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claim Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claim Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:
Please See Continuation Sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1-9 (in part)

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US99/30512

BOX II. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group I, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains at least one oxygen atom.

Group II, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains at least one sulfur atom.

Group III, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains only one nitrogen atom.

Group IV, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains only two nitrogen atoms.

Group V, claim(s) 1, 2 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains no hetero atoms.

Group VI, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains at least one oxygen atom.

Group VII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains at least one sulfur atom.

Group VIII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains only one nitrogen atom.

Group IX, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains only two nitrogen atoms.

Group X, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains no hetero atoms.

Group XI, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains at least one oxygen atom.

Group XII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains at least one sulfur atom.

Group XIII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains only one nitrogen atom.

Group XIV, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains only two nitrogen atoms.

Group XV, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains no hetero atoms.

The inventions listed as Groups I-XV do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: The rings represented by D and E differ in the number of heteroatoms present in each ring, thus forming a magnitude of permutations which contain no common core.